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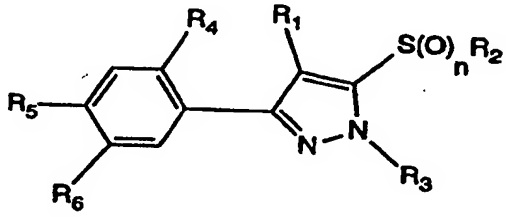
(54) Title: NOVEL HERBICIDES

(57) Abstract

Compounds of formula (I), wherein the substituents R_1 to R_6 and n are as defined in claim 1, and the salts and stereoisomers of the compounds of formula (I) have good pre- and post-emergence selective herbicidal properties. The preparation of those compounds and their use as herbicidal active ingredients are described.



(I)

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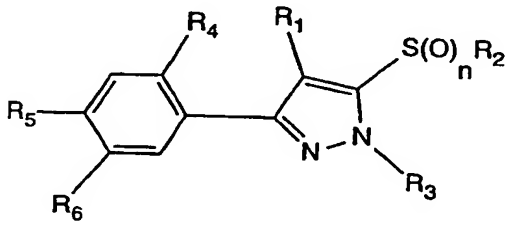
Novel herbicides

The present invention relates to novel, herbicidally active phenylpyrazole derivatives, to processes for their preparation, to compositions comprising those compounds, and to their use in controlling weeds, especially in crops of useful plants or in the inhibition of plant growth.

Pyrazole compounds having herbicidal action are known and are disclosed, for example, in EP-A-0 361 114, JP-A-03 093 774, JP-A-02 300 173 and JP-A-03 163 063.

Novel phenylpyrazole derivatives having herbicidal and growth-inhibiting properties have now been found.

The present invention therefore relates to compounds of formula I



(I),

wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl or C_3- or C_4-alkynyl;

n is 0, 1 or 2;

R_3 is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl, C_3- or C_4-alkynyl, $-CH_2COOH$, $-CH_2COO$-C_1-C_4alkyl or $-CH_2CN$;

R_4 is hydrogen, fluorine, chlorine or bromine;

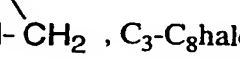
R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, cyano, NHR_{10}, $NR_{10}R_{11}$ or SO_2Cl;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_3-C_6cycloalkyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen,

benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,  , C_3-C_8haloalkenyl, C_3-C_6cycloalkyl,  , C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylamino-C_1-C_4alkyl, di-C_1-C_4alkylamino-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those aromatic and heteroaromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_{20} is C_1-C_8alkyl-$COXR_{21}$ or $CH(C_6H_5)COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

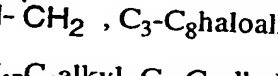
R_6 is COR_{40};

R_{40} is hydrogen, chlorine, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl

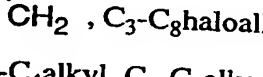
or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

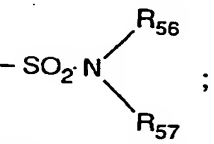
R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,  , C_3-C_8haloalkenyl, C_3-C_6cycloalkyl,  , C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, C_1-C_4alkyl-$COZR_{52}$, C_3-C_6cycloalkyl-$COZR_{52}$, C_1-C_4alkyl-CO-C_1-C_4alkyl or C_1-C_4cyanoalkyl;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,  , C_3-C_8haloalkenyl, C_3-C_6cycloalkyl,  , C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is  ;

R_{56} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl;

R_{57} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_4alkylcarbonyl; or

R_6 is C_1-C_8alkyl-B, C_1-C_8haloalkyl-B, C_2-C_8alkenyl-B, C_2-C_8alkynyl-B, C_2-C_8haloalkenyl-B, C_1-C_4alkoxy-C_1-C_4alkyl-B or C_1-C_4alkylthio-C_1-C_4alkyl-B; and

B is hydrogen, $-COZR_{52}$, cyano or C_1-C_4alkyl-C(O)-,

and the salts and stereoisomers of the compound of formula I.

The present invention relates also to compounds of formula I wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

n is 0, 1 or 2;

R_3 is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

R_4 is hydrogen, fluorine or chlorine;

R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, C_1-C_5alkyl, C_1-C_5haloalkyl, C_2-C_5alkenyl, C_2-C_5haloalkenyl, C_2-C_5alkynyl, C_2-C_5haloalkynyl, cyano, NHR_{10} or $NR_{10}R_{11}$;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those aromatic and heteroaromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_8alkyl-$COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, chlorine, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is COR_{40};

R_{40} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COZR_{52}$;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$,

and the salts and stereoisomers of the compound of formula I.

The present invention relates also to compounds of formula I wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4alkenyl or C_3- or C_4alkynyl;

n is 0, 1 or 2;

R_3 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

R_4 is hydrogen, fluorine or chlorine;

R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, cyano, NHR_{10} or $NR_{10}R_{11}$;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those aromatic and heteroaromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_8alkyl-$COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is COR_{40};

R_{40} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COZR_{52}$;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$,

and the salts and stereoisomers of the compound of formula I.

In the above definitions, unless otherwise indicated halogen is to be understood as being fluorine, chlorine, bromine and iodine, preferably fluorine, chlorine and bromine.

The alkyl, alkenyl and alkynyl groups may be straight-chained or branched, and this applies also to the alkyl, alkenyl and alkynyl moiety of alkylcarbonyl, haloalkyl, haloalkoxy, haloalkylcarbonyl, haloalkylphenyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkylthio, alkenylthio, alkynylthio, alkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkenylsulfonyl, alkynylsulfonyl, alkylphenyl, alkylamino, dialkylamino, alkylaminocarbonyl-alkyl, haloalkylamino, di(haloalkyl)amino, alkoxyalkylamino, carboxyalkyl, alkylthio-alkyl, alkylthio-alkoxycarbonyl, alkylthiocarbonyl-alkyl, alkenylthiocarbonyl, alkynylthiocarbonyl,

haloalkoxycarbonyl-alkyl, alkylcarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl and alkoxycarbonyl-alkyl groups.

Examples of alkyl groups that may be mentioned are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and the various isomeric pentyl, hexyl, heptyl and octyl radicals, preferably alkyl groups having from 1 to 4 carbon atoms.

Examples of alkenyls that may be mentioned are vinyl, allyl, methallyl, 1-methylvinyl, but-2-en-1-yl, pentenyl, 2-hexenyl, 3-heptenyl and 4-octenyl, preferably alkenyl radicals having a chain length of from 3 to 5 carbon atoms.

Example of alkynyls that may be mentioned are ethynyl, propargyl, 1-methylpropargyl, 3-butynyl, but-2-yn-1-yl, 2-methylbutyn-2-yl, but-3-yn-2-yl, 1-pentynyl, pent-4-yn-1-yl and 2-hexynyl, preferably alkynyl radicals having a chain length of from 2 to 4 carbon atoms.

Suitable as haloalkyl are alkyl groups mono- or poly-substituted, especially mono- to tri-substituted, by halogen, with halogen being in particular iodine and especially fluorine, chlorine and bromine, for example fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl and 2,2,2-trichloroethyl.

Suitable as haloalkenyl are alkenyl groups mono- or poly-substituted by halogen, with halogen being in particular bromine, iodine and especially fluorine and chlorine, for example 3-fluoropropenyl, 3-chloropropenyl, 3-bromopropenyl, 2,3,3-trifluoropropenyl, 2,3,3-trichloropropenyl, 4,4,4-trifluoro-but-2-en-1-yl and 4,4,4-trichloro-but-2-en-1-yl. Of the C_2-C_5alkenyl radicals mono-, di- or tri-substituted by halogen, preference is given to those having a chain length of 3 or 4 carbon atoms. The alkenyl groups may be substituted with halogen at saturated or unsaturated carbon atoms.

Haloalkenyl in the definition of R_6 as haloalkenylCOZR_{52} is, for example, 1,2-dichloroethenyl or 1,2-dibromoethenyl.

Suitable as haloalkynyl are, for example, alkynyl groups mono- or poly-substituted by halogen, with halogen being bromine, iodine and especially fluorine and chlorine, for example 3-fluoropropynyl, 3-chloropropynyl, 3-bromopropynyl, 3,3,3-trifluoropropynyl

and 4,4,4-trifluoro-but-2-yn-1-yl.

Carboxyalkyl is, for example, carboxymethyl, carboxyethyl, carboxyeth-1-yl and carboxypropyl.

Alkoxyalkyl is, for example, methoxymethyl, ethoxymethyl, propoxymethyl, methoxyethyl, ethoxyethyl, propoxyethyl, butoxyethyl, methoxypropyl, ethoxypropyl or propoxypropyl.

Alkoxy is, for example, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy.

Alkenyloxy is, for example, allyloxy, methallyloxy and but-2-en-1-yloxy.

Alkynyloxy is, for example, propargyloxy and 1-methylpropargyloxy.

Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl and n-butoxycarbonyl, preferably methoxycarbonyl and ethoxycarbonyl.

Alkenyloxycarbonyl is, for example, allyloxycarbonyl, methallyloxycarbonyl, but-2-en-1-yl-oxycarbonyl, pentenyloxycarbonyl, 2-hexenyloxycarbonyl and 3-heptenyloxycarbonyl.

Alkynyloxycarbonyl is, for example, propargyloxycarbonyl, 3-butynyloxycarbonyl, but-2-yn-1-yl-oxycarbonyl and 2-methylbutyn-2-yl-oxycarbonyl.

Alkylamino is, for example, methylamino, ethylamino and the isomeric propylamino and butylamino.

Dialkylamino is, for example, dimethylamino, diethylamino and the isomeric dipropylamino and dibutylamino.

Alkenylamino is, for example, allylamino, methallylamino and but-2-en-1-yl-amino.

Alkynylamino is, for example, propargylamino and 1-methylpropargylamino.

Cycloalkyl radicals that come into consideration as substituents are, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

Alkoxyalkoxycarbonyl is, for example, methoxymethoxycarbonyl, ethoxymethoxycarbonyl, ethoxyethoxycarbonyl, propoxymethoxycarbonyl, propoxyethoxycarbonyl, propoxypropoxycarbonyl, butoxyethoxycarbonyl and butoxybutoxycarbonyl.

Haloalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy and 2,2,2-trichloroethoxy.

Haloalkylamino is, for example, chloroethylamino, trifluoroethylamino and 3-chloropropylamino.

Di(haloalkyl)amino is, for example, di(chloroethyl)amino.

Alkylthioalkyl is, for example, methylthioethyl, ethylthioethyl, methylthiopropyl and ethylthiopropyl.

Alkenylthiocarbonyl is, for example, allylthiocarbonyl, methallylthiocarbonyl, but-2-en-1-yl-thiocarbonyl, pentenylthiocarbonyl and 2-hexenylthiocarbonyl.

Alkynylthiocarbonyl is, for example, propargylthiocarbonyl, 1-methylpropargylthiocarbonyl and but-2-yn-1-yl-thiocarbonyl.

Phenyl, benzyl or benzoyl as part of a substituent such as phenoxy, phenoxycarbonyl, phenoxycarbonylalkyl, benzoylamino or benzylamino is unsubstituted or substituted. The substituents may then be in the ortho-, meta- or para-position. Substituents are, for example, C_1-C_4alkyl, halogen, C_1-C_4haloalkyl, cyano, nitro, hydroxy, C_1-C_4alkoxy, C_1-C_4haloalkoxy, amino, C_1-C_4alkylamino, di-C_1-C_4alkylamino, carboxyl, C_1-C_4alkoxycarbonyl, carbamoyl, C_1-C_4alkylaminocarbonyl or di-C_1-C_4alkylaminocarbonyl.

Corresponding meanings can be assigned also to the substituents in combined definitions, for example cycloalkyl-oxy, cycloalkyl-thio, cycloalkylcarbonyl, cycloalkyl-oxycarbonylalkyl, phenylalkyl, phenylalkenyl, alkoxycarbonylalkyl, alkenyloxycarbonylalkyl, alkynyl-

oxycarbonyl-alkyl, haloalkoxycarbonyl-alkyl, alkylaminocarbonyl-alkyl, alkenylaminocarbonyl-alkyl, alkynylaminocarbonyl-alkyl, dialkylaminocarbonyl-alkyl, alkoxyalkylamino, alkoxyalkylaminocarbonyl-alkyl, dialkoxyalkylamino, alkoxyalkoxycarbonyl, alkoxyalkoxycarbonyl-alkyl, alkylaminocarbonyl, alkylaminocarbonyl-alkyl, dialkylaminocarbonyl-alkyl, alkylthio-alkoxycarbonyl, alkylthiocarbonyl, alkylthio-alkyl, alkylthiocarbonyl-alkyl and haloalkoxycarbonyl-alkyl, and also for the combined definitions of the hydroxamic acid derivatives, such as, for example, for R_6=CON(R_{50})OR_{54} or R_6=COY-C_1-C_4alkyl-CON(R_{52})OR_{55}.

Salts of the compounds of formula I with acidic hydrogen, especially the derivatives with carboxylic acid groups (for example carboxy-substituted alkyl and phenyl groups) are, for example, alkali metal salts, for example sodium and potassium salts; alkaline earth metal salts, for example calcium and magnesium salts; ammonium salts, that is to say unsubstituted ammonium salts and mono- or poly-substituted ammonium salts, for example triethylammonium and methylammonium salts; or salts with other organic bases.

Of the alkali metal and alkaline earth metal hydroxides as salt-forming agents, special mention should be made, for example, of the hydroxides of lithium, sodium, potassium, magnesium or calcium, but especially those of sodium or potassium.

Examples of amines suitable for ammonium salt formation are both ammonia and primary, secondary and tertiary C_1-C_{18}alkylamines, C_1-C_4hydroxyalkylamines and C_2-C_4alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine, isopropylamine, the four isomeric butylamines, n-amylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methyl-ethylamine, methyl-isopropylamine, methyl-hexylamine, methyl-nonylamine, methyl-pentadecylamine, methyl-octadecylamine, ethyl-butylamine, ethyl-heptylamine, ethyl-octylamine, hexyl-heptylamine, hexyl-octylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, dibutenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amines, for example pyridine, quinoline, isoquinoline, morpholine, thio-

morpholine, N-methylmorpholine, N-methyl-thiomorpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxy-anilines, ethoxyanilines, o,m,p-toluidines, phenylenediamines, benzidines, naphthylamines and o,m,p-chloroanilines; but especially triethylamine, isopropylamine and diisopropyl-amine.

Salts of the compounds of formula I with basic groups, especially the derivatives with amino groups, for example alkylamino, dialkylamino or alkenylamino, are, for example, salts with inorganic and organic acids, for example hydrohalic acids, such as hydrofluoric acid, hydrochloric acid, hydrobromic acid or hydroiodic acid, and also sulfuric acid, phosphoric acid, nitric acid and organic acids, such as acetic acid, trifluoroacetic acid, trichloroacetic acid, propionic acid, glycolic acid, thiocyanic acid, citric acid, benzoic acid, oxalic acid, formic acid, benzenesulfonic acid, p-toluenesulfonic acid, methane-sulfonic acid and salicylic acid.

The possible presence of at least one asymmetric carbon or sulfur atom in the compounds of formula I, for example in the substituent R_6=OR_{20} wherein R_{20} is a branched alkyl, alkenyl, haloalkyl or alkoxyalkyl group, or R_6=$S(O)_mR_{30}$ wherein, for example, m=1 and/or R_{30} is a branched alkyl, alkenyl, haloalkyl or alkoxyalkyl group, means that the compounds can occur both as optically active individual isomers and in the form of racemic mixtures. In the present invention, the compounds of formula I are to be under-stood as including both the pure optical antipodes and the racemates or diastereoisomers.

If an aliphatic C=C double bond is present, geometric isomerism may occur. The present invention relates also to those isomers.

Preference is given to compounds of formula I wherein R_5 is chlorine, bromine, methyl, trifluoromethyl or cyano.

Preference is given also to compounds of formula I wherein R_6 is hydrogen, halogen, OR_{20}, $S(O)_mR_{30}$ or $COYR_{50}$.

Compounds of formula I wherein n is 0 or 2 are also preferred.

Compounds of formula I wherein R_1 is methyl are also preferred.

Preference is also given to compounds of formula I wherein R_2 is methyl.

Also preferred are compounds of formula I wherein R_3 is methyl or ethyl.

Compounds of formula I wherein R_3 is methyl are especially preferred.

In a group of very especially preferred compounds of formula I, R_4 is fluorine.

In a further very especially preferred group of compounds of formula I, R_4 is hydrogen.

In another very especially preferred group of compounds of formula I, R_4 is chlorine.

In a further group of very especially preferred compounds of formula I, R_4 is chlorine; and R_6 is OR_{20} wherein R_{20} is as defined for formula I.

A group of very especially preferred compounds of formula I comprises compounds wherein R_4 is fluorine; and R_6 is OR_{20} wherein R_{20} is as defined for formula I.

Further groups of very especially preferred compounds of formula I comprise compounds wherein R_4 is chlorine; and R_6 is $S(O)_mR_{30}$ wherein R_{30} and m are as defined for formula I.

In other groups of very especially preferred compounds of formula I, R_4 is fluorine; and R_6 is $S(O)_mR_{30}$ wherein R_{30} and m are as defined for formula I.

A further group of very especially preferred compounds of formula I comprises compounds wherein R_4 is chlorine; and R_6 is COR_{40}, $COYR_{50}$, C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$ wherein R_{40}, R_{50}, R_{52}, Y and Z are as defined for formula I.

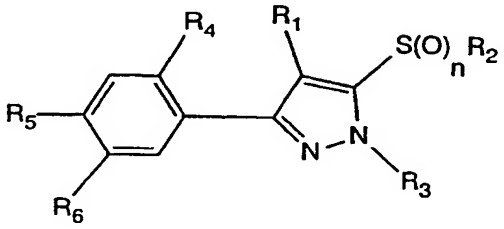
A further group of very especially preferred compounds of formula I comprises compounds wherein R_4 is fluorine; and R_6 is COR_{40}, $COYR_{50}$, C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$ wherein R_{40}, R_{50}, R_{52}, Y and Z are as defined for formula I.

In a further group of compounds of formula I that are likewise very especially preferred,

R_5 is chlorine; and R_6 is -$COYR_{50}$.

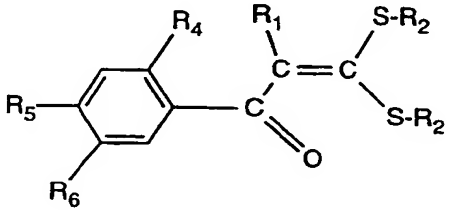
Another group of likewise very especially preferred compounds of formula I comprises compounds wherein R_5 is chlorine; and R_6 is C_1-C_4alkyl-B or C_1-C_4haloalkyl-B.

The compounds of formula I



(I)

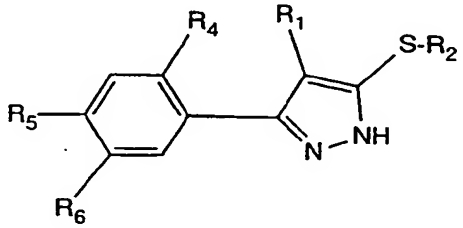
wherein R_1 to R_6 and n are as defined for formula I can be prepared by means of processes known *per se*, for example by cyclising a compound of formula III



(III),

wherein R_1, R_2 and R_4 to R_6 are as defined,

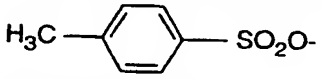
a) with hydrazine optionally in the presence of a suitable solvent to form a compound of formula IIa

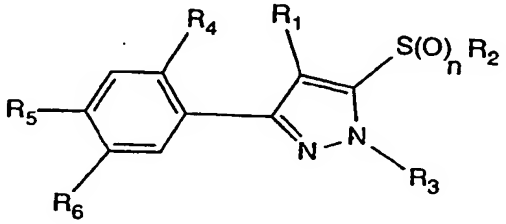


(IIa)

and then reacting that compound in the presence of a compound of formula Xa containing a corresponding C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl group

$$R_3\text{-}L_1 \qquad \text{(Xa)},$$

the radical R_3 in the compounds of formula Xa being as defined for formula I and L_1 being a leaving group, preferably chlorine, bromine, iodine, CH_3SO_2O- or  , optionally in the presence of a suitable solvent to form a compound of formula I



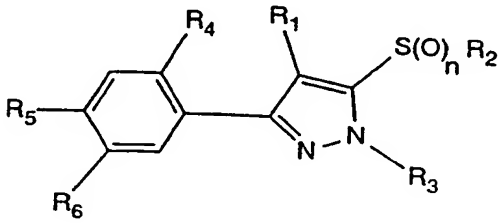
(I)

wherein n is 0, and then oxidising that compound; or

b) with a compound of formula XI

$$NH_2\text{-}NH\text{-}R_3 \qquad \text{(XI)},$$

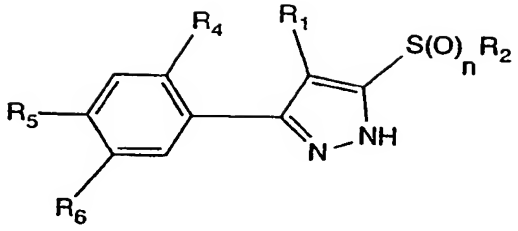
wherein R_3 is as defined, optionally in the presence of a suitable solvent, to form a compound of formula I



(I),

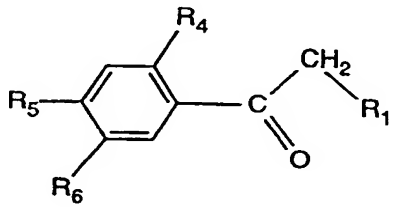
wherein R_1 to R_6 are as defined, and n is 0, and then oxidising that compound.

The process according to the invention for the preparation of a compound of formula II



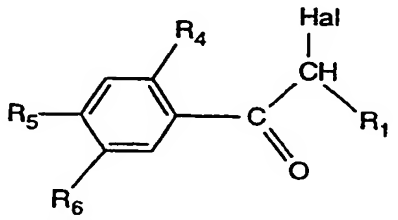
(II),

wherein R_1, R_2, R_4 to R_6 and n are as defined for formula I, is carried out analogously to known processes and comprises halogenating a compound of formula IV



(IV),

optionally in the presence of a solvent and a base, for example acetic acid and sodium acetate, to form a compound of formula XII

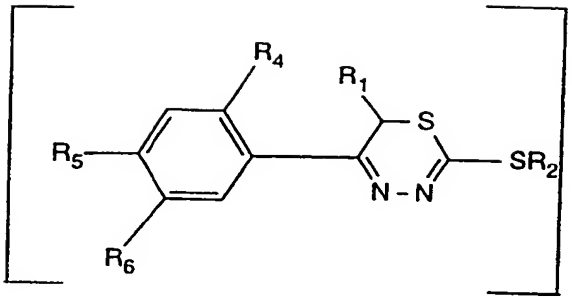


(XII),

R_1 and R_4 to R_6 in the compounds of formulae IV and XII being as defined and Hal being halogen, especially chlorine and bromine, and cyclising that compound of formula XII with a compound of formula XIII

$$H_2N\text{-}NH\text{-}C(S)S\text{-}R_2 \qquad \text{(XIII)},$$

wherein R_2 is as defined, optionally in the presence of a solvent, for example an alcohol, for example ethanol, and a base, for example an alcoholate, for example an ethanolate, to form a compound of formula XIV



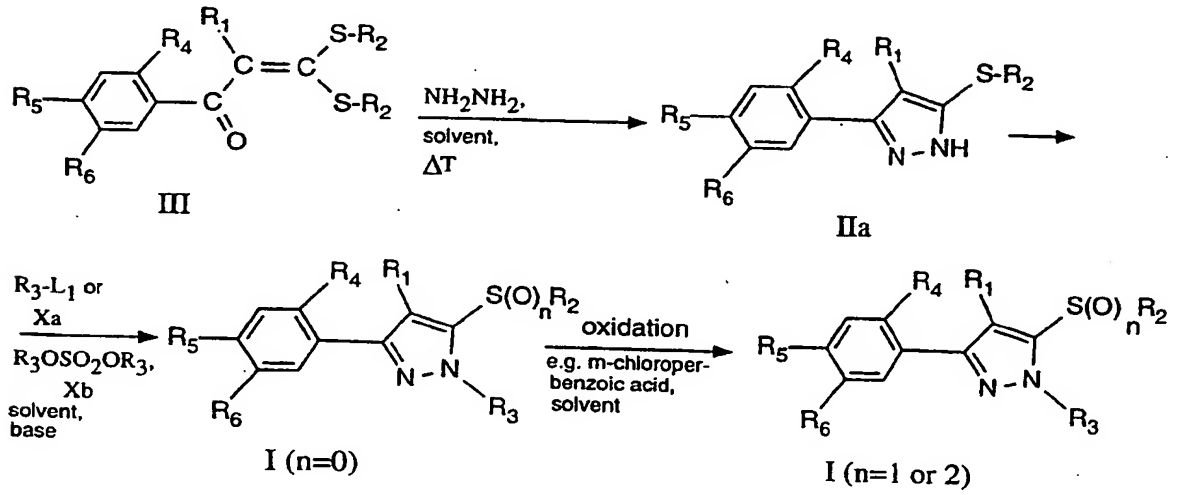
(XIV),

which is not isolated, and then subjecting that compound to a ring contraction (extrusion reaction) (n=0) thermally or by acid catalysis, for example with 2N hydrochloric acid, and then oxidising the product (n=1 or 2).

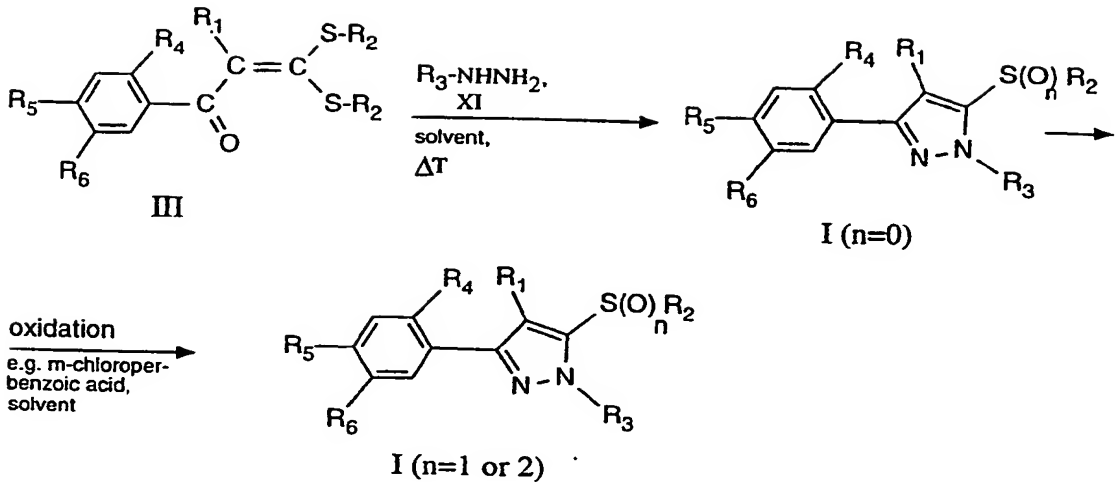
The formation of the pyrazole rings of the compounds of formula I wherein n is 0, 1 or 2 is illustrated in more detail in Reaction Schemes 1, 2 and 10 below.

Reaction Scheme 1

Route a):



Route b):

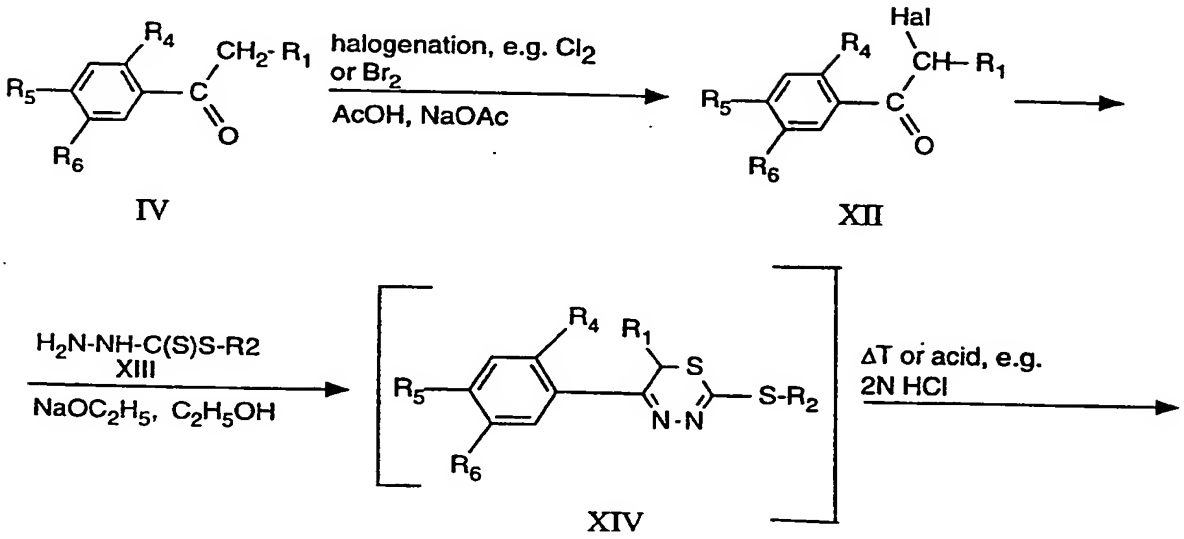


III

I (n=0)

I (n=1 or 2)

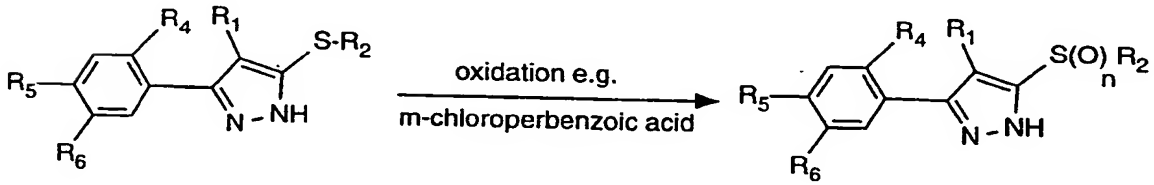
Reaction Scheme 2



IV

XII

XIV



IIa

II (n=1 or 2)

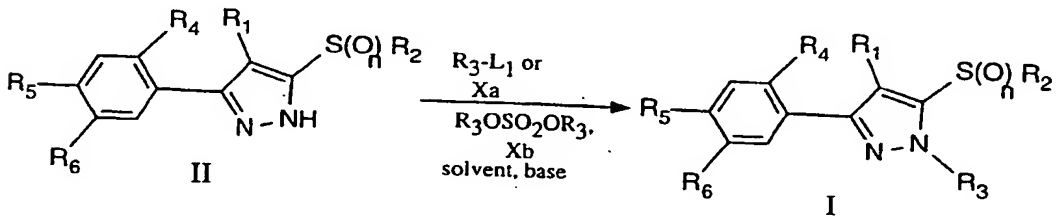
The formation of the pyrazole rings of formula IIa that are unsubstituted at the nitrogen atoms (Reaction Scheme 1, Route a)) is carried out by reaction of the compounds of formula III with hydrazine or hydrazine hydrate optionally in the presence of a suitable solvent at elevated temperature, preferably with hydrazine hydrate in alcoholic solution at elevated temperature.

For the formation of the pyrazole rings that are substituted at the nitrogen atom (Reaction Scheme 1, Route b)), the procedure is analogous to that indicated under Reaction Scheme 1, Route a), with a compound of formula XI, for example N-alkylhydrazine, preferably N-methylhydrazine, being used as reagent.

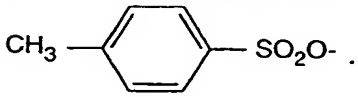
The formation of the pyrazole rings of formulae II and IIa that are unsubstituted at the nitrogen atoms (Reaction Scheme 2) can be carried out, for example, also by halogenation of the compounds of formula IV preferably with chlorine or bromine optionally in the presence of a suitable solvent and a base, for example acetic acid and sodium acetate, subsequent cyclisation with a compound of formula XIII optionally in a solvent, for example an alcohol, preferably ethanol, and in the presence of a base, for example an alcoholate, preferably an ethanolate, and ring contraction (extrusion reaction) analogously to known procedures, as described, for example, in Chem. Ber. 92, 2593 (1959) or Acta Chem. Scand. 16, 2395 (1962). That method, described in Reaction Scheme 2, is suitable for the preparation of derivatives of formulae IIa and II that are substituted by halogen, especially by fluorine and chlorine, at the phenyl ring.

In certain cases it is advantageous to prepare the N-alkyl-substituted pyrazole derivatives, especially the N-methyl-substituted pyrazole derivatives, *via* N-alkylation of the corresponding unsubstituted pyrazoles of formula II (or IIa). Reaction Scheme 3 illustrates this.

Reaction Scheme 3



In Reaction Schemes 1, Route b), and 3, the radical R_3 in the hydrazine derivative of

formula XI and in the alkylating agents of formulae Xa and Xb is as defined for formula I, and L_1 is a leaving group, for example chlorine, bromine, iodine, CH_3SO_2O- or  .

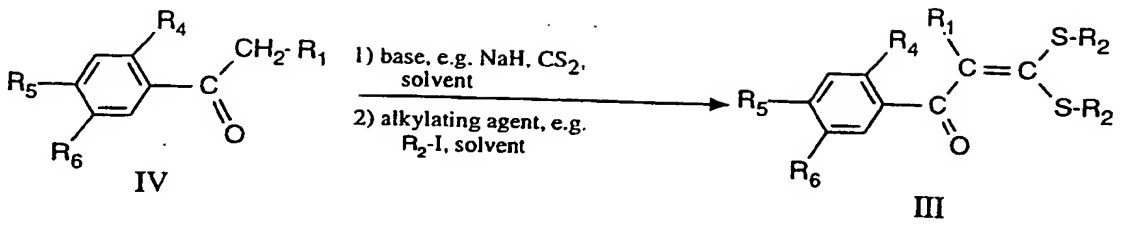
The N-alkylation of the pyrazole rings in the compounds of formulae II and IIa in Reaction Schemes 1 and 3 is carried out at room temperature or at slightly elevated temperatures in the presence of a solvent, for example acetone, methyl ethyl ketone, N,N-dimethylformamide, N-methylpyrrolidone or dimethyl sulfoxide, a base, for example potassium carbonate, sodium carbonate, sodium hydroxide or potassium hydroxide, and an alkylating agent of formula Xa or Xb, preferably methyl iodide or dimethyl sulfate.

The selection of the suitable preparation method and the corresponding reaction conditions is made in accordance with the properties (reactivities) of the substituents in the intermediates in question.

The subsequent oxidation of the compounds of formula I wherein n is 0 (Reaction Schemes 1 and 2) is carried out, for example, with peracids, for example m-chloroperbenzoic acid, or hydrogen peroxide in the presence of a suitable solvent, for example dichloromethane, chloroform or carbon tetrachloride, at temperatures of from -40°C to the reflux temperature of the solvent in question, preferably from 0°C to 35°C. The degree of oxidation at the sulfur atom can be controlled by the amount of oxidising agent: in the case of an equimolar amount of oxidising agent, compounds of formula I wherein n is 1 are obtained and in the case of an excess (at least 2 mol) of oxidising agent, compounds of formula I wherein n is 2 are obtained.

The starting compound of formula III in Reaction Scheme 1 can be prepared analogously to known procedures, for example in accordance with the method given in Reaction Scheme 4 below:

Reaction Scheme 4



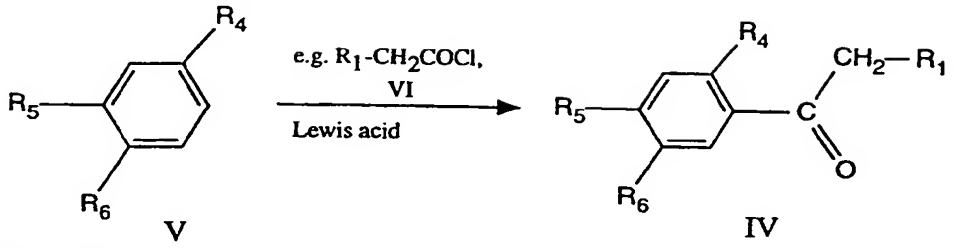
The reaction in Reaction Scheme 4 is carried out, for example, analogously to WO 92/02509, according to which the phenylcarbonyl derivative of formula IV is allowed to react in the presence of a base, for example sodium hydride or potassium tert-butanolate, and an aprotic solvent, for example tetrahydrofuran, with carbon disulfide at temperatures of from 0°C to 80°C, and immediately afterwards an alkylating agent, for example R_2-Hal or $R_2OSO_2OR_2$ wherein R_2 is as defined for formula I and Hal is halogen, especially chlorine, bromine or iodine, is added at temperatures of from 0°C to the reflux temperature of the solvent used.

The compounds of formula XIII in Reaction Scheme 2 can be prepared in accordance with known methods (for example Chem. Ber. 92, 2593 (1959) or Acta Chem. Scand. 16, 2395 (1962)), for example by reaction of hydrazine or hydrazine hydrate with carbon disulfide and subsequent alkylation with the reagent R_2-Hal or $R_2OSO_2OR_2$ wherein R_2 is as defined for formula I and Hal is halogen, especially chlorine or bromine, in the presence of a base. Suitable solvents are, for example, alcohols, for example ethanol, and suitable bases are, for example, alcoholates, for example sodium methanolate or sodium ethanolate, or potassium or sodium hydroxide.

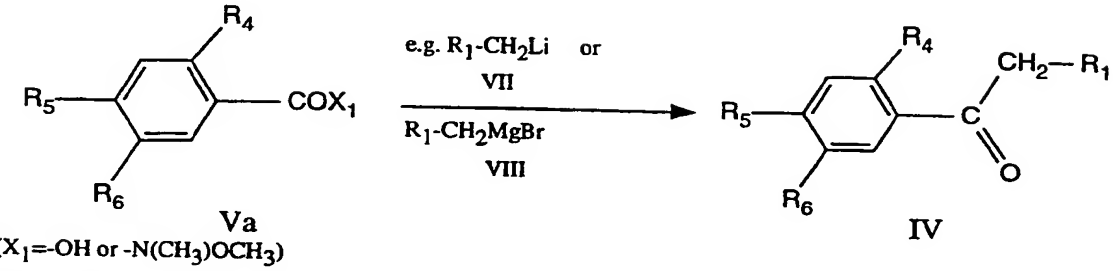
The starting compound of formula IV in Reaction Scheme 4 can be prepared analogously to known procedures, for example in accordance with Methods a), b), c) and d) given in Reaction Scheme 5 below.

Reaction Scheme 5

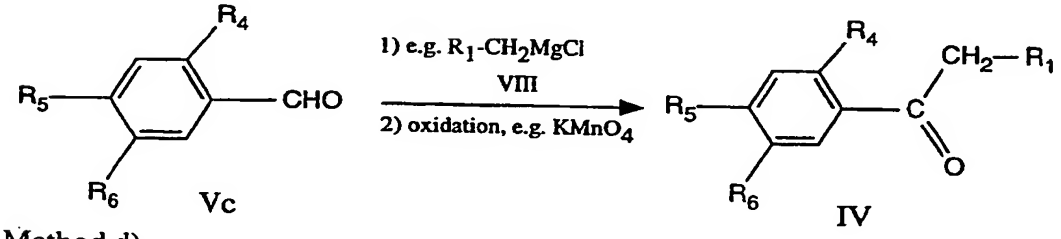
Method a):



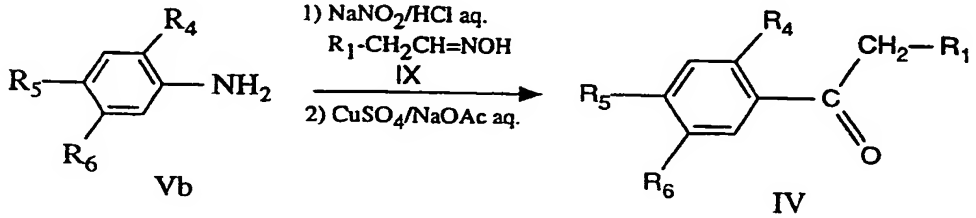
Method b):



Method c):



Method d):



In Reaction Scheme 5, the radicals R_1, R_4, R_5 and R_6 are as defined for formula I, it being necessary to note that not all substituent definitions are compatible with all the procedures indicated. The selection of the suitable preparation method is made in accordance with the properties (reactivities) of the substituents in the intermediates in question.

The reaction according to Method a) in Reaction Scheme 5 is carried out analogously to 'Vogel's Textbook of Practical Organic Chemistry', Longman 1989, page 1006 ff. In that

reaction the aromatic compound of formula V is allowed to react in the presence of a carboxylic acid derivative, for example a carboxylic acid chloride of formula VI and an acid, for example a Lewis acid such as aluminium chloride, with or without a solvent at temperatures of from 0°C to 150°C.

The reaction according to Method b) in Reaction Scheme 5 is carried out, for example, starting from the carboxylic acid derivatives of formula Va wherein X_1 is -OH or $-N(CH_3)OCH_3$ with an alkyllithium compound of formula VII or a Grignard compound (alkylmagnesium chloride or bromide) of formula VIII in an inert solvent, preferably diethyl ether at temperatures of from -100°C to 50°C, analogously to Organic Reactions 18, 1 (1970), Organic Synthesis 49, 81 (1969) and 'Comprehensive Organic Transformations', Editor R.C. Larock, VCH 1989, page 685.

The reaction according to Method c) in Reaction Scheme 5 is carried out analogously to 'Advanced Organic Chemistry', Editor J. March, McGraw-Hill Book Company, New York, 1985, pages 816 ff. and 1057 ff., starting from an aldehyde of formula Vc by means of a Grignard reagent of formula VIII, for example alkylmagnesium chloride or bromide, or by means of alkyllithium in an inert solvent, preferably diethyl ether, at temperatures of from -80°C to 25°C and subsequent oxidation of the alcohol to the ketone. Suitable oxidising agents are, for example, potassium permanganate, pyridinium dichromate and sodium dichromate.

The reaction according to Method d) in Reaction Scheme 5 is carried out analogously to J. Chem. Soc. 1954, 1297. The amines of formula Vb are accordingly first diazotised to form the corresponding diazonium salts and allowed to react with an aldehydeoxime of formula IX. Subsequent hydrolysis, for example with aqueous sodium acetate and copper sulfate, yields the corresponding methyl ketone of formula IV.

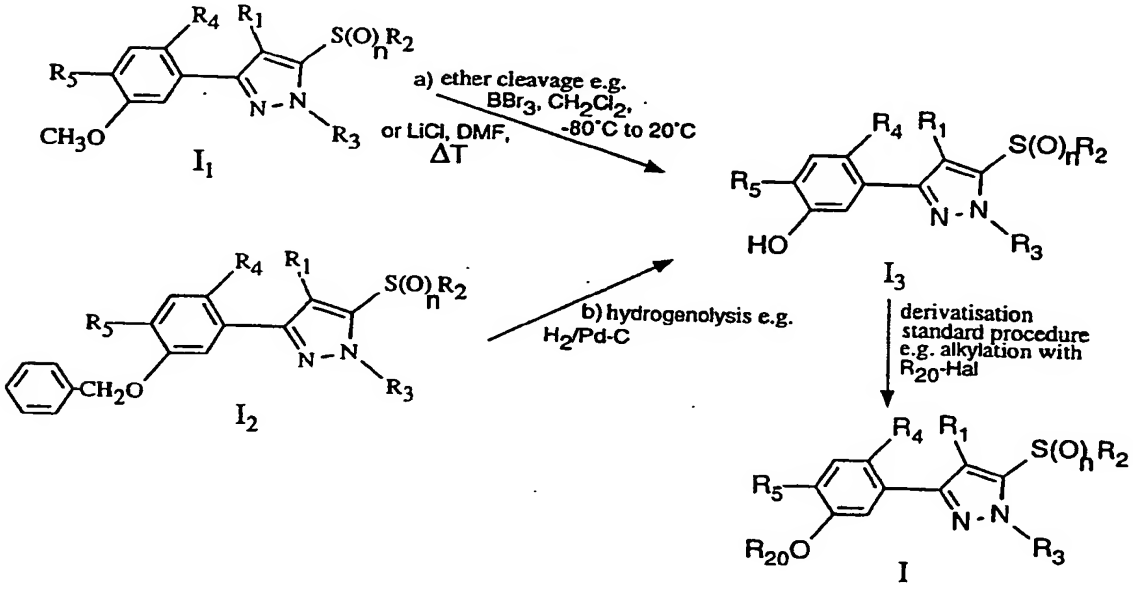
The starting compounds of formulae V, Va, Vb, Vc, VI, VII, VIII, IX and XI in Reaction Schemes 1, Route b), and 5 are known or can be prepared in accordance with known procedures.

The phenylpyrazole derivatives of formula II are novel and have been developed especially for the synthesis of the compounds of formula I. They are therefore also a subject of the present invention.

A large number of known standard procedures is available for the preparation of the phenylpyrazoles of formula I substituted in the 5-position of the phenyl ring (R_6), the selection of the suitable preparation processes being made in accordance with the properties (reactivities) of the substituents in the intermediates in question. Some examples are given in Reaction Schemes 6 to 9.

The preparation of the phenylpyrazole derivatives of formula I that are O-substituted in the 5-position of the phenyl ring, wherein $R_6 = OR_{20}$, starting from the methoxy- or benzyloxy-substituted derivatives of formula I_1 or I_2, respectively, is illustrated in Reaction Scheme 6.

Reaction Scheme 6

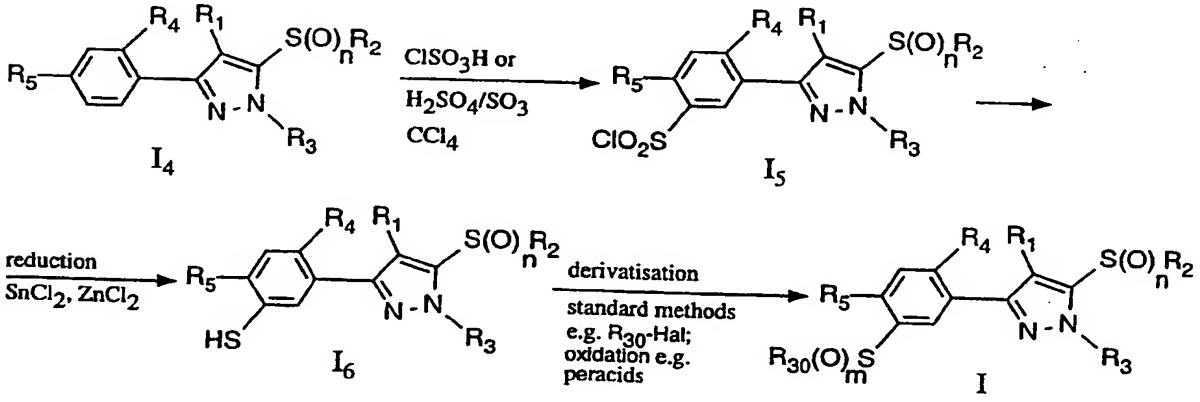


The phenolpyrazole derivatives of formula I_3 in Reaction Scheme 6 can be obtained, for example, a) from the compounds of formula I_1 *via* ether cleavage by means of lithium chloride in N,N-dimethylformamide (DMF) at elevated temperature, as described, for example, in Synthesis.1989, 287, or by means of boron tribromide in dichloromethane at temperatures of from -80°C to 20°C, as described, for example, in Org. Synth., Collect. Vol. V, 412, 1973; or b) from the compounds of formula I_2 *via* hydrogenolysis by means of hydrogen in the presence of a catalyst, for example palladium on carbon, as described, for example, in J. Am. Chem. Soc. 93, 746 (1971).

The derivatisation of the phenolpyrazoles of formula I_3 in Reaction Scheme 6 to form the compounds of formula I can be carried out in accordance with standard procedures, for example *via* alkylation with R_{20}-Hal wherein R_{20} is as defined for formula I and Hal is halogen, especially chlorine, bromine or iodine.

The preparation of the phenylpyrazole derivatives of formula I that are S-substituted in the 5-position of the phenyl ring, wherein $R_6=S(O)_mR_{30}$, starting from the derivatives of formula I_4 that are unsubstituted in the 5-position, is illustrated in Reaction Scheme 7.

Reaction Scheme 7

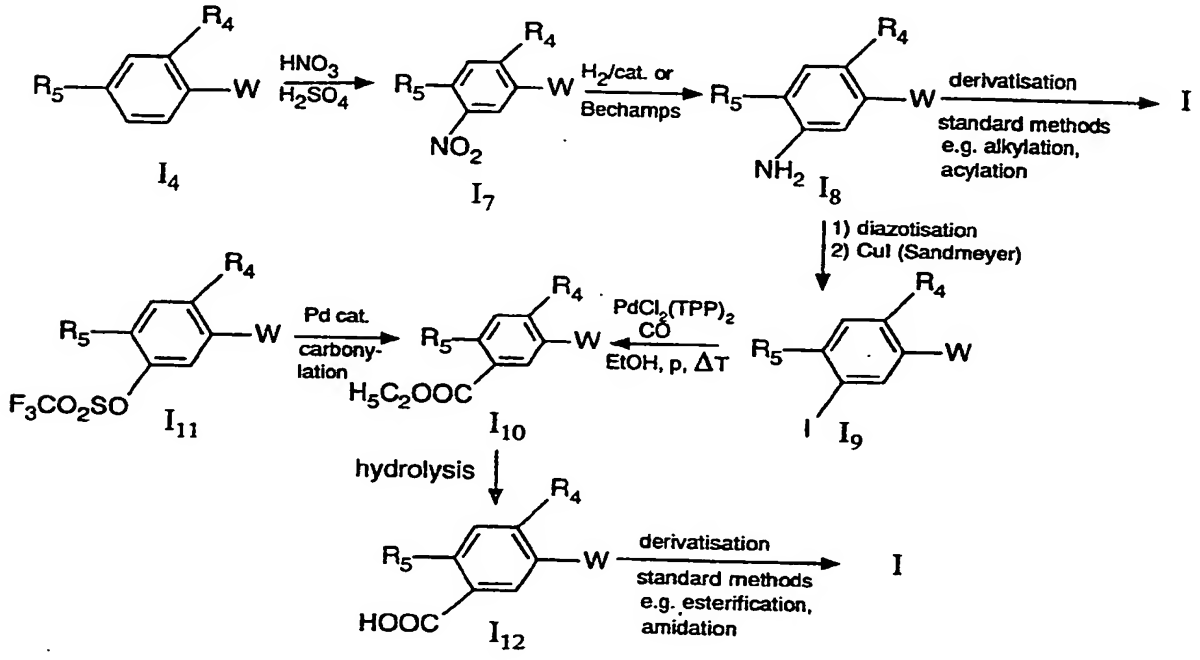


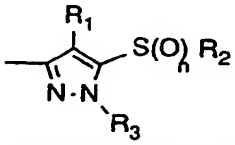
The preparation of the thiophenolpyrazoles of formula I_6 in Reaction Scheme 7 can be carried out analogously to known procedures, as described, for example, in J. Org. Chem. 54, 6096 (1989), EP-A-0 259 265 or in "Sulfonation and Related Reactions", Editor Gilbert, Interscience Publishers, New York 1965. The phenylpyrazole of formula I_4 is then chlorosulfonylated with chlorosulfonic acid or sulfur trioxide in sulfuric acid to form the compound of formula I_5 and then reduced with tin chloride or zinc chloride to the thiophenol derivative of formula I_6. The derivatisation of the thiophenolpyrazoles of formula I_6 to form the compounds of formula I in Reaction Scheme 7 can be carried out in accordance with standard procedures, for example *via* alkylation with R_{30}-Hal wherein R_{30} is as defined for formula I and Hal is halogen, especially chlorine, bromine or iodine (m=0). The subsequent oxidation to the sulfine or sulfone derivatives of formula I (m= 1 or 2, respectively) can likewise be carried out in accordance with standard procedures, for example with peracids, for example m-chloroperbenzoic acid.

The preparation of the phenylpyrazole derivatives of formula I that are carboxy-

substituted in the 5-position of the phenyl ring, wherein R_6 is halogen, cyano, nitro, amino, NHR_{10}, $NR_{10}R_{11}$, COR_{40} or $COYR_{50}$, starting from the derivatives of formula I_4 or I_{11} that are unsubstituted in the 5-position or triflate-substituted in the 5-position, respectively, is illustrated in Reaction Scheme 8.

Reaction Scheme 8



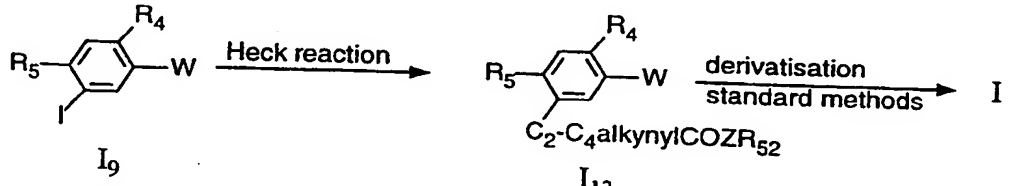
In Reaction Scheme 8, W is the radical  wherein R_1 to R_3 and n are as defined for formula I. In accordance with Reaction Scheme 8, the phenylpyrazole of formula I_4 can be converted into an aniline derivative of formula I_8 in accordance with standard procedures, for example nitration in a nitric acid and sulfuric acid mixture and subsequent reduction of the resulting nitro compound of formula I_7 with hydrogen in the presence of a catalyst or according to Bechamps. The aniline derivative of formula I_8 can then be either derivatised directly to form the corresponding compounds of formula I according to standard procedures, for example alkylation or acylation, or converted into the halogen compound of formula I_9 by means of diazotisation and Sandmeyer reaction. The benzoic acid ester of formula I_{10} in Reaction Scheme 8 can be obtained, for example, analogously to J. Org. Chem. 39, 3318 (1974) or ibid. 40, 532 (1975) from the compound

of formula I_9 by means of carbon monoxide and a catalyst, for example palladium chloride-triphenylphosphine ($PdCl_2(TPP)_2$) in the presence of a solvent, for example ethanol, optionally under pressure at elevated temperature. A further possible method of preparing the intermediate of formula I_{10} is carried out analogously to Tetrahedron Letters 25, 2271 (1984) and ibid. 27, 3931 (1986). According to that method, the compound of formula I_{11} is carbonylated in the presence of a catalyst, for example palladium. The subsequent hydrolysis of the benzoic acid ester of formula I_{10} yields the benzoic acid derivative of formula I_{12}, which can be converted into the corresponding compounds of formula I in accordance with standard procedures, for example esterification or amidation.

The preparation of the phenylpyrazole derivatives of formula I that are substituted in the 5-position of the phenyl ring, wherein R_6 is C_1-C_4alkylCOZR$_{52}$, C_1-C_4haloalkylCOZR$_{52}$, C_2-C_4alkenylCOZR$_{52}$, C_2-C_4alkynylCOZR$_{52}$ or C_2-C_4haloalkenylCOZR$_{52}$, starting from the derivatives of formula I_9 that are substituted in the 5-position of the phenyl ring by halogen, especially by chlorine, bromine or iodine, *via* Heck reaction (Route a)), or starting from the derivatives of formula I_8 that are substituted in the 5-position of the phenyl ring by amino *via* diazotisation and subsequent Meerwein reaction, is illustrated in Reaction Scheme 9.

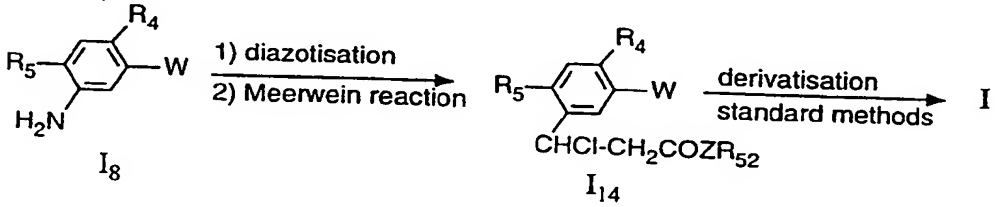
Reaction Scheme 9

Route a):

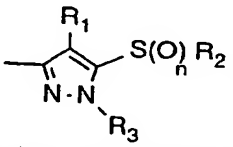


I_9 I_{13}

Route b):



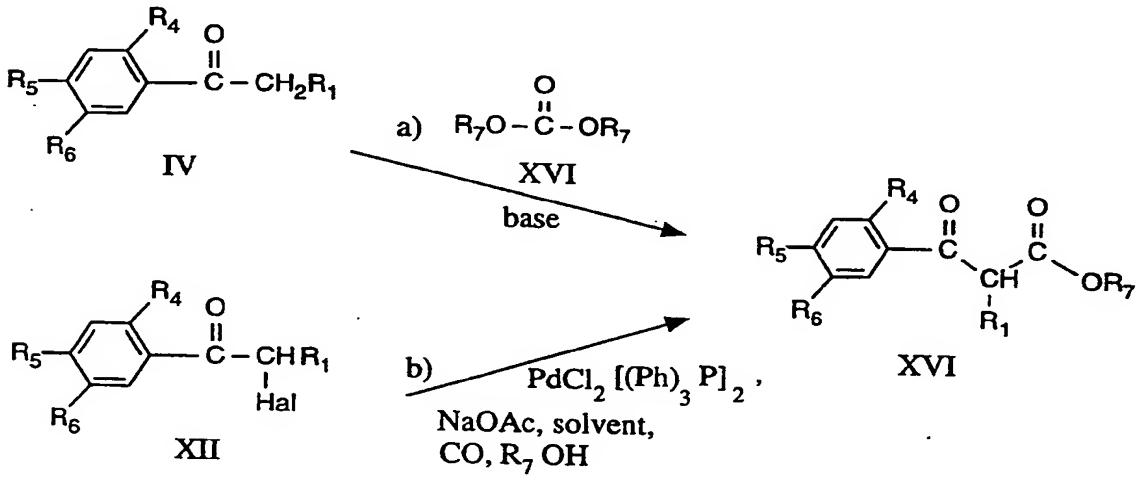
I_8 I_{14}

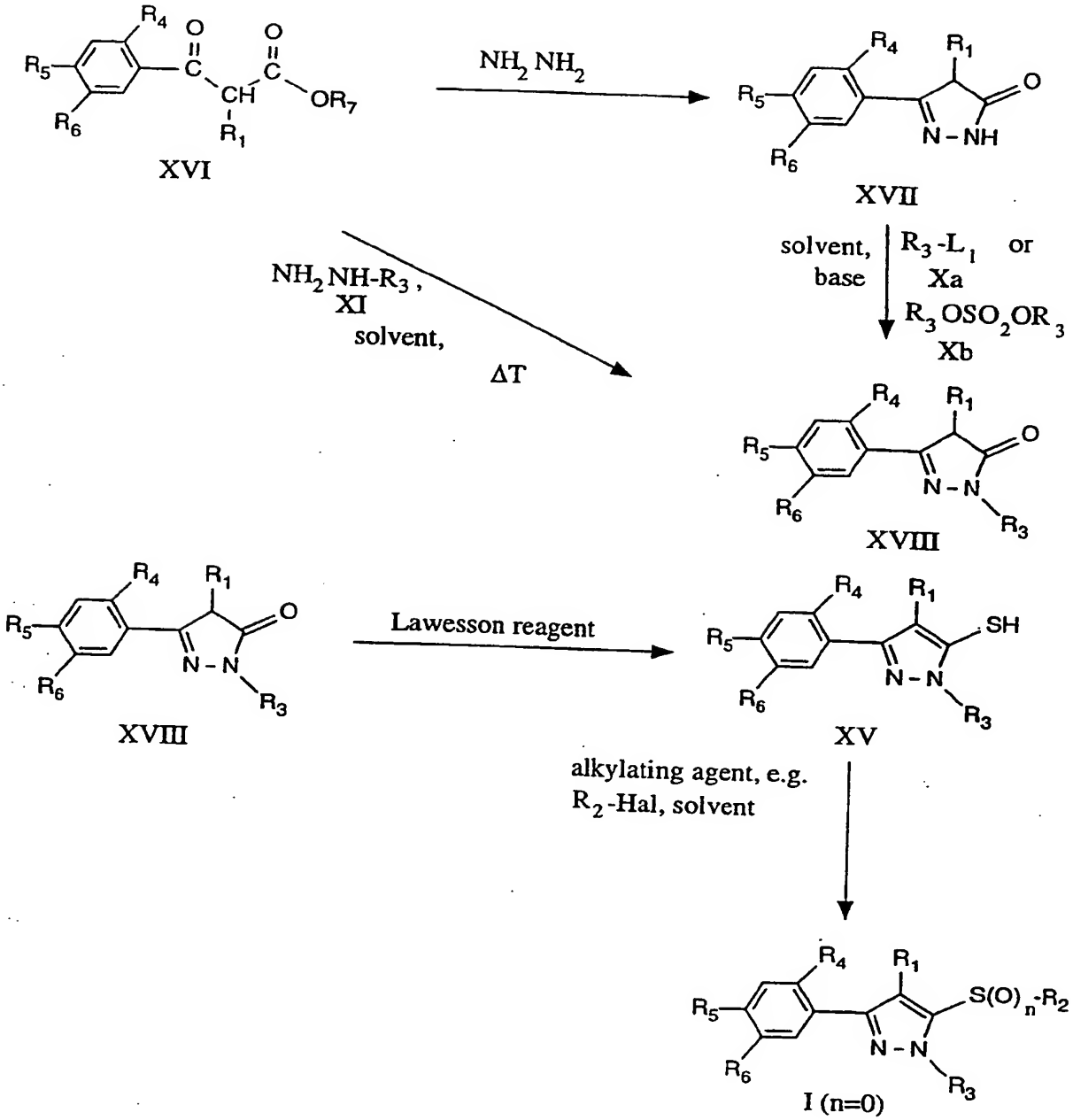
In Reaction Scheme 9, W is the radical  wherein R_1 to R_3 and n are as defined for formula I. In accordance with Reaction Scheme 9, Route a), the alkynyl ester derivatives of formula I_{13} can be prepared, for example, *via* Heck reaction analogously to

R. F. Heck in W. G. Dauben (Edit.), Organic Reactions 27, 345 (1982). It is possible to obtain therefrom by means of standard procedures, for example by means of partial or complete hydrogenation, the corresponding alkenyl- or alkyl-$COZR_{52}$ derivatives, respectively, or *via* halogenation the corresponding haloalkenyl- or haloalkyl-$COZR_{52}$ derivatives of formula I.

In accordance with Reaction Scheme 9, Route b), the haloalkyl$COZR_{52}$ derivatives of formula I_{14} can be produced from the aniline derivatives of formula I_8 analogously to Organic Reactions 11, 189-260 (1960) *via* diazotisation and Meerwein reaction. Known standard procedures, for example hydrogenolysis or halogen removal, yield therefrom the corresponding alkyl- or alkenyl-$COZR_{52}$ derivatives of formula I.

Reaction scheme 10





The formation of the pyrazole rings of the compounds of formula I according to Reaction Scheme 10 is carried out

a) starting from the ketone derivative of formula IV wherein R_1 and R_4 to R_6 are as defined for formula I, by reaction with a carbonate of formula XVI wherein R_7 is C_1-C_4alkyl, phenyl or benzyl, in the presence of a base, especially the corresponding sodium alcoholate $R_7O^- {}^+Na$, in a solvent, for example the corresponding alcohol R_7OH

together with a second solvent, for example an ether or hydrocarbon, at temperatures of from 0°C to the boiling point of the solvent in question, or

b) starting from the α-haloketone of formula XII wherein R_1 and R_4 to R_6 are as defined and Hal is halogen, especially chlorine or bromine, by carbonylation in the presence of the palladium(II) chloride•bis-triphenylphosphine complex $PdCl_2[(PH)_3P]_2$ as catalyst, carbon monoxide and a phase transfer catalyst in a suitable solvent, for example the alcohol R_7OH wherein R_7 is as defined, together with a second solvent, for example N,N-dimethylformamide, analogously to Indian J. Chem. B 31, 363 (1982).

In Reaction Scheme 10 the preparation of the pyrazolinone rings of formula XVII that are unsubstituted at the nitrogen atom is carried out by reaction of the above-prepared keto ester of formula XVI with hydrazine or hydrazine hydrate optionally in the presence of a suitable solvent at elevated temperature, preferably hydrazine hydrate in alcoholic solution at elevated temperature.

For the preparation of the pyrazolinone rings of formula XVIII that are substituted at the nitrogen atom, the reagent used is the compound of formula XI, for example N-alkylhydrazine, preferably N-methylhydrazine.

If desired, the substituted pyrazolinone derivative of formula XVIII can be prepared also *via* N-alkylation of the corresponding unsubstituted pyrazolinones of formula XVII, in a manner analogous to that described in Reaction Scheme 3.

The conversion of the pyrazolinone derivatives of formula XVIII into the corresponding mercapto analogues of formula XV is carried out in accordance with standard methods, for example with the aid of Lawesson reagent in a suitable solvent at elevated temperatures. The subsequent S-alkylation yields compounds of formula I (n=0) and is carried out in accordance with standard methods with the aid of an alkylating agent, for example R_2-Hal wherein R_2 is as defined for formula I and Hal is halogen, especially chlorine or bromine, optionally in the presence of a solvent and a base.

The compounds of formula XV are novel and have been developed especially for the synthesis of the compounds of formula I. They are therefore also a subject of the present invention.

All further compounds originating from the scope of formula I can easily be prepared from the described compounds of formula I in manner analogous to that described above, or in accordance with methods as described, for example, in "Methoden der Organischen Chemie" (Houben-Weyl), Volume E 8b, Georg Thieme Verlag Stuttgart, 1994, page 399 ff. or in "Pyrazoles, Pyrazolines, Pyrazolidines, Indazoles and Condensed Rings", Editor R. H. Wiley, Interscience Publishers, New York, 1967, page 1 ff., or by derivatisation in accordance with known standard methods, for example alkylation, acylation and amidation.

The end products of formula I can be isolated in customary manner by concentration and/or evaporation of the solvent and purified by recrystallisation or trituration of the solid residue in solvents in which they are not readily soluble, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons, by distillation or by means of column chromatography or flash column chromatography and a suitable eluant.

For the use according to the invention of the compounds of formula I, or compositions comprising them, there come into consideration all the methods of application customary in agriculture, for example pre-emergence application, post-emergence application and seed dressing, and also various methods and techniques, for example the controlled release of active ingredient. For that purpose a solution of the active ingredient is applied to mineral granule carriers or polymerised granules (urea/formaldehyde) and dried. If required, it is also possible to apply a coating (coated granules) which allows the active ingredient to be released in metered amounts over a specific period of time.

The compounds of formula I may be used in unmodified form, that is to say as obtained in the synthesis, but they are preferably formulated in customary manner together with the adjuvants customarily employed in formulation technology e.g. into emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, wetting, scattering or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

The formulations, i.e. the compositions, preparations or mixtures comprising the compound (active ingredient) of formula I or at least one compound of formula I and generally one or more solid or liquid formulation adjuvants, are prepared in known

manner, e.g. by homogeneously mixing and/or grinding the active ingredients with the formulation adjuvants, e.g. solvents or solid carriers. It is also possible to use surface-active compounds (surfactants) in the preparation of the formulations.

Suitable solvents are: aromatic hydrocarbons, preferably the fractions containing 8 to 12 carbon atoms, such as mixtures of alkylbenzenes, e.g. xylene mixtures or alkylated naphthalenes; aliphatic and cycloaliphatic hydrocarbons, such as paraffins, cyclohexane or tetrahydronaphthalene; alcohols, such as ethanol, propanol or butanol; glycols and their ethers and esters, such as propylene glycol or dipropylene glycol ether; ketones, such as cyclohexanone, isophorone or diacetone alcohol; strongly polar solvents, such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or water; vegetable oils and esters thereof, such as rape oil, castor oil or soybean oil; and, where appropriate, also silicone oils.

The solid carriers used, e.g. for dusts and dispersible powders, are normally natural mineral fillers, such as calcite, talcum, kaolin, montmorillonite or attapulgite. In order to improve the physical properties it is also possible to add highly dispersed silicic acid or highly dispersed absorbent polymers. Suitable granulated adsorptive carriers are porous types, for example pumice, broken brick, sepiolite or bentonite, and suitable nonsorbent carriers are, for example, calcite or sand. In addition, a great number of pregranulated materials of inorganic or organic nature can be used, e.g. especially dolomite or pulverised plant residues.

Depending upon the nature of the compound of formula I to be formulated, suitable surface-active compounds are non-ionic, cationic and/or anionic surfactants having good emulsifying, dispersing and wetting properties. The term "surfactants" will also be understood as comprising mixtures of surfactants.

Both water-soluble soaps and water-soluble synthetic surface-active compounds are suitable anionic surfactants.

Suitable soaps are the alkali metal salts, alkaline earth metal salts or unsubstituted or substituted ammonium salts of higher fatty acids (C_{10}-C_{22}), e.g. the sodium or potassium salts of oleic or stearic acid, or of natural fatty acid mixtures which can be obtained e.g. from coconut oil or tallow oil. Mention may also be made of fatty acid methyltaurin salts.

More frequently, however, so-called synthetic surfactants are used, especially fatty alcohol

sulfonates, fatty alcohol sulfates, sulfonated benzimidazole derivatives or alkylaryl-sulfonates.

The fatty alcohol sulfonates or sulfates are usually in the form of alkali metal salts, alkaline earth metal salts or unsubstituted or substituted ammonium salts and contain a C_8-C_{22}alkyl radical, which also includes the alkyl moiety of acyl radicals, e.g. the sodium or calcium salt of lignosulfonic acid, of dodecyl sulfate or of a mixture of fatty alcohol sulfates obtained from natural fatty acids. These compounds also comprise the salts of sulfated and sulfonated fatty alcohol/ethylene oxide adducts. The sulfonated benz-imidazole derivatives preferably contain two sulfonic acid groups and one fatty acid radical containing 8 to 22 carbon atoms. Examples of alkylarylsulfonates are the sodium, calcium or triethanolamine salts of dodecylbenzenesulfonic acid, dibutylnaphthalene-sulfonic acid, or of a condensate of naphthalenesulfonic acid and formaldehyde.

Also suitable are corresponding phosphates, e.g. salts of the phosphoric acid ester of an adduct of p-nonylphenol with 4 to 14 mol of ethylene oxide, or phospholipids.

Non-ionic surfactants are preferably polyglycol ether derivatives of aliphatic or cyclo-aliphatic alcohols, saturated or unsaturated fatty acids and alkylphenols, said derivatives containing 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydro-carbon moiety and 6 to 18 carbon atoms in the alkyl moiety of the alkylphenols.

Further suitable non-ionic surfactants are the water-soluble adducts of polyethylene oxide with polypropylene glycol, ethylenediaminopolypropylene glycol and alkylpolypropylene glycol containing 1 to 10 carbon atoms in the alkyl chain, which adducts contain 20 to 250 ethylene glycol ether groups and 10 to 100 propylene glycol ether groups. These compounds usually contain 1 to 5 ethylene glycol units per propylene glycol unit.

Examples of non-ionic surfactants are nonylphenol polyethoxyethanols, castor oil poly-glycol ethers, polypropylene/polyethylene oxide adducts, tributylphenoxypolyethoxy-ethanol, polyethylene glycol and octylphenoxypolyethoxyethanol.

Fatty acid esters of polyoxyethylene sorbitan, e.g. polyoxyethylene sorbitan trioleate, are also suitable non-ionic surfactants.

Cationic surfactants are preferably quaternary ammonium salts which contain, as

N-substituent, at least one C_8-C_{22}alkyl radical and, as further substituents, unsubstituted or halogenated lower alkyl, benzyl or hydroxy-lower alkyl radicals. The salts are preferably in the form of halides, methyl sulfates or ethyl sulfates, e.g. stearyltrimethylammonium chloride or benzyldi(2-chloroethyl)ethylammonium bromide.

The surfactants customarily employed in formulation technology, which may also be used in the compositions according to the invention, are described inter alia in "Mc Cutcheon's Detergents and Emulsifiers Annual", MC Publishing Corp., Ridgewood, New Jersey, 1981; Stache, H., "Tensid-Taschenbuch" (Surfactant Handbook), Carl Hanser Verlag, Munich/Vienna 1981; and M. and J. Ash, "Encyclopedia of Surfactants", Vol. I-III, Chemical Publishing Co., New York, 1980-1981.

The herbicidal compositions usually comprise 0.1 to 99 %, preferably 0.1 to 95 %, of a compound of formula I, 1 to 99.9 % of a solid or liquid formulation adjuvant, and 0 to 25 %, preferably 0.1 to 25 %, of a surfactant.

Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

The compositions may also comprise further auxiliaries, such as stabilisers, e.g. vegetable oils or epoxidised vegetable oils (epoxidised coconut oil, rape oil or soybean oil), anti-foams, e.g. silicone oil, preservatives, viscosity regulators, binders and tackifiers, as well as fertilisers or other active ingredients.

Preferred formulations have especially the following composition (throughout, percentages are by weight):

Emulsifiable concentrates:

active ingredient:	1 to 90 %, preferably 5 to 50 %
surface-active agent:	5 to 30 %, preferably 10 to 20 %
solvent:	15 to 94 %, preferably 70 to 85 %

Dusts:

active ingredient:	0.1 to 50 %, preferably 0.1 to 1 %
solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:	
active ingredient:	5 to 75 %, preferably 10 to 50 %
water:	94 to 24 %, preferably 88 to 30 %
surface-active agent:	1 to 40 %, preferably 2 to 30 %
Wettable powders:	
active ingredient:	0.5 to 90 %, preferably 1 to 80 %
surface-active agent:	0.5 to 20 %, preferably 1 to 15 %
solid carrier:	5 to 95 %, preferably 15 to 90 %
Granules:	
active ingredient:	0.1 to 30 %, preferably 0.1 to 15 %
solid carrier:	99.5 to 70 %, preferably 97 to 85 %

The compounds of formula I are generally used successfully when applied to the plant or to the locus thereof at rates of application of from 0.001 to 2 kg/ha, especially from 0.005 to 1 kg/ha. The concentration required to achieve the desired effect can be determined by experiment. It is dependent upon the type of action, the stage of development of the cultivated plant and of the weed, and also upon the application (place, time, method) and, in dependence on those parameters, can vary within wide limits.

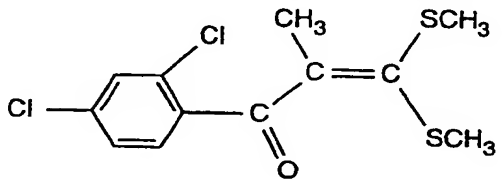
The compounds of formula I are distinguished by herbicidal and growth-inhibiting properties which render them suitable for use in crops of useful plants, especially in cereals, cotton, soybeans, sugar beet, sugar cane, plantation crops, rape, maize and rice, and also for non-selective weed control.

Crops are also to be understood as being those which have been rendered tolerant to herbicides or classes of herbicide by conventional methods of breeding or genetic engineering.

The following Examples further illustrate, but do not limit, the invention.

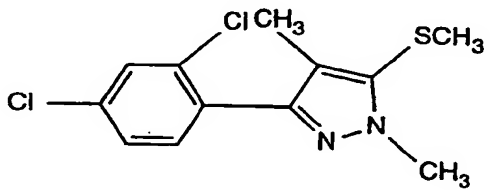
Preparation examples

Example P1: 1-(2,4-Dichlorophenyl)-3,3-bis(methylthio)-2-methyl-2-propen-1-one



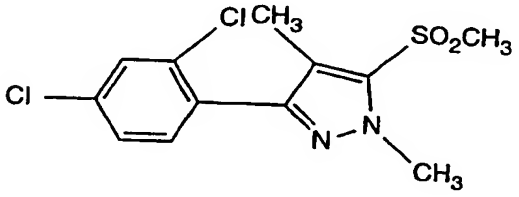
1.07 g (0.005 mol) of 1-(2,4-dichlorophenyl)-1-propanone (95 %) are added to an emulsion of 0.24 g (0.01 mol) of sodium hydride in 8 ml of dry tetrahydrofuran and the mixture is stirred at 40°C for one hour. The reaction mixture is cooled to 0°C and 0.381 g (0.05 mol) of carbon disulfide is added at 0-5°C. Immediately after the addition of carbon disulfide is complete, 1.42 g (0.01 mol) of methyl iodide are added dropwise at 0-5°C and the reaction mixture is stirred for one hour, then poured into 25 ml of a mixture of ice/water and stirred for a further 30 minutes. The crude product is extracted with ether and the organic phase is separated off, dried over sodium sulfate and concentrated by evaporation. The resulting residue is purified by column chromatography using 10 % ethyl acetate in hexane as eluant. The desired product is obtained in a yield of 1.38 g (89.8 %) in the form of a yellow oil.

Example P2: 3-(2,4-Dichlorophenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



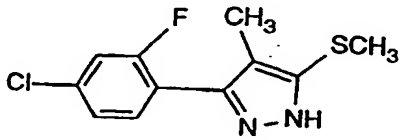
0.138 g (0.003 mol) of methyl hydrazine is added to a solution of 0.614 g (0.002 mol) of 1-(2,4-dichlorophenyl)-3,3-bis(methylthio)-2-methyl-2-propen-1-one in 10 ml of acetonitrile and the reaction mixture is heated at 80°C for 8 hours. The mixture is then concentrated *in vacuo* and the residue is taken up in ether, washed with water and dried over sodium sulfate. After the ether phase has been concentrated by evaporation, the residue is purified by column chromatography over silica gel with hexane/ethyl acetate 2/1 as eluant. The desired product is obtained in a yield of 0.425 g (74.0 %) in the form of a white solid having a melting point of 65-66°C (recrystallised from hexane).

Example P3: 3-(2,4-Dichlorophenyl)-4-methyl-5-(methylsulfonyl)-1-methyl-[1H]-pyrazole



0.515 g (0.0015 mol) of m-chloroperbenzoic acid (50-60 %) is added to a solution of 0.214 g (0.00075 mol) of 3-(2,4-dichlorophenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole in 10 ml of dichlormethane and the reaction mixture is stirred at 22°C overnight. The mixture is then washed with a saturated sodium hydrogen carbonate solution that contains 5 % sodium thiosulfate and then with water, dried over sodium sulfate and concentrated by evaporation. The resulting residue is purified by column chromatography over silica gel with hexane/ethyl acetate 1/1. The desired product is obtained in a yield of 0.206 g (86.2 %) in the form of a white solid having a melting point of 110-112°C.

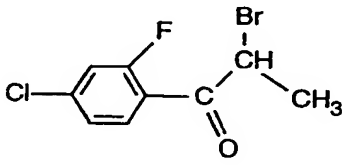
Example P4: 3-(4-Chloro-2-fluorophenyl)-4-methyl-5-(methylthio)-[1H]-pyrazole



0.6 ml (0.01 mol) of carbon disulfide in 2 ml of ethanol is added dropwise at 20-28°C to 3.35 ml (0.01 mol) of a 21 % ethanolic sodium ethanolate solution and 0.49 ml (0.01 mol) of hydrazine hydrate in 10 ml of ethanol. After 0.5 hour's stirring at 22°C, 0.62 ml (0.01 mol) of methyl iodide is added dropwise at 20-22°C to the suspension that has formed. After 1 hour's stirring at 22°C, 3.35 ml (0.01 mol) of 21 % ethanolic sodium ethanolate solution are added to the resulting suspension and stirring is continued for a further 10 minutes. The resulting solution is then cooled to 5-10°C and 2.7 g (0.01 mol) of α-bromo-4-chloro-2-fluoropropiophenone in 5 ml of ethanol are added dropwise to the solution and stirring is continued for a further 10 minutes at 40-45°C. 10 ml of 2N hydrochloric acid are added dropwise at 22°C to the solution that has formed and stirring is continued for 1 hour at 22°C and then for 15 minutes at 40-45°C. The resulting suspension

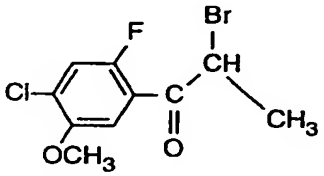
is dissolved in tert-butyl methyl ether, washed three times with water and concentrated by evaporation using a rotary evaporator. As residue there is obtained 2.5 g of an oil which is chromatographed over 50 g of silica gel with ethyl acetate/hexane 1/2 as eluant. 1.4 g (54.6%) of the desired compound are obtained in the form of colourless crystals having a melting point of 88-90°C.

Example P5: α-Bromo-4-chloro-2-fluoropropiophenone



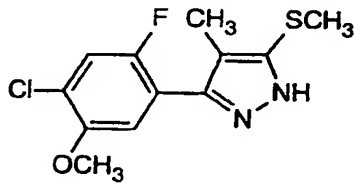
2.2 ml (0.42 mol) of bromine are added dropwise at 20-25°C to a solution of 8.5 g (0.04 mol) of 4-chloro-2-fluoropropiophenone and 0.1 ml of 33 % hydrobromic acid in 20 ml of acetic acid. After being stirred for 1 hour at 22°C, the mixture is poured into ice-water, extracted with tert-butyl methyl ether and washed neutral with dilute sodium hydrogen carbonate solution. The reaction mixture is then concentrated by evaporation using a rotary evaporator and then dried at 22°C for 1 hour under a high vacuum. 10.4 g of 95 % α-bromo-4-chloro-2-fluoropropiophenone are obtained in the form of a light-yellow oil.

Example P6: α-Bromo-4-chloro-2-fluoro-5-methoxypropiophenone



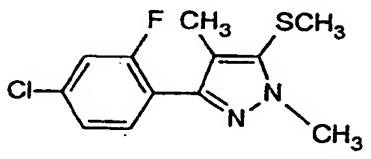
54 ml (1.05 mol) of bromine are added dropwise at 20-25°C to a suspension of 217 g (1 mol) of 4-chloro-2-fluoro-5-methoxypropiophenone and 10 ml of 33 % hydrobromic acid in glacial acetic acid in 0.5 litre of acetic acid. After being stirred for 1 hour to complete the reaction, the solution that has formed is poured into 2 litres of ice-water, extracted with tert-butyl methyl ether (MTBE), washed four times with water, dried over magnesium sulfate and concentrated by evaporation. 284 g of the desired compound are obtained in the form of a 95 % oil.

Example P7: 3-(4-Chloro-2-fluoro-5-methoxyphenyl)-4-methyl-5-(methylthio)-[1H]-pyrazole



At 0-5°C, 37 g (0.3 mol) of methyl dithiocarbazate are added in portions to a solution of 120 ml (0.32 mol) of 21 % sodium ethanolate solution in ethanol. 95 g (0.3 mol) of α-bromo-4-chloro-2-fluoro-5-methoxypropiophenone (90 %) are added dropwise at -5-0°C to the resulting solution. After being stirred for 30 minutes at 0-5°C, the suspension that has formed is diluted with 250 ml of ethanol and stirred at 0-5°C for a further 2 hours. Then, after 1 hour's stirring at 22°C, 25 ml of 37 % hydrochloric acid are added dropwise at 25-30°C and stirring is continued for a further 3 hours. Then 30 ml of 30 % sodium hydroxide solution are added dropwise and the resulting mixture is concentrated by evaporation. After the addition of about 1 litre of tert-butyl methyl ether, the reaction mixture is washed with water and the organic phase is dried and concentrated by evaporation. The crude product is purified by silica gel chromatography. 60 g (70 % of the theoretical yield) of the desired compound are obtained in the form of brown crystals having a melting point of 95-100°C.

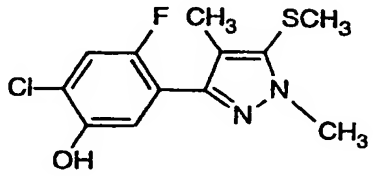
Example P8: 3-(4-Chloro-2-fluorophenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



1.4 ml (0.022 mol) of methyl iodide are added to a mixture of 5.4 g (0.02 mol) of 3-(4-chloro-2-fluorophenyl)-4-methyl-5-(methylthio)-[1H]-pyrazole (Example P4) and 4.2 g (0.030 mol) of potassium carbonate in 25 ml of 1-methyl-2-pyrrolidone (NMP).

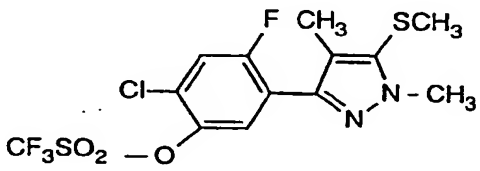
After stirring overnight at 22°C, approximately 200 ml of tert-butyl methyl ether (MTBE) are added, and the mixture is washed three times with water and concentrated by evaporation. The crude product is purified by means of silica gel chromatography. 3.2 g (59 % of the theoretical yield) of the desired compound are obtained in the form of an oil.

Example P9: 3-(4-Chloro-2-fluoro-5-hydroxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



A mixture of 15 g (0.05 mol) of 3-(4-chloro-2-fluoro-5-methoxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (Example P7) and 10.6 g (0.25 mol) of lithium chloride in 100 ml of N,N-dimethylformamide is stirred at 22°C in an argon atmosphere for 2.5 days. The solution is cooled and poured into 0.5 litre of ice-water and 15 ml of 37 % hydrochloric acid and extracted with tert-butyl methyl ether (MTBE). The organic phase is extracted with a dilute sodium hydroxide solution, and the aqueous phase is separated off and again acidified and extracted with MTBE. After concentration by evaporation and recrystallisation in diethyl ether, 4.8 g (33.5 % of the theoretical yield) of the desired product are obtained in the form of crystals having a melting point of 136-138°C and 7.6 g of crude product of the desired compound in the form of an oil.

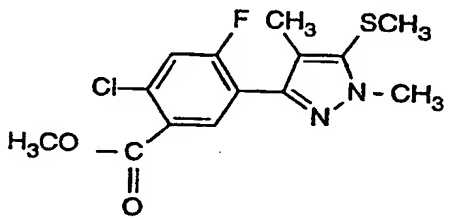
Example P10: 3-(4-Chloro-2-fluoro-5-trifluoromethylsulfonyloxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



65 ml (0.8 mol) of pyridine and then 80 ml (0.48 mol) of trifluoromethanesulfonic acid anhydride are added dropwise at 0-5°C to a solution of 129 g (0.3 mol) of 3-(4-chloro-2-

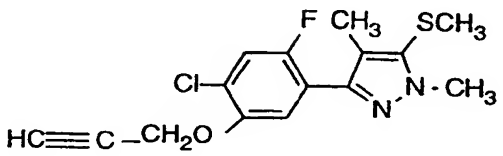
fluoro-5-hydroxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (approx. 70 %) (Example P9) in 1.2 litres of 1,4-dioxane. After being stirred for 30 minutes at 0-5°C and then at 22°C overnight, the reaction mixture is concentrated to approximately 1/3 of its original volume by evaporation, poured into a mixture of ice, water and hydrochloric acid, extracted with MTBE, washed with water and concentrated by evaporation. After purification of the crude product by silica gel chromatography, 87.7 g (70% of the theoretical yield) of the desired compound are isolated in the form of crystals having a melting point of 54-56°C.

Example P11: 3-(4-Chloro-2-fluoro-5-methoxycarbonylphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



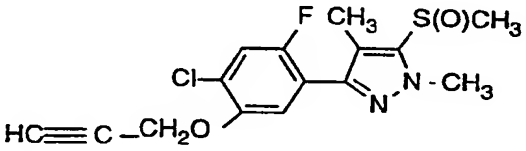
A mixture of 40.7 g (0.1 mol) of 3-(4-chloro-2-fluoro-5-trifluoromethylsulfonyloxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (Example P10), 31 ml (0.22 mol) of triethylamine, 1.12 g (0.005 mol) of palladium(II) acetate and 2.06 g (0.005 mol) of 1,3-bis(diphenylphosphino)propane ($Ph_2P(CH_2)_3PPh_2$) in 300 ml of N,N-dimethylformamide and 215 ml of methanol is stirred at 70°C at a pressure of 5 bar of carbon monoxide for 2 hours. The solution is then concentrated by evaporation, the resulting residue is dissolved in tert-butyl methyl ether (MTBE), washed with 0.2N hydrochloric acid and water, concentrated by evaporation and purified by means of silica gel column chromatography. 23.4 g (71.3 % of the theoretical yield) of the desired compound are obtained in the form of crystals having a melting point of 82-83°C.

Example P12: 3-(4-Chloro-2-fluoro-5-propargyloxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole



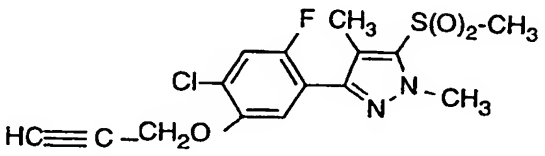
1 ml (0.0132 mol) of propargyl bromide are added dropwise at 20-25°C to a mixture of 3.15 g (0.011 mol) of 3-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (Example P9) and 2.5 g (0.018 mol) of potassium carbonate in 30 ml of N,N-dimethylformamide. After being stirred for 18 hours at 22°C, the mixture is poured into water, extracted with tert-butyl methyl ether (MTBE), washed with water and concentrated by evaporation. After recrystallisation in petroleum ether, 2.84 g (81.1% of the theoretical yield) of the desired compound are obtained in the form of crystals having a melting point of 76-78°C.

Example P13: 3-(4-Chloro-2-fluoro-5-propargyloxyphenyl)-4-methyl-5-(methylsulfinyl)-1-methyl-[1H]-pyrazole



A solution of 1.57 g (0.005 mol) of 50-60 % 3-chloroperbenzoic acid in 30 ml of dichloromethane is added dropwise at 0-5°C to a solution of 1.7 g (0.005 mol) of 3-(4-chloro-2-fluoro-5-propargyloxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (Example P12) in 20 ml of dichloromethane. After being stirred overnight at 22°C, the reaction mixture is washed with a dilute sodium hydrogen carbonate solution, then with water and concentrated by evaporation, and the resulting residue is recrystallised in petroleum ether/-diethyl ether. 1.5 g (88.2 % of the theoretical yield) of the desired compound are isolated in the form of crystals having a melting point of 93-96°C.

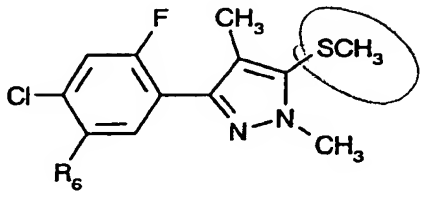
Example P14: 3-(4-Chloro-2-fluoro-5-propargyloxyphenyl)-4-methyl-5-(methylsulfonyl)-1-methyl-[1H]-pyrazole



A solution of 3.45 g (0.011 mol) of 50-60 % 3-chloroperbenzoic acid in 60 ml of dichloromethane is added dropwise at 0-5°C to a solution of 1.7 g (0.005 mol) of 3-(4-chloro-2-fluoro-5-propargyloxyphenyl)-4-methyl-5-(methylthio)-1-methyl-[1H]-pyrazole (Example P12) in 20 ml of dichloromethane. After being stirred overnight at 22°C, the reaction mixture is washed with a dilute sodium hydrogen carbonate solution, then with water and concentrated by evaporation, and the resulting residue is recrystallised in diethyl ether. 1.4 g (78.6 % of the theoretical yield) of the desired compound are obtained in the form of crystals having a melting point of 123-124°C.

In an analogous manner or by means of known methods it is also possible to prepare the compounds listed in the Tables which follow.

Table 1: Compounds of formula Ia

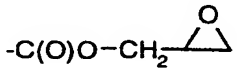
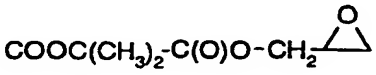
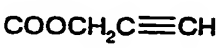
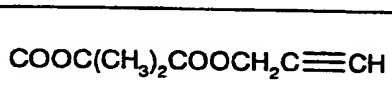
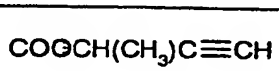


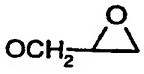
(Ia)

Comp.No.	R_6	M.p.
1.1	H	oil
1.2	NH_2	55-60
1.3	NO_2	
1.4	Br	
1.5	I	
1.6	CN	
1.7	OCH_3	64-70
1.8	$N(SO_2CH_3)_2$	
1.9	$NHSO_2CH_3$	142-144
1.10	OC_3H_7(iso)	oil
1.11	O-propargyl	72-75
1.12	$OCH(CH_3)C\equiv CH$	oil
1.13	O-phenyl	
1.14	O-2-pyridyl	
1.15	O-2-pyrimidinyl	
1.16	$OCH_2COOCH_2CH_3$	95-97
1.17	$OCH_2CH_2OCH_3$	
1.18	$OCH_2CH_2SCH_2CH_3$	
1.19	OCH_2COOCH_3	
1.20	$OCH_2COOC_5H_{11}$ (n)	

Comp.No.	R_6	M.p.
1.21	OCH_2COO-benzyl	
1.22	$OCH(CH_3)COO$benzyl (S)	
1.23	$OCH(CH_3)COO$benzyl (R)	
1.24	$OCH(CH_3)COO$benzyl (R,S)	
1.25	SC_3H_7(iso)	
1.26	SH	solid
1.27	SCH_2COOCH_3	oil
1.28	$SCH_2COOC_2H_5$	
1.29	$SCH(CH_3)COO$benzyl (S)	
1.30	$SCH(CH_3)COO$benzyl (R)	
1.31	$SCH(CH_3)COO$benzyl (R,S)	
1.32	SCH_2COObenzyl	
1.33	SO_2Cl	solid
1.34	SO_2CH_3	
1.35	SO_2NHCH_3	
1.36	COOH	177-179
1.37	$COOCH_3$	82-83
1.38	$COOC_3H_7$(iso)	oil
1.39	$COOC(CH_3)_2COOH$	amorphous
1.40	$COOC(CH_3)_2COO$-allyl	oil
1.41	$COOC(CH_3)_2COOCH_3$	
1.42	$COOC(CH_3)_2COO$ethyl	72-75
1.43	$COOC(CH_3)_2CONH$-allyl	
1.44	$CH_2CHClCOO$ethyl	oil
1.45	$CH_2CH{=}CH_2$	oil
1.46	$CH_2CH_2CH_3$	
1.47	$CH_2CH_2CF_3$	
1.48	$OCH(CH_3)COOC_2H_5$(R)	

Comp.No.	R_6	M.p.
1.49	$OCH(CH_3)COOC_2H_5$(S)	
1.50	$OCH(CH_3)COOC_2H_5$(R,S)	oil
1.51	$CH_2CHClCOOH$	170-172
1.52	$CH_2CHClCOOCH_3$	
1.53	$CH_2CHClCOOC_3H_7$(iso)	
1.54	$CH_2CHClCONH$allyl	
1.55	$CH_2C(CH_3)ClCOOH$	
1.56	$CH_2C(CH_3)ClCOOC_2H_5$	oil
1.57	$CH_2C(CH_3)ClCOOEt$	
1.58	$CH_2C(CH_3)ClCONHEt$	
1.59	CH_2CH_2COOH	
1.60	$CH_2CH_2COOCH_3$	
1.61	CH_2CH_2COOEt	
1.62	$CHClCHClCOOH$	
1.63	$CHClCHClCOOCH_3$	
1.64	$CHClCHClCOOEt$	
1.65	$CH_2CH(OCH_3)COOH$	
1.66	$CH_2CH(OCH_3)COOCH_3$	
1.67	$CH_2CH(OCH_3)COOEt$	
1.68	$CH_2CH(SCH_3)COOH$	
1.69	$CH_2CH(SCH_3)COOCH_3$	
1.70	$CH_2CH(SCH_3)COOEt$	oil
1.71	$CH=CHCOOH$	
1.72	$CH=CHCOOCH_3$	
1.73	$CH=CHCOOEt$	
1.74	$CH=CClCOOH$	
1.75	$CH=CClCOOCH_3$	
1.76	COOEt	

Comp.No.	R_6	M.p.
1.77	$CONH_2$	
1.78		55-57
1.79	$CONHSO_2CH_3$	
1.80	$COOCH_2COOH$	
1.81	$COOCH_2COOCH_3$	
1.82	$COOCH(CH_3)COOH$	
1.83	$COOCH(CH_3)COOCH_3$	
1.84	$COOCH(CH_3)CH_2COOH$	
1.85	$COOCH(CH_3)CH_2COOCH_3$	
1.86	$COOC(CH_3)_2CN$	
1.87	$COOCH_2CH_2OCH_3$	
1.88	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
1.89		59-62
1.90	$COOC(CH_3)_2COOCH_2$PHENYL	oil
1.91		
1.92		
1.93		
1.94	$COOC(CH_3)_2COCH_3$	
1.95	NHallyl	
1.96	$N(COCH_3)$allyl	
1.97	$N(Et)SO_2CH_3$	
1.98	$N(allyl)SO_2CH_3$	83-85
1.99	$N(allyl)SO_2Et$	65-68

Comp.No.	R_6	M.p.
1.100	$SO_2N(CH_3)_2$	
1.101	SO_2NH_2	
1.102	$SO_2NHCOCH_3$	
1.103	OH	oil
1.104	OEt	
1.105	Oallyl	
1.106	$OCH_2C{\equiv}CCH_3$	
1.107	$OCH(CH_3)CH{=}CH_2$	
1.108	$OCH_2CH_2OCH_2CH_3$	
1.109	$OCH_2CH_2OCH_2CH_2OCH_3$	oil
1.110		86-89
1.111	$OCH_2CH_2NHCH_3$	
1.112	$OCH_2CH_2N(CH_3)COCH_3$	
1.113	OCH_2CH_2COOH	
1.114	$OC(CH_3)_2COOH$	
1.115	$OC(CH_3)_2COOCH_3$	
1.116	$OC(CH_3)_2COOEt$	
1.117	OCH_2COOH	
1.118	OSO_2CH_3	
1.119	OSO_2CF_3	54-56
1.120	$CH_2CHClCOOC_2H_5$	
1.121	$CH_2CHClCON(C_2H_5)_2$	
1.122	$CH_2CHClCONHOH$	
1.123	$CH_2CHClCOOCH_2C_6H_5$	
1.124	$CH_2CH(CH_3)COOH$	
1.125	$CH_2CH(CH_3)COOC_2H_5$	

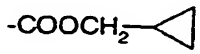
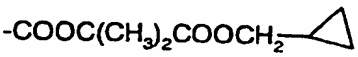
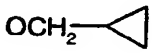
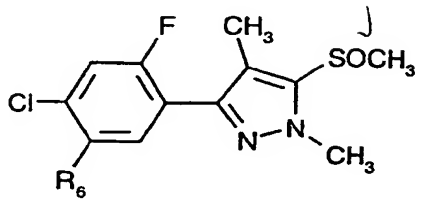
Comp.No.	R_6	M.p.
1.126		
1.127	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
1.128		
1.129	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
1.130	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
1.131		91-93
1.132	$NHSO_2C_2H_5$	121-124
1.133	$NHCH_2C{\equiv}CH$	103-105

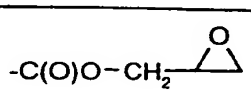
Table 2: Compounds of formula Ib

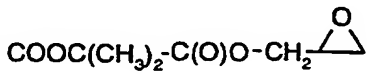
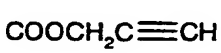
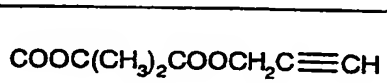
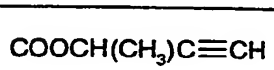


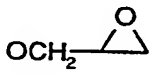
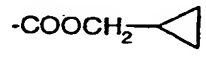
(Ib)

Comp.No.	R_6	M.p.
2.1	H	113-115
2.2	NH_2	solid
2.3	NO_2	128-130
2.4	Br	
2.5	I	
2.6	CN	
2.7	OCH_3	89-92
2.8	$N(SO_2CH_3)_2$	
2.9	$NHSO_2CH_3$	
2.10	OC_3H_7(iso)	oil
2.11	O-propargyl	93-96
2.12	$OCH(CH_3)C\equiv CH$	resin
2.13	O-phenyl	
2.14	O-2-pyridyl	
2.15	O-2-pyrimidinyl	
2.16	$OCH_2COOC_2H_5$	95-98
2.17	$OCH_2COOC_5H_{11}$ (n)	
2.18	OCH_2COO-benzyl	
2.19	$OCH(CH_3)COO$benzyl (S)	
2.20	$OCH(CH_3)COO$benzyl (R)	

Comp.No.	R_6	M.p.
2.21	$OCH(CH_3)COO$benzyl (R,S)	
2.22	SC_3H_7(iso)	
2.23	SH	
2.24	SCH_2COOCH_3	
2.25	$SCH_2COOC_2H_5$	
2.26	$SCH(CH_3)COO$benzyl (S)	
2.27	$SCH(CH_3)COO$benzyl (R)	
2.28	$SCH(CH_3)COO$benzyl (R,S)	
2.29	SCH_2COObenzyl	
2.30	SO_2Cl	
2.31	SO_2CH_3	
2.32	SO_2NHCH_3	
2.33	COOH	138-164
2.34	$COOCH_3$	oil
2.35	$COOC_3H_7$(iso)	oil
2.36	$COOC(CH_3)_2COOH$	153-166
2.37	$COOC(CH_3)_2COO$-allyl	oil
2.38	$COOC(CH_3)_2COOCH_3$	
2.39	$COOC(CH_3)_2COO$ethyl	oil
2.40	$COOC(CH_3)_2CONH$-allyl	
2.41	$CH_2CHClCOO$ethyl	resin
2.42	$CH_2CH{=}CH_2$	99-101
2.43	$CH_2CH_2CH_3$	
2.44	$CH_2CH_2CF_3$	
2.45	$OCH(CH_3)COOC_2H_5$(R)	
2.46	$OCH(CH_3)COOC_2H_5$(S)	
2.47	$OCH(CH_3)COOC_2H_5$(R,S)	oil
2.48	$CH_2CHClCOOH$	

Comp.No.	R_6	M.p.
2.49	$CH_2CHClCOOCH_3$	
2.50	$CH_2CHClCOOC_3H_7$(iso)	
2.51	$CH_2CHClCONH$allyl	
2.52	$CH_2C(CH_3)ClCOOH$	
2.53	$CH_2C(CH_3)ClCOOCH_3$	
2.54	$CH_2C(CH_3)ClCOOEt$	oil
2.55	$CH_2C(CH_3)ClCONHEt$	
2.56	CH_2CH_2COOH	
2.57	$CH_2CH_2COOCH_3$	
2.58	CH_2CH_2COOEt	
2.59	$CHClCHClCOOH$	
2.60	$CHClCHClCOOCH_3$	
2.61	$CHClCHClCOOEt$	
2.62	$CH_2CH(OCH_3)COOH$	
2.63	$CH_2CH(OCH_3)COOCH_3$	
2.64	$CH_2CH(OCH_3)COOEt$	
2.65	$CH_2CH(SCH_3)COOH$	
2.66	$CH_2CH(SCH_3)COOCH_3$	
2.67	$CH_2CH(SCH_3)COOEt$	
2.68	$CH=CHCOOH$	
2.69	$CH=CHCOOCH_3$	
2.70	$CH=CHCOOEt$	
2.71	$CH=CClCOOH$	
2.72	$CH=CClCOOCH_3$	
2.73	$COOEt$	
2.74	$CONH_2$	
2.75		68-71

Comp.No.	R_6	M.p.
2.76	$CONHSO_2CH_3$	
2.77	$COOCH_2COOH$	
2.78	$COOCH_2COOCH_3$	
2.79	$COOCH(CH_3)COOH$	
2.80	$COOCH(CH_3)COOCH_3$	
2.81	$COOCH(CH_3)CH_2COOH$	
2.82	$COOCH(CH_3)CH_2COOCH_3$	
2.83	$COOC(CH_3)_2CN$	
2.84	$COOCH_2CH_2OCH_3$	
2.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
2.86		
2.87	$COOC(CH_3)_2COOCH_2PHENYL$	91-93
2.88		
2.89		
2.90		
2.91	$COOC(CH_3)_2COCH_3$	
2.92	NHallyl	
2.93	$N(COCH_3)allyl$	
2.94	$N(Et)SO_2CH_3$	
2.95	$N(allyl)SO_2CH_3$	133-136
2.96	$N(allyl)SO_2Et$	solid
2.97	$SO_2N(CH_3)_2$	
2.98	SO_2NH_2	
2.99	$SO_2NHCOCH_3$	

Comp.No.	R_6	M.p.
2.100	OH	
2.101	OEt	
2.102	Oallyl	
2.103	$OCH_2C{\equiv}CCH_3$	
2.104	$OCH(CH_3)CH{=}CH_2$	
2.105	$OCH_2CH_2OCH_2CH_3$	
2.106	$OCH_2CH_2OCH_2CH_2OCH_3$	oil
2.107		96-99
2.108	$OCH_2CH_2NHCH_3$	
2.109	$OCH_2CH_2N(CH_3)COCH_3$	
2.110	OCH_2CH_2COOH	
2.111	$OC(CH_3)_2COOH$	
2.112	$OC(CH_3)_2COOCH_3$	
2.113	$OC(CH_3)_2COOEt$	
2.114	OCH_2COOH	
2.115	OSO_2CH_3	
2.116	OSO_2CF_3	
2.117	$CH_2CHClCOOC_2H_5$	
2.118	$CH_2CHClCON(C_2H_5)_2$	
2.119	$CH_2CHClCONHOH$	
2.120	$CH_2CHClCOOCH_2C_6H_5$	
2.121	$CH_2CH(CH_3)COOH$	
2.122	$CH_2CH(CH_3)COOC_2H_5$	
2.123		
2.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	

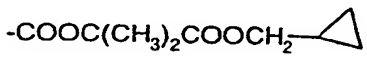
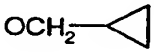
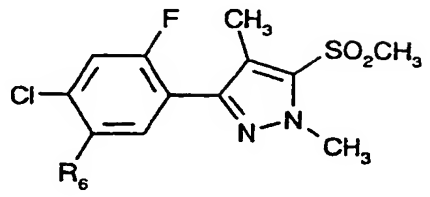
Comp.No.	R_6	M.p.
2.125		
2.126	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
2.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
2.128		96-98

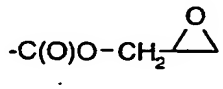
Table 3: Compounds of formula Ic

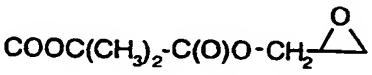


(Ic)

Comp.No.	R_6	M.p.
3.1	H	113-115
3.2	NH_2	
3.3	NO_2	
3.4	Br	
3.5	I	
3.6	CN	
3.7	OCH_3	146-149
3.8	$N(SO_2CH_3)_2$	
3.9	$NHSO_2CH_3$	199-201
3.10	OC_3H_7(iso)	100-103
3.11	O-propargyl	123-124
3.12	$OCH(CH_3)C{\equiv}CH$	oil
3.13	O-phenyl	
3.14	O-2-pyridyl	
3.15	O-2-pyrimidinyl	
3.16	$OCH_2COOC_2H_5$	123-126
3.17	OCH_2COOCH_3	
3.18	OCH_2COO-benzyl	
3.19	$OCH(CH_3)COO$benzyl (S)	
3.20	$OCH(CH_3)COO$benzyl (R)	
3.21	$OCH(CH_3)COO$benzyl (R,S)	

3.22	SC_3H_7(iso)	
3.23	SH	
3.24	SCH_2COOCH_3	
3.25	$SCH_2COOC_2H_5$	
3.26	$SCH(CH_3)$COObenzyl (S)	
3.27	$SCH(CH_3)$COObenzyl (R)	
3.28	$SCH(CH_3)$COObenzyl (R,S)	
3.29	SCH_2COObenzyl	
3.30	SO_2Cl	
3.31	SO_2CH_3	
3.32	SO_2NHCH_3	
3.33	COOH	237-240
3.34	$COOCH_3$	94-96
3.35	$COOC_3H_7$(iso)	92-94
3.36	$COOC(CH_3)_2COOH$	167-169
3.37	$COOC(CH_3)_2$COO-allyl	oil
3.38	$COOC(CH_3)_2COOCH_3$	
3.39	$COOC(CH_3)_2$COOethyl	oil
3.40	$COOC(CH_3)_2$CONH-allyl	
3.41	$CH_2CHClCOO$ethyl	resin
3.42	$CH_2CH=CH_2$	98-100
3.43	$CH_2CH_2CH_3$	
3.44	$CH_2CH_2CF_3$	
3.45	$OCH(CH_3)COOC_2H_5$(R)	
3.46	$OCH(CH_3)COOC_2H_5$(S)	
3.47	$OCH(CH_3)COOC_2H_5$(R,S)	oil
3.48	$CH_2CHClCOOH$	
3.49	$CH_2CHClCOOCH_3$	
3.50	$CH_2CHClCOOC_3H_7$(iso)	

3.51	$CH_2CHClCONHallyl$	
3.52	$CH_2C(CH_3)ClCOOH$	
3.53	$CH_2C(CH_3)ClCOOCH_3$	
3.54	$CH_2C(CH_3)ClCOOEt$	oil
3.55	$CH_2C(CH_3)ClCONHEt$	
3.56	CH_2CH_2COOH	
3.57	$CH_2CH_2COOCH_3$	
3.58	CH_2CH_2COOEt	
3.59	$CHClCHClCOOH$	
3.60	$CHClCHClCOOCH_3$	
3.61	$CHClCHClCOOEt$	
3.62	$CH_2CH(OCH_3)COOH$	
3.63	$CH_2CH(OCH_3)COOCH_3$	
3.64	$CH_2CH(OCH_3)COOEt$	
3.65	$CH_2CH(SCH_3)COOH$	
3.66	$CH_2CH(SCH_3)COOCH_3$	
3.67	$CH_2CH(SCH_3)COOEt$	
3.68	$CH=CHCOOH$	
3.69	$CH=CHCOOCH_3$	
3.70	$CH=CHCOOEt$	
3.71	$CH=CClCOOH$	
3.72	$CH=CClCOOCH_3$	
3.73	$COOEt$	
3.74	$CONH_2$	
3.75		110-112
3.76	$CONHSO_2CH_3$	
3.77	$COOCH_2COOH$	
3.78	$COOCH_2COOCH_3$	

3.79	$COOCH(CH_3)COOH$	
3.80	$COOCH(CH_3)COOCH_3$	
3.81	$COOCH(CH_3)CH_2COOH$	
3.82	$COOCH(CH_3)CH_2COOCH_3$	
3.83	$COOC(CH_3)_2CN$	
3.84	$COOCH_2CH_2OCH_3$	
3.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
3.86		oil
3.87	$COOC(CH_3)_2COOCH_2PHENYL$	83-86
3.88	$COOCH_2C{\equiv}CH$	
3.89	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
3.90	$COOCH(CH_3)C{\equiv}CH$	
3.91	$COOC(CH_3)_2COCH_3$	
3.92	NHallyl	
3.93	$N(COCH_3)allyl$	
3.94	$N(Et)SO_2CH_3$	
3.95	$N(allyl)SO_2CH_3$	120-122
3.96	$N(allyl)SO_2Et$	105-107
3.97	$SO_2N(CH_3)_2$	
3.98	SO_2NH_2	
3.99	$SO_2NHCOCH_3$	
3.100	OH	
3.101	OEt	
3.102	Oallyl	
3.103	$OCH_2C{\equiv}CCH_3$	
3.104	$OCH(CH_3)C{=}CH_2$	
3.105	$OCH_2CH_2OCH_2CH_3$	
3.106	$OCH_2CH_2OCH_2CH_2OCH_3$	51-55

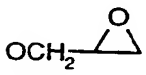
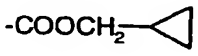
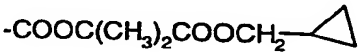
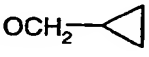
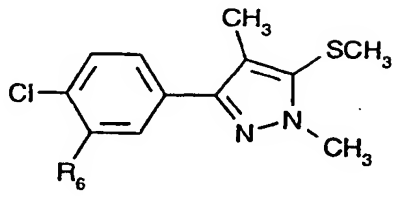
3.107		125-127
3.108	$OCH_2CH_2NHCH_3$	
3.109	$OCH_2CH_2N(CH_3)COCH_3$	
3.110	OCH_2CH_2COOH	
3.111	$OC(CH_3)_2COOH$	
3.112	$OC(CH_3)_2COOCH_3$	
3.113	$OC(CH_3)_2COOEt$	
3.114	OCH_2COOH	
3.115	OSO_2CH_3	
3.116	OSO_2CF_3	
3.117	$CH_2CHClCOOC_2H_5$	
3.118	$CH_2CHClCON(C_2H_5)_2$	
3.119	$CH_2CHClCONHOH$	
3.120	$CH_2CHClCOOCH_2C_6H_5$	
3.121	$CH_2CH(CH_3)COOH$	
3.122	$CH_2CH(CH_3)COOC_2H_5$	
3.123		
3.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
3.125		
3.126	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
3.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
3.128		108-109

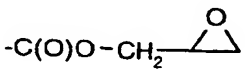
Table 4: Compounds of formula Id

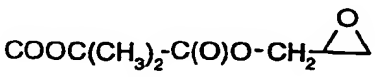


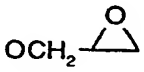
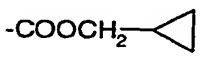
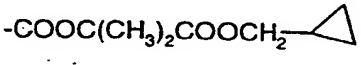
(Id)

Comp.No.	R_6	M.p.
4.1	H	69-71
4.2	NH_2	oil
4.3	NO_2	
4.4	Br	
4.5	I	74-77
4.6	CN	
4.7	OCH_3	
4.8	$N(SO_2CH_3)_2$	
4.9	$NHSO_2CH_3$	
4.10	OC_3H_7(iso)	
4.11	O-propargyl	
4.12	$OCH(CH_3)C{\equiv}CH$	
4.13	$OCH_2COOCH_2CH_3$	
4.14	$OCH_2CH_2OCH_3$	
4.15	$OCH_2CH_2SCH_2CH_3$	
4.16	OCH_2COOCH_3	
4.17	$OCH_2COOC_5H_{11}$ (n)	
4.18	OCH_2COO-benzyl	
4.19	$OCH(CH_3)COO$benzyl (S)	
4.20	$OCH(CH_3)COO$benzyl (R)	
4.21	$OCH(CH_3)COO$benzyl (R,S)	

Comp.No.	R_6	M.p.
4.22	SC_3H_7(iso)	
4.23	SH	
4.24	SCH_2COOCH_3	
4.25	$SCH_2COOC_2H_5$	
4.26	$SCH(CH_3)$COObenzyl (S)	
4.27	$SCH(CH_3)$COObenzyl (R)	
4.28	$SCH(CH_3)$COObenzyl (R,S)	
4.29	SCH_2COObenzyl	
4.30	SO_2Cl	
4.31	SO_2CH_3	
4.32	SO_2NHCH_3	
4.33	COOH	150-151
4.34	$COOCH_3$	60-61
4.35	$COOC_3H_7$(iso)	oil
4.36	$COOC(CH_3)_2COOH$	184-188
4.37	$COOC(CH_3)_2$COO-allyl	
4.38	$COOC(CH_3)_2COOCH_3$	
4.39	$COOC(CH_3)_2$COOethyl	52-55
4.40	$COOC(CH_3)_2$CONH-allyl	
4.41	$CH_2CHClCOO$ethyl	
4.42	$CH_2CH=CH_2$	
4.43	$CH_2CH_2CH_3$	
4.44	$CH_2CH_2CF_3$	
4.45	$OCH(CH_3)COOC_2H_5$(R)	
4.46	$OCH(CH_3)COOC_2H_5$(S)	
4.47	$OCH(CH_3)COOC_2H_5$(R,S)	
4.48	$CH_2CHClCOOH$	
4.49	$CH_2CHClCOOCH_3$	

Comp.No.	R_6	M.p.
4.50	$CH_2CHClCOOC_3H_7$(iso)	
4.51	$CH_2CHClCONH$allyl	
4.52	$CH_2C(CH_3)ClCOOH$	
4.53	$CH_2C(CH_3)ClCOOCH_3$	
4.54	$CH_2C(CH_3)ClCOOEt$	
4.55	$CH_2C(CH_3)ClCONHEt$	
4.56	CH_2CH_2COOH	
4.57	$CH_2CH_2COOCH_3$	
4.58	CH_2CH_2COOEt	
4.59	$CHClCHClCOOH$	
4.60	$CHClCHClCOOCH_3$	
4.61	$CHClCHClCOOEt$	
4.62	$CH_2CH(OCH_3)COOH$	
4.63	$CH_2CH(OCH_3)COOCH_3$	
4.64	$CH_2CH(OCH_3)COOEt$	
4.65	$CH_2CH(SCH_3)COOH$	
4.66	$CH_2CH(SCH_3)COOCH_3$	
4.67	$CH_2CH(SCH_3)COOEt$	
4.68	CH=CHCOOH	
4.69	$CH=CHCOOCH_3$	
4.70	CH=CHCOOEt	
4.71	CH=CClCOOH	
4.72	$CH=CClCOOCH_3$	
4.73	COOEt	
4.74	$CONH_2$	
4.75		
4.76	$CONHSO_2CH_3$	

Comp.No.	R_6	M.p.
4.77	$COOCH_2COOH$	
4.78	$COOCH_2COOCH_3$	
4.79	$COOCH(CH_3)COOH$	
4.80	$COOCH(CH_3)COOCH_3$	
4.81	$COOCH(CH_3)CH_2COOH$	
4.82	$COOCH(CH_3)CH_2COOCH_3$	
4.83	$COOC(CH_3)_2CN$	
4.84	$COOCH_2CH_2OCH_3$	
4.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
4.86		
4.87	$COOC(CH_3)_2COOCH_2$PHENYL	
4.88	$COOCH_2C{\equiv}CH$	
4.89	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
4.90	$COOCH(CH_3)C{\equiv}CH$	
4.91	$COOC(CH_3)_2COCH_3$	
4.92	NHallyl	
4.93	$N(COCH_3)$allyl	
4.94	$N(Et)SO_2CH_3$	
4.95	$N(allyl)SO_2CH_3$	
4.96	$N(allyl)SO_2Et$	
4.97	$SO_2N(CH_3)_2$	
4.98	SO_2NH_2	
4.99	$SO_2NHCOCH_3$	
4.100	OH	
4.101	OEt	
4.102	Oallyl	
4.103	$OCH_2C{\equiv}CCH_3$	

Comp.No.	R_6	M.p.
4.104	$OCH(CH_3)CH=CH_2$	
4.105	$OCH_2CH_2OCH_2CH_3$	
4.106	$OCH_2CH_2OCH_2CH_2OCH_3$	
4.107		
4.108	$OCH_2CH_2NHCH_3$	
4.109	$OCH_2CH_2N(CH_3)COCH_3$	
4.110	OCH_2CH_2COOH	
4.111	$OC(CH_3)_2COOH$	
4.112	$OC(CH_3)_2COOCH_3$	
4.113	$OC(CH_3)_2COOEt$	
4.114	OCH_2COOH	
4.115	OSO_2CH_3	
4.116	OSO_2CF_3	
4.117	$CH_2CHClCOOC_2H_5$	
4.118	$CH_2CHClCON(C_2H_5)_2$	
4.119	$CH_2CHClCONHOH$	
4.120	$CH_2CHClCOOCH_2C_6H_5$	
4.121	$CH_2CH(CH_3)COOH$	
4.122	$CH_2CH(CH_3)COOC_2H_5$	
4.123		
4.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
4.125		
4.126	$COOC(CH_3)_2CONHCH_2C\equiv CH$	
4.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	

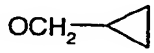
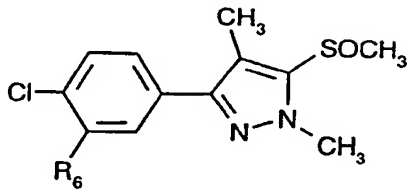
Comp.No.	R_6	M.p.
4.128		

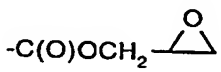
Table 5: Compounds of formula Ie

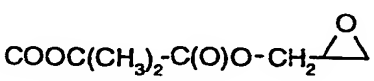


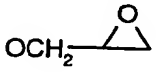
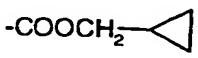
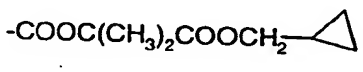
(Ie)

Comp.No.	R_6	M.p.
5.1	H	120-121
5.2	NH_2	136-139
5.3	NO_2	151-153
5.4	Br	
5.5	I	83-86
5.6	CN	
5.7	OCH_3	
5.8	$N(SO_2CH_3)_2$	
5.9	$NHSO_2CH_3$	
5.10	OC_3H_7(iso)	
5.11	O-propargyl	
5.12	$OCH(CH_3)C{\equiv}CH$	
5.13	$OCH_2COOCH_2CH_3$	
5.14	$OCH_2CH_2OCH_3$	
5.15	$OCH_2CH_2SCH_2CH_3$	
5.16	OCH_2COOCH_3	
5.17	$OCH_2COOC_5H_{11}$ (n)	
5.18	OCH_2COO-benzyl	
5.19	$OCH(CH_3)COO$benzyl (S)	
5.20	$OCH(CH_3)COO$benzyl (R)	
5.21	$OCH(CH_3)COO$benzyl (R,S)	

Comp.No.	R_6	M.p.
5.22	SC_3H_7(iso)	
5.23	SH	
5.24	SCH_2COOCH_3	
5.25	$SCH_2COOC_2H_5$	
5.26	$SCH(CH_3)COO$benzyl (S)	
5.27	$SCH(CH_3)COO$benzyl (R)	
5.28	$SCH(CH_3)COO$benzyl (R,S)	
5.29	SCH_2COObenzyl	
5.30	SO_2Cl	
5.31	SO_2CH_3	
5.32	SO_2NHCH_3	
5.33	COOH	161-165
5.34	$COOCH_3$	95-97
5.35	$COOC_3H_7$(iso)	117-120
5.36	$COOC(CH_3)_2COOH$	
5.37	$COOC(CH_3)_2COO$-allyl	
5.38	$COOC(CH_3)_2COOCH_3$	
5.39	$COOC(CH_3)_2COO$ethyl	oil
5.40	$COOC(CH_3)_2CONH$-allyl	
5.41	$CH_2CHClCOO$ethyl	
5.42	$CH_2CH{=}CH_2$	
5.43	$CH_2CH_2CH_3$	
5.44	$CH_2CH_2CF_3$	
5.45	$OCH(CH_3)COOC_2H_5$(R)	
5.46	$OCH(CH_3)COOC_2H_5$(S)	
5.47	$OCH(CH_3)COOC_2H_5$(R,S)	
5.48	$CH_2CHClCOOH$	
5.49	$CH_2CHClCOOCH_3$	

Comp.No.	R_6	M.p.
5.50	$CH_2CHClCOOC_3H_7$(iso)	
5.51	$CH_2CHClCONH$allyl	
5.52	$CH_2C(CH_3)ClCOOH$	
5.53	$CH_2C(CH_3)ClCOOCH_3$	
5.54	$CH_2C(CH_3)ClCOOEt$	
5.55	$CH_2C(CH_3)ClCONHEt$	
5.56	CH_2CH_2COOH	
5.57	$CH_2CH_2COOCH_3$	
5.58	CH_2CH_2COOEt	
5.59	$CHClCHClCOOH$	
5.60	$CHClCHClCOOCH_3$	
5.61	$CHClCHClCOOEt$	
5.62	$CH_2CH(OCH_3)COOH$	
5.63	$CH_2CH(OCH_3)COOCH_3$	
5.64	$CH_2CH(OCH_3)COOEt$	
5.65	$CH_2CH(SCH_3)COOH$	
5.66	$CH_2CH(SCH_3)COOCH_3$	
5.67	$CH_2CH(SCH_3)COOEt$	
5.68	$CH=CHCOOH$	
5.69	$CH=CHCOOCH_3$	
5.70	$CH=CHCOOEt$	
5.71	$CH=CClCOOH$	
5.72	$CH=CClCOOCH_3$	
5.73	$COOEt$	
5.74	$CONH_2$	
5.75		
5.76	$CONHSO_2CH_3$	

Comp.No.	R_6	M.p.
5.77	$COOCH_2COOH$	
5.78	$COOCH_2COOCH_3$	
5.79	$COOCH(CH_3)COOH$	
5.80	$COOCH(CH_3)COOCH_3$	
5.81	$COOCH(CH_3)CH_2COOH$	
5.82	$COOCH(CH_3)CH_2COOCH_3$	
5.83	$COOC(CH_3)_2CN$	
5.84	$COOCH_2CH_2OCH_3$	
5.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
5.86		
5.87	$COOC(CH_3)_2COOCH_2PHENYL$	
5.88	$COOCH_2C\equiv CH$	
5.89	$COOC(CH_3)_2COOCH_2C\equiv CH$	
5.90	$COOCH(CH_3)C\equiv CH$	
5.91	$COOC(CH_3)_2COCH_3$	
5.92	NHallyl	
5.93	$N(COCH_3)allyl$	
5.94	$N(Et)SO_2CH_3$	
5.95	$N(allyl)SO_2CH_3$	
5.96	$N(allyl)SO_2Et$	
5.97	$SO_2N(CH_3)_2$	
5.98	SO_2NH_2	
5.99	$SO_2NHCOCH_3$	
5.100	OH	
5.101	OEt	
5.102	Oallyl	
5.103	$OCH_2C\equiv CCH_3$	

Comp.No.	R_6	M.p.
5.104	$OCH(CH_3)CH=CH_2$	
5.105	$OCH_2CH_2OCH_2CH_3$	
5.106	$OCH_2CH_2OCH_2CH_2OCH_3$	
5.107		
5.108	$OCH_2CH_2NHCH_3$	
5.109	$OCH_2CH_2N(CH_3)COCH_3$	
5.110	OCH_2CH_2COOH	
5.111	$OC(CH_3)_2COOH$	
5.112	$OC(CH_3)_2COOCH_3$	
5.113	$OC(CH_3)_2COOEt$	
5.114	OCH_2COOH	
5.115	OSO_2CH_3	
5.116	OSO_2CF_3	
5.117	$CH_2CHClCOOC_2H_5$	
5.118	$CH_2CHClCON(C_2H_5)_2$	
5.119	$CH_2CHClCONHOH$	
5.120	$CH_2CHClCOOCH_2C_6H_5$	
5.121	$CH_2CH(CH_3)COOH$	
5.122	$CH_2CH(CH_3)COOC_2H_5$	
5.123		
5.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
5.125		
5.126	$COOC(CH_3)_2CONHCH_2C\equiv CH$	
5.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	

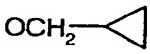
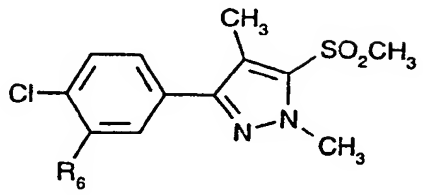
Comp.No.	R_6	M.p.
5.128		

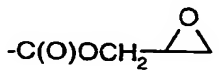
Table 6: Compounds of formula If

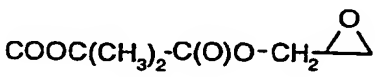


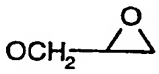
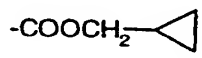
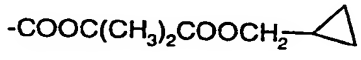
(If)

Comp.No.	R_6	M.p.
6.1	H	122-124
6.2	NH_2	140-144
6.3	NO_2	175-176
6.4	Br	
6.5	I	155-159
6.6	CN	
6.7	OCH_3	
6.8	$N(SO_2CH_3)_2$	
6.9	$NHSO_2CH_3$	
6.10	OC_3H_7(iso)	
6.11	O-propargyl	
6.12	$OCH(CH_3)C{\equiv}CH$	
6.13	$OCH_2COOCH_2CH_3$	
6.14	$OCH_2CH_2OCH_3$	
6.15	$OCH_2CH_2SCH_2CH_3$	
6.16	OCH_2COOCH_3	
6.17	$OCH_2COOC_5H_{11}$ (n)	
6.18	OCH_2COO-benzyl	
6.19	$OCH(CH_3)COO$benzyl (S)	
6.20	$OCH(CH_3)COO$benzyl (R)	
6.21	$OCH(CH_3)COO$benzyl (R,S)	

Comp.No.	R_6	M.p.
6.22	SC_3H_7(iso)	
6.23	SH	
6.24	SCH_2COOCH_3	
6.25	$SCH_2COOC_2H_5$	
6.26	$SCH(CH_3)$COObenzyl (S)	
6.27	$SCH(CH_3)$COObenzyl (R)	
6.28	$SCH(CH_3)$COObenzyl (R,S)	
6.29	SCH_2COObenzyl	
6.30	SO_2Cl	
6.31	SO_2CH_3	
6.32	SO_2NHCH_3	
6.33	COOH	225-227
6.34	$COOCH_3$	104-106
6.35	$COOC_3H_7$(iso)	98-99
6.36	$COOC(CH_3)_2COOH$	173-177
6.37	$COOC(CH_3)_2$COO-allyl	
6.38	$COOC(CH_3)_2COOCH_3$	
6.39	$COOC(CH_3)_2$COOethyl	90-92
6.40	$COOC(CH_3)_2$CONH-allyl	
6.41	$CH_2CHClCOO$ethyl	
6.42	$CH_2CH=CH_2$	
6.43	$CH_2CH_2CH_3$	
6.44	$CH_2CH_2CF_3$	
6.45	$OCH(CH_3)COOC_2H_5$(R)	
6.46	$OCH(CH_3)COOC_2H_5$(S)	
6.47	$OCH(CH_3)COOC_2H_5$(R,S)	
6.48	$CH_2CHClCOOH$	
6.49	$CH_2CHClCOOCH_3$	

Comp.No.	R_6	M.p.
6.50	$CH_2CHClCOOC_3H_7$(iso)	
6.51	$CH_2CHClCONH$allyl	
6.52	$CH_2C(CH_3)ClCOOH$	
6.53	$CH_2C(CH_3)ClCOOCH_3$	
6.54	$CH_2C(CH_3)ClCOOEt$	
6.55	$CH_2C(CH_3)ClCONHEt$	
6.56	CH_2CH_2COOH	
6.57	$CH_2CH_2COOCH_3$	
6.58	CH_2CH_2COOEt	
6.59	$CHClCHClCOOH$	
6.60	$CHClCHClCOOCH_3$	
6.61	$CHClCHClCOOEt$	
6.62	$CH_2CH(OCH_3)COOH$	
6.63	$CH_2CH(OCH_3)COOCH_3$	
6.64	$CH_2CH(OCH_3)COOEt$	
6.65	$CH_2CH(SCH_3)COOH$	
6.66	$CH_2CH(SCH_3)COOCH_3$	
6.67	$CH_2CH(SCH_3)COOEt$	
6.68	$CH=CHCOOH$	
6.69	$CH=CHCOOCH_3$	
6.70	$CH=CHCOOEt$	
6.71	$CH=CClCOOH$	
6.72	$CH=CClCOOCH_3$	
6.73	$COOEt$	
6.74	$CONH_2$	
6.75		
6.76	$CONHSO_2CH_3$	

Comp.No.	R_6	M.p.
6.77	$COOCH_2COOH$	
6.78	$COOCH_2COOCH_3$	
6.79	$COOCH(CH_3)COOH$	
6.80	$COOCH(CH_3)COOCH_3$	
6.81	$COOCH(CH_3)CH_2COOH$	
6.82	$COOCH(CH_3)CH_2COOCH_3$	
6.83	$COOC(CH_3)_2CN$	
6.84	$COOCH_2CH_2OCH_3$	
6.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
6.86		
6.87	$COOC(CH_3)_2COOCH_2PHENYL$	
6.88	$COOCH_2C\equiv CH$	
6.89	$COOC(CH_3)_2COOCH_2C\equiv CH$	
6.90	$COOCH(CH_3)C\equiv CH$	
6.91	$COOC(CH_3)_2COCH_3$	
6.92	NHallyl	
6.93	$N(COCH_3)allyl$	
6.94	$N(Et)SO_2CH_3$	
6.95	$N(allyl)SO_2CH_3$	
6.96	$N(allyl)SO_2Et$	
6.97	$SO_2N(CH_3)_2$	
6.98	SO_2NH_2	
6.99	$SO_2NHCOCH_3$	
6.100	OH	
6.101	OEt	
6.102	Oallyl	
6.103	$OCH_2C\equiv CCH_3$	

Comp.No.	R_6	M.p.
6.104	$OCH(CH_3)CH=CH_2$	
6.105	$OCH_2CH_2OCH_2CH_3$	
6.106	$OCH_2CH_2OCH_2CH_2OCH_3$	
6.107		
6.108	$OCH_2CH_2NHCH_3$	
6.109	$OCH_2CH_2N(CH_3)COCH_3$	
6.110	OCH_2CH_2COOH	
6.111	$OC(CH_3)_2COOH$	
6.112	$OC(CH_3)_2COOCH_3$	
6.113	$OC(CH_3)_2COOEt$	
6.114	OCH_2COOH	
6.115	OSO_2CH_3	
6.116	OSO_2CF_3	
6.117	$CH_2CHClCOOC_2H_5$	
6.118	$CH_2CHClCON(C_2H_5)_2$	
6.119	$CH_2CHClCONHOH$	
6.120	$CH_2CHClCOOCH_2C_6H_5$	
6.121	$CH_2CH(CH_3)COOH$	
6.122	$CH_2CH(CH_3)COOC_2H_5$	
6.123		
6.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
6.125		
6.126	$COOC(CH_3)_2CONHCH_2C\equiv CH$	
6.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	

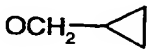
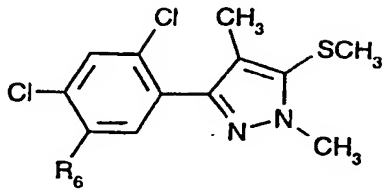
Comp.No.	R_6	M.p.
6.128		

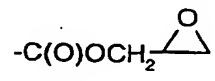
Table 7: Compounds of formula Ig

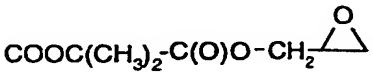


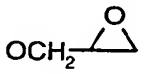
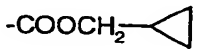
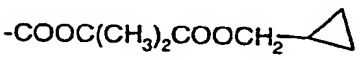
(Ig)

Comp.No.	R_6	M.p.
7.1	H	oil
7.2	NO_2	
7.3	Br	
7.4	I	88-90
7.5	CN	
7.6	OCH_3	88-90
7.7	$N(SO_2CH_3)_2$	196-198
7.8	$NHSO_2CH_3$	120-122
7.9	OC_3H_7(iso)	
7.10	O-propargyl	88-89
7.11	$OCH(CH_3)C{\equiv}CH$	
7.12	O-phenyl	
7.13	O-2-pyridyl	
7.14	O-2-pyrimidinyl	
7.15	$OCH_2COOC_2H_5$	115-116
7.16	$OCH_2COOC_5H_{11}$ (n)	74-76
7.17	OCH_2COO-benzyl	
7.18	$OCH(CH_3)COO$benzyl (S)	
7.19	$OCH(CH_3)COO$benzyl (R)	
7.20	$OCH(CH_3)COO$benzyl (R,S)	
7.21	SC_3H_7(iso)	oil

Comp.No.	R_6	M.p.
7.22	SH	78-80
7.23	SCH_2COOCH_3	
7.24	$SCH_2COOC_2H_5$	106-108
7.25	$SCH(CH_3)COO$benzyl (S)	
7.26	$SCH(CH_3)COO$benzyl (R)	
7.27	$SCH(CH_3)COO$benzyl (R,S)	
7.28	SCH_2COObenzyl	
7.29	SO_2Cl	121-123
7.30	SO_2CH_3	
7.31	SO_2NHCH_3	152-153
7.32	COOH	210-217
7.33	$COOCH_3$	92-93
7.34	$COOC_3H_7$(iso)	oil
7.35	$COOC(CH_3)_2COOH$	157-162
7.36	$COOC(CH_3)_2COO$-allyl	
7.37	$COOC(CH_3)_2COOCH_3$	
7.38	$COOC(CH_3)_2COO$ethyl	79.5-81.5
7.39	$COOC(CH_3)_2CONH$-allyl	resin
7.40	$CH_2CHClCOO$ethyl	oil
7.41	$CH_2CH=CH_2$	oil
7.42	$CH_2CH_2CH_3$	oil
7.43	$CH_2CH_2CF_3$	74-76
7.44	$OCH(CH_3)COOC_2H_5$(R)	
7.45	$OCH(CH_3)COOC_2H_5$(S)	
7.46	$OCH(CH_3)COOC_2H_5$(R,S)	
7.47	$CH_2CHClCOOH$	98-99
7.48	$CH_2CHClCOOCH_3$	oil
7.49	$CH_2CHClCOOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
7.50	$CH_2CHClCONH$allyl	
7.51	$CH_2C(CH_3)ClCOOH$	
7.52	$CH_2C(CH_3)ClCOOCH_3$	oil
7.53	$CH_2C(CH_3)ClCOOEt$	
7.54	$CH_2C(CH_3)ClCONHEt$	
7.55	CH_2CH_2COOH	
7.56	$CH_2CH_2COOCH_3$	110-111
7.57	CH_2CH_2COOEt	
7.58	$CHClCHClCOOH$	
7.59	$CHClCHClCOOCH_3$	
7.60	$CHClCHClCOOEt$	
7.61	$CH_2CH(OCH_3)COOH$	
7.62	$CH_2CH(OCH_3)COOCH_3$	
7.63	$CH_2CH(OCH_3)COOEt$	
7.64	$CH_2CH(SCH_3)COOH$	
7.65	$CH_2CH(SCH_3)COOCH_3$	
7.66	$CH_2CH(SCH_3)COOEt$	
7.67	$CH=CHCOOH$	
7.68	$CH=CHCOOCH_3$	148-149
7.69	$CH=CHCOOEt$	
7.70	$CH=CClCOOH$	
7.71	$CH=CClCOOCH_3$	
7.72	$COOEt$	
7.73	$CONH_2$	
7.74		
7.75	$CONHSO_2CH_3$	
7.76	$COOCH_2COOH$	

Comp.No.	R_6	M.p.
7.77	$COOCH_2COOCH_3$	
7.78	$COOCH(CH_3)COOH$	
7.79	$COOCH(CH_3)COOCH_3$	
7.80	$COOCH(CH_3)CH_2COOH$	
7.81	$COOCH(CH_3)CH_2COOCH_3$	
7.82	$COOC(CH_3)_2CN$	
7.83	$COOCH_2CH_2OCH_3$	
7.84	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
7.85		
7.86	$COOC(CH_3)_2COOCH_2$PHENYL	oil
7.87	$COOCH_2C{\equiv}CH$	
7.88	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
7.89	$COOCH(CH_3)C{\equiv}CH$	
7.90	$COOC(CH_3)_2COCH_3$	
7.91	NHallyl	
7.92	$N(COCH_3)$allyl	
7.93	$N(Et)SO_2CH_3$	
7.94	$N(allyl)SO_2CH_3$	
7.95	$N(allyl)SO_2Et$	
7.96	$SO_2N(CH_3)_2$	oil
7.97	SO_2NH_2	181-182
7.98	$SO_2NHCOCH_3$	
7.99	OH	164-166
7.100	OEt	
7.101	Oallyl	
7.102	$OCH_2C{\equiv}CCH_3$	
7.103	$OCH(CH_3)CH{=}CH_2$	

Comp.No.	R_6	M.p.
7.104	$OCH_2CH_2OCH_2CH_3$	
7.105	$OCH_2CH_2OCH_2CH_2OCH_3$	
7.106		
7.107	$OCH_2CH_2NHCH_3$	
7.108	$OCH_2CH_2N(CH_3)COCH_3$	
7.109	OCH_2CH_2COOH	
7.110	$OC(CH_3)_2COOH$	
7.111	$OC(CH_3)_2COOCH_3$	
7.112	$OC(CH_3)_2COOEt$	
7.113	OCH_2COOH	
7.114	OSO_2CH_3	
7.115	OSO_2CF_3	
7.116	Cl	58-60
7.117	$CH_2CHClCOOC_2H_5$	
7.118	$CH_2CHClCON(C_2H_5)_2$	
7.119	$CH_2CHClCONHOH$	
7.120	$CH_2CHClCOOCH_2C_6H_5$	
7.121	$CH_2CH(CH_3)COOH$	
7.122	$CH_2CH(CH_3)COOC_2H_5$	
7.123		
7.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
7.125		
7.126	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
7.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	

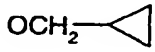
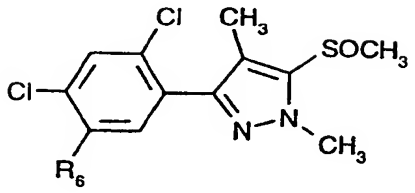
Comp.No.	R_6	M.p.
7.128		
7.129	$CH=CH-CF_3$	102-103

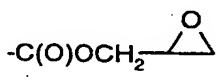
Table 8: Compounds of formula Ih

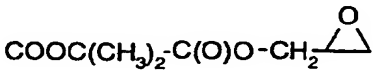


(Ih)

Comp.No.	R_6	M.p.
8.1	H	98-100
8.2	NH_2	164-165
8.3	NO_2	164-165
8.4	Br	
8.5	I	
8.6	CN	
8.7	OCH_3	152-153
8.8	$N(SO_2CH_3)_2$	246-248
8.9	$NHSO_2CH_3$	153-154
8.10	OC_3H_7(iso)	
8.11	O-propargyl	151-152
8.12	$OCH(CH_3)C{\equiv}CH$	
8.13	O-phenyl	
8.14	O-2-pyridyl	
8.15	O-2-pyrimidinyl	
8.16	$OCH_2COOC_2H_5$	165-166
8.17	$OCH_2COOC_5H_{11}$ (n)	89-91
8.18	OCH_2COO-benzyl	
8.19	$OCH(CH_3)COO$benzyl (S)	
8.20	$OCH(CH_3)COO$benzyl (R)	
8.21	$OCH(CH_3)COO$benzyl (R,S)	

8.22	SC_3H_7(iso)	
8.23	SH	
8.24	SCH_2COOCH_3	
8.25	$SCH_2COOC_2H_5$	
8.26	$SCH(CH_3)$COObenzyl (S)	
8.27	$SCH(CH_3)$COObenzyl (R)	
8.28	$SCH(CH_3)$COObenzyl (R,S)	
8.29	SCH_2COObenzyl	
8.30	SO_2Cl	160-163
8.31	SO_2CH_3	
8.32	SO_2NHCH_3	
8.33	COOH	78-86
8.34	$COOCH_3$	134-136
8.35	$COOC_3H_7$(iso)	116-119
8.36	$COOC(CH_3)_2COOH$	78-86
8.37	$COOC(CH_3)_2$COO-allyl	
8.38	$COOC(CH_3)_2COOCH_3$	
8.39	$COOC(CH_3)_2$COOethyl	oil
8.40	$COOC(CH_3)_2$CONH-allyl	168-172
8.41	$CH_2CHClCOO$ethyl	87-89
8.42	$CH_2CH=CH_2$	118-120
8.43	$CH_2CH_2CH_3$	128-129
8.44	$CH_2CH_2CF_3$	
8.45	$OCH(CH_3)COOC_2H_5$(R)	
8.46	$OCH(CH_3)COOC_2H_5$(S)	
8.47	$OCH(CH_3)COOC_2H_5$(R,S)	
8.48	$CH_2CHClCOOH$	92-94
8.49	$CH_2CHClCOOCH_3$	95-96
8.50	$CH_2CHClCOOC_3H_7$(iso)	

8.51	$CH_2CHClCONHallyl$	
8.52	$CH_2C(CH_3)ClCOOH$	
8.53	$CH_2C(CH_3)ClCOOCH_3$	oil
8.54	$CH_2C(CH_3)ClCOOEt$	
8.55	$CH_2C(CH_3)ClCONHEt$	
8.56	CH_2CH_2COOH	
8.57	$CH_2CH_2COOCH_3$	153-154
8.58	CH_2CH_2COOEt	
8.59	$CHClCHClCOOH$	
8.60	$CHClCHClCOOCH_3$	
8.61	$CHClCHClCOOEt$	
8.62	$CH_2CH(OCH_3)COOH$	
8.63	$CH_2CH(OCH_3)COOCH_3$	
8.64	$CH_2CH(OCH_3)COOEt$	
8.65	$CH_2CH(SCH_3)COOH$	
8.66	$CH_2CH(SCH_3)COOCH_3$	
8.67	$CH_2CH(SCH_3)COOEt$	
8.68	$CH=CHCOOH$	
8.69	$CH=CHCOOCH_3$	
8.70	$CH=CHCOOEt$	
8.71	$CH=CClCOOH$	
8.72	$CH=CClCOOCH_3$	
8.73	$COOEt$	122-123
8.74	$CONH_2$	
8.75		
8.76	$CONHSO_2CH_3$	
8.77	$COOCH_2COOH$	
8.78	$COOCH_2COOCH_3$	

8.79	$COOCH(CH_3)COOH$	
8.80	$COOCH(CH_3)COOCH_3$	
8.81	$COOCH(CH_3)CH_2COOH$	
8.82	$COOCH(CH_3)CH_2COOCH_3$	
8.83	$COOC(CH_3)_2CN$	
8.84	$COOCH_2CH_2OCH_3$	
8.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
8.86		
8.87	$COOC(CH_3)_2COOCH_2$PHENYL	oil
8.88	$COOCH_2C{\equiv}CH$	
8.89	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
8.90	$COOCH(CH_3)C{\equiv}CH$	
8.91	$COOC(CH_3)_2COCH_3$	
8.92	NHallyl	
8.93	$N(COCH_3)$allyl	
8.94	$N(Et)SO_2CH_3$	
8.95	$N(allyl)SO_2CH_3$	
8.96	$N(allyl)SO_2Et$	
8.97	$SO_2N(CH_3)_2$	
8.98	SO_2NH_2	
8.99	$SO_2NHCOCH_3$	
8.100	OH	
8.101	OEt	
8.102	Oallyl	
8.103	$OCH_2C{\equiv}CCH_3$	
8.104	$OCH(CH_3)CH{=}CH_2$	
8.105	$OCH_2CH_2OCH_2CH_3$	
8.106	$OCH_2CH_2OCH_2CH_2OCH_3$	

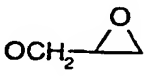
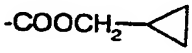
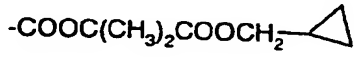
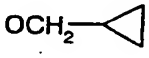
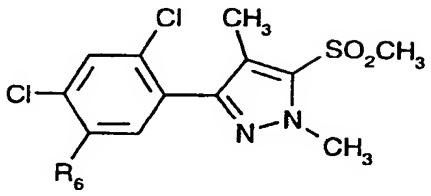
8.107		
8.108	$OCH_2CH_2NHCH_3$	
8.109	$OCH_2CH_2N(CH_3)COCH_3$	
8.110	OCH_2CH_2COOH	
8.111	$OC(CH_3)_2COOH$	
8.112	$OC(CH_3)_2COOCH_3$	
8.113	$OC(CH_3)_2COOEt$	
8.114	OCH_2COOH	
8.115	OSO_2CH_3	
8.116	OSO_2CF_3	
8.117	$CH_2CHClCOOC_2H_5$	
8.118	$CH_2CHClCON(C_2H_5)_2$	
8.119	$CH_2CHClCONHOH$	
8.120	$CH_2CHClCOOCH_2C_6H_5$	
8.121	$CH_2CH(CH_3)COOH$	
8.122	$CH_2CH(CH_3)COOC_2H_5$	
8.123		
8.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
8.125		
8.126	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
8.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
8.128		
8.129	$CH{=}CH{-}CF_3$	

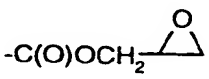
Table 9: Compounds of formula Ii

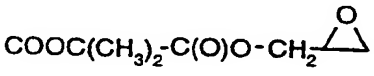


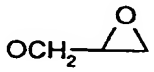
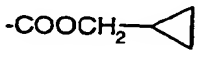
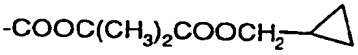
(Ii)

Comp.No.	R_6	M.p.
9.1	H	110-112
9.2	NH_2	185-187
9.3	NO_2	156-159
9.4	Br	
9.5	I	167-170
9.6	CN	
9.7	OCH_3	150-151
9.8	$N(SO_2CH_3)_2$	265-267
9.9	$NHSO_2CH_3$	185-187
9.10	OC_3H_7(iso)	
9.11	O-propargyl	126-127
9.12	$OCH(CH_3)C{\equiv}CH$	
9.13	O-phenyl	
9.14	O-2-pyridyl	
9.15	O-2-pyrimidinyl	
9.16	$OCH_2COOC_2H_5$	140-141
9.17	$OCH_2COOC_5H_{11}$ (n)	118-120
9.18	OCH_2COO-benzyl	
9.19	$OCH(CH_3)COO$benzyl (S)	
9.20	$OCH(CH_3)COO$benzyl (R)	
9.21	$OCH(CH_3)COO$benzyl (R,S)	

Comp.No.	R_6	M.p.
9.22	SC_3H_7(iso)	93-95
9.23	SH	
9.24	SCH_2COOCH_3	
9.25	$SCH_2COOC_2H_5$	133-135
9.26	$SCH(CH_3)$COObenzyl (S)	
9.27	$SCH(CH_3)$COObenzyl (R)	
9.28	$SCH(CH_3)$COObenzyl (R,S)	
9.29	SCH_2COObenzyl	
9.30	SO_2Cl	169-171
9.31	SO_2CH_3	
9.32	SO_2NHCH_3	
9.33	COOH	201-208
9.34	$COOCH_3$	137-139
9.35	$COOC_3H_7$(iso)	111-114
9.36	$COOC(CH_3)_2COOH$	179-182
9.37	$COOC(CH_3)_2$COO-allyl	
9.38	$COOC(CH_3)_2COOCH_3$	
9.39	$COOC(CH_3)_2$COOethyl	oil
9.40	$COOC(CH_3)_2$CONH-allyl	141-143
9.41	$CH_2CHClCOO$ethyl	oil
9.42	$CH_2CH=CH_2$	oil
9.43	$CH_2CH_2CH_3$	107-109
9.44	$CH_2CH_2CF_3$	
9.45	$OCH(CH_3)COOC_2H_5$(R)	
9.46	$OCH(CH_3)COOC_2H_5$(S)	
9.47	$OCH(CH_3)COOC_2H_5$(R,S)	
9.48	$CH_2CHClCOOH$	185-187
9.49	$CH_2CHClCOOCH_3$	96-98

Comp.No.	R_6	M.p.
9.50	$CH_2CHClCOOC_3H_7$(iso)	
9.51	$CH_2CHClCONH$allyl	
9.52	$CH_2C(CH_3)ClCOOH$	
9.53	$CH_2C(CH_3)ClCOOCH_3$	oil
9.54	$CH_2C(CH_3)ClCOOEt$	
9.55	$CH_2C(CH_3)ClCONHEt$	
9.56	CH_2CH_2COOH	
9.57	$CH_2CH_2COOCH_3$	152-153
9.58	CH_2CH_2COOEt	
9.59	$CHClCHClCOOH$	
9.60	$CHClCHClCOOCH_3$	
9.61	$CHClCHClCOOEt$	
9.62	$CH_2CH(OCH_3)COOH$	
9.63	$CH_2CH(OCH_3)COOCH_3$	
9.64	$CH_2CH(OCH_3)COOEt$	
9.65	$CH_2CH(SCH_3)COOH$	
9.66	$CH_2CH(SCH_3)COOCH_3$	
9.67	$CH_2CH(SCH_3)COOEt$	
9.68	$CH=CHCOOH$	
9.69	$CH=CHCOOCH_3$	
9.70	$CH=CHCOOEt$	
9.71	$CH=CClCOOH$	
9.72	$CH=CClCOOCH_3$	
9.73	$COOEt$	105-107
9.74	$CONH_2$	
9.75		
9.76	$CONHSO_2CH_3$	

Comp.No.	R_6	M.p.
9.77	$COOCH_2COOH$	
9.78	$COOCH_2COOCH_3$	
9.79	$COOCH(CH_3)COOH$	
9.80	$COOCH(CH_3)COOCH_3$	
9.81	$COOCH(CH_3)CH_2COOH$	
9.82	$COOCH(CH_3)CH_2COOCH_3$	
9.83	$COOC(CH_3)_2CN$	
9.84	$COOCH_2CH_2OCH_3$	
9.85	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
9.86		
9.87	$COOC(CH_3)_2COOCH_2$PHENYL	
9.88	$COOCH_2C{\equiv}CH$	
9.89	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
9.90	$COOCH(CH_3)C{\equiv}CH$	
9.91	$COOC(CH_3)_2COCH_3$	
9.92	NHallyl	
9.93	$N(COCH_3)$allyl	
9.94	$N(Et)SO_2CH_3$	
9.95	$N(allyl)SO_2CH_3$	
9.96	$N(allyl)SO_2Et$	
9.97	$SO_2N(CH_3)_2$	
9.98	SO_2NH_2	
9.99	$SO_2NHCOCH_3$	
9.100	OH	164-165
9.101	OEt	
9.102	Oallyl	
9.103	$OCH_2C{\equiv}CCH_3$	

Comp.No.	R_6	M.p.
9.104	$OCH(CH_3)CH=CH_2$	
9.105	$OCH_2CH_2OCH_2CH_3$	
9.106	$OCH_2CH_2OCH_2CH_2OCH_3$	
9.107		
9.108	$OCH_2CH_2NHCH_3$	
9.109	$OCH_2CH_2N(CH_3)COCH_3$	
9.110	OCH_2CH_2COOH	
9.111	$OC(CH_3)_2COOH$	
9.112	$OC(CH_3)_2COOCH_3$	
9.113	$OC(CH_3)_2COOEt$	
9.114	OCH_2COOH	
9.115	OSO_2CH_3	
9.116	OSO_2CF_3	
9.117	$CH_2CHClCOOC_2H_5$	
9.118	$CH_2CHClCON(C_2H_5)_2$	
9.119	$CH_2CHClCONHOH$	
9.120	$CH_2CHClCOOCH_2C_6H_5$	
9.121	$CH_2CH(CH_3)COOH$	
9.122	$CH_2CH(CH_3)COOC_2H_5$	
9.123		
9.124	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
9.125		
9.126	$COOC(CH_3)_2CONHCH_2C\equiv CH$	
9.127	$COOC(CH_3)_2CON(CH_2CH_3)_2$	

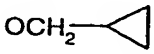
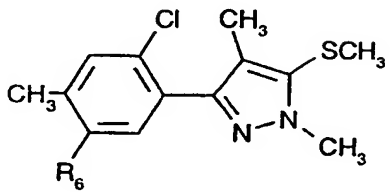
Comp.No.	R_6	M.p.
9.128		

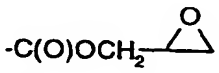
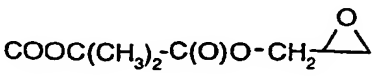
Table 10: Compounds of formula Ij

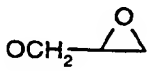


(Ij)

Comp.No.	R_6	M.p.
10.1	H	72-74
10.2	CN	
10.3	OCH_3	
10.4	$NHSO_2CH_3$	
10.5	OC_3H_7(iso)	
10.6	O-propargyl	
10.7	$OCH(CH_3)C{\equiv}CH$	
10.8	$OCH_2COOCH_2CH_3$	
10.9	$OCH_2CH_2OCH_3$	
10.10	$OCH_2CH_2SCH_2CH_3$	
10.11	OCH_2COOCH_3	
10.12	$OCH_2COOC_5H_{11}$ (n)	
10.13	OCH_2COO-benzyl	
10.14	$OCH(CH_3)COO$benzyl	
10.15	SC_3H_7(iso)	
10.16	SCH_2COOCH_3	
10.17	$SCH_2COOC_2H_5$	
10.18	$SCH(CH_3)COO$benzyl	
10.19	SCH_2COObenzyl	
10.20	$COOCH_3$	
10.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
10.22	$COOC(CH_3)_2COOH$	
10.23	$COOC(CH_3)_2COO$-allyl	
10.24	$COOC(CH_3)_2COOCH_3$	
10.25	$COOC(CH_3)_2COO$ethyl	
10.26	$COOC(CH_3)_2CONH$-allyl	
10.27	$CH_2CHClCOO$ethyl	
10.28	$CH_2CH=CH_2$	
10.29	$CH_2CH_2CH_3$	
10.30	$CH_2CH_2CF_3$	
10.31	$OCH(CH_3)COOC_2H_5$(R)	
10.32	$OCH(CH_3)COOC_2H_5$(S)	
10.33	$OCH(CH_3)COOC_2H_5$(R,S)	
10.34	$CH_2CHClCOOH$	
10.35	$CH_2CHClCOOCH_3$	
10.36	$CH_2CHClCOOC_3H_7$(iso)	
10.37	$CH_2CHClCONH$allyl	
10.38	$CH_2C(CH_3)ClCOOH$	
10.39	$CH_2C(CH_3)ClCOOCH_3$	
10.40	$CH_2C(CH_3)ClCOOEt$	
10.41	$CH_2C(CH_3)ClCONHEt$	
10.42	CH_2CH_2COOH	
10.43	$CH_2CH_2COOCH_3$	
10.44	CH_2CH_2COOEt	
10.45	$CHClCHClCOOH$	
10.46	$CHClCHClCOOCH_3$	
10.47	$CHClCHClCOOEt$	
10.48	$CH_2CH(OCH_3)COOH$	
10.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
10.50	$CH_2CH(OCH_3)COOEt$	
10.51	$CH_2CH(SCH_3)COOH$	
10.52	$CH_2CH(SCH_3)COOCH_3$	
10.53	$CH_2CH(SCH_3)COOEt$	
10.54	CH=CHCOOH	
10.55	$CH=CHCOOCH_3$	
10.56	CH=CHCOOEt	
10.57	CH=CClCOOH	
10.58	$CH=CClCOOCH_3$	
10.59	COOEt	
10.60	$CONH_2$	
10.61		
10.62	$CONHSO_2CH_3$	
10.63	$COOCH_2COOH$	
10.64	$COOCH_2COOCH_3$	
10.65	$COOCH(CH_3)COOH$	
10.66	$COOCH(CH_3)COOCH_3$	
10.67	$COOCH(CH_3)CH_2COOH$	
10.68	$COOCH(CH_3)CH_2COOCH_3$	
10.69	$COOC(CH_3)_2CN$	
10.70	$COOCH_2CH_2OCH_3$	
10.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
10.72		
10.73	$COOC(CH_3)_2COOCH_2$PHENYL	
10.74	$COOCH_2C\equiv CH$	
10.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
10.76	$COOCH(CH_3)C{\equiv}CH$	
10.77	$COOC(CH_3)_2COCH_3$	
10.78	NHallyl	
10.79	$N(COCH_3)$allyl	
10.80	$N(Et)SO_2CH_3$	
10.81	$N(allyl)SO_2CH_3$	
10.82	$N(allyl)SO_2Et$	
10.83	$SO_2N(CH_3)_2$	
10.84	SO_2NH_2	
10.85	$SO_2NHCOCH_3$	
10.86	OH	
10.87	OEt	
10.88	Oallyl	
10.89	$OCH_2C{\equiv}CCH_3$	
10.90	$OCH(CH_3)CH{=}CH_2$	
10.91	$OCH_2CH_2OCH_2CH_3$	
10.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
10.93		
10.94	$OCH_2CH_2NHCH_3$	
10.95	$OCH_2CH_2N(CH_3)COCH_3$	
10.96	OCH_2CH_2COOH	
10.97	$OC(CH_3)_2COOH$	
10.98	$OC(CH_3)_2COOCH_3$	
10.99	$OC(CH_3)_2COOEt$	
10.100	OCH_2COOH	
10.101	OSO_2CH_3	
10.102	OSO_2CF_3	

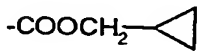
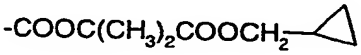
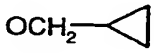
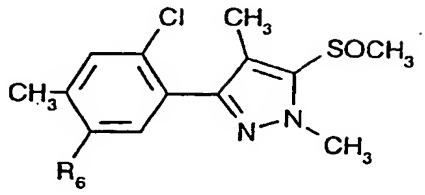
Comp.No.	R_6	M.p.
10.103	$CH_2CHClCOOC_2H_5$	
10.104	$CH_2CHClCON(C_2H_5)_2$	
10.105	$CH_2CHClCONHOH$	
10.106	$CH_2CHClCOOCH_2C_6H_5$	
10.107	$CH_2CH(CH_3)COOH$	
10.108	$CH_2CH(CH_3)COOC_2H_5$	
10.109		
10.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
10.111		
10.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
10.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
10.114		

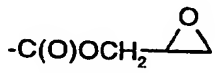
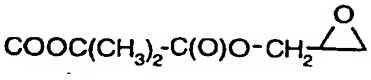
Table 11: Compounds of formula Ik

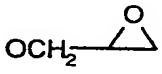


(Ik)

Comp.No.	R_6	M.p.
11.1	H	88-91
11.2	CN	
11.3	OCH_3	
11.4	$NHSO_2CH_3$	
11.5	OC_3H_7(iso)	
11.6	O-propargyl	
11.7	$OCH(CH_3)C{\equiv}CH$	
11.8	$OCH_2COOCH_2CH_3$	
11.9	$OCH_2CH_2OCH_3$	
11.10	$OCH_2CH_2SCH_2CH_3$	
11.11	OCH_2COOCH_3	
11.12	$OCH_2COOC_5H_{11}$ (n)	
11.13	OCH_2COO-benzyl	
11.14	$OCH(CH_3)COO$benzyl	
11.15	SC_3H_7(iso)	
11.16	SCH_2COOCH_3	
11.17	$SCH_2COOC_2H_5$	
11.18	$SCH(CH_3)COO$benzyl	
11.19	SCH_2COObenzyl	
11.20	$COOCH_3$	
11.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
11.22	$COOC(CH_3)_2COOH$	
11.23	$COOC(CH_3)_2COO$-allyl	
11.24	$COOC(CH_3)_2COOCH_3$	
11.25	$COOC(CH_3)_2COO$ethyl	
11.26	$COOC(CH_3)_2CONH$-allyl	
11.27	$CH_2CHClCOO$ethyl	
11.28	$CH_2CH{=}CH_2$	
11.29	$CH_2CH_2CH_3$	
11.30	$CH_2CH_2CF_3$	
11.31	$OCH(CH_3)COOC_2H_5$(R)	
11.32	$OCH(CH_3)COOC_2H_5$(S)	
11.33	$OCH(CH_3)COOC_2H_5$(R,S)	
11.34	$CH_2CHClCOOH$	
11.35	$CH_2CHClCOOCH_3$	
11.36	$CH_2CHClCOOC_3H_7$(iso)	
11.37	$CH_2CHClCONH$allyl	
11.38	$CH_2C(CH_3)ClCOOH$	
11.39	$CH_2C(CH_3)ClCOOCH_3$	
11.40	$CH_2C(CH_3)ClCOOEt$	
11.41	$CH_2C(CH_3)ClCONHEt$	
11.42	CH_2CH_2COOH	
11.43	$CH_2CH_2COOCH_3$	
11.44	CH_2CH_2COOEt	
11.45	$CHClCHClCOOH$	
11.46	$CHClCHClCOOCH_3$	
11.47	$CHClCHClCOOEt$	
11.48	$CH_2CH(OCH_3)COOH$	
11.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
11.50	$CH_2CH(OCH_3)COOEt$	
11.51	$CH_2CH(SCH_3)COOH$	
11.52	$CH_2CH(SCH_3)COOCH_3$	
11.53	$CH_2CH(SCH_3)COOEt$	
11.54	CH=CHCOOH	
11.55	$CH=CHCOOCH_3$	
11.56	CH=CHCOOEt	
11.57	CH=CClCOOH	
11.58	$CH=CClCOOCH_3$	
11.59	COOEt	
11.60	$CONH_2$	
11.61		
11.62	$CONHSO_2CH_3$	
11.63	$COOCH_2COOH$	
11.64	$COOCH_2COOCH_3$	
11.65	$COOCH(CH_3)COOH$	
11.66	$COOCH(CH_3)COOCH_3$	
11.67	$COOCH(CH_3)CH_2COOH$	
11.68	$COOCH(CH_3)CH_2COOCH_3$	
11.69	$COOC(CH_3)_2CN$	
11.70	$COOCH_2CH_2OCH_3$	
11.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
11.72		
11.73	$COOC(CH_3)_2COOCH_2PHENYL$	
11.74	$COOCH_2C\equiv CH$	
11.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
11.76	$COOCH(CH_3)C{\equiv}CH$	
11.77	$COOC(CH_3)_2COCH_3$	
11.78	NHallyl	
11.79	$N(COCH_3)$allyl	
11.80	$N(Et)SO_2CH_3$	
11.81	$N(allyl)SO_2CH_3$	
11.82	$N(allyl)SO_2Et$	
11.83	$SO_2N(CH_3)_2$	
11.84	SO_2NH_2	
11.85	$SO_2NHCOCH_3$	
11.86	OH	
11.87	OEt	
11.88	Oallyl	
11.89	$OCH_2C{\equiv}CCH_3$	
11.90	$OCH(CH_3)CH{=}CH_2$	
11.91	$OCH_2CH_2OCH_2CH_3$	
11.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
11.93		
11.94	$OCH_2CH_2NHCH_3$	
11.95	$OCH_2CH_2N(CH_3)COCH_3$	
11.96	OCH_2CH_2COOH	
11.97	$OC(CH_3)_2COOH$	
11.98	$OC(CH_3)_2COOCH_3$	
11.99	$OC(CH_3)_2COOEt$	
11.100	OCH_2COOH	
11.101	OSO_2CH_3	
11.102	OSO_2CF_3	

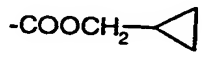
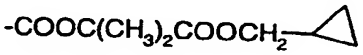
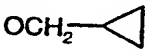
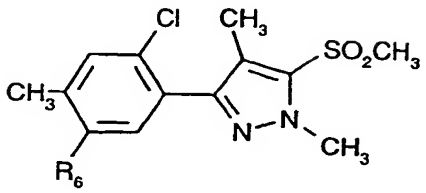
Comp.No.	R_6	M.p.
11.103	$CH_2CHClCOOC_2H_5$	
11.104	$CH_2CHClCON(C_2H_5)_2$	
11.105	$CH_2CHClCONHOH$	
11.106	$CH_2CHClCOOCH_2C_6H_5$	
11.107	$CH_2CH(CH_3)COOH$	
11.108	$CH_2CH(CH_3)COOC_2H_5$	
11.109		
11.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
11.111		
11.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
11.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
11.114		

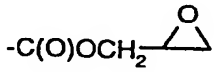
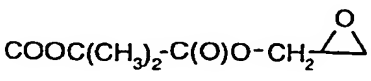
Table 12: Compounds of formula Im

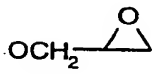


(Im)

Comp.No.	R_6	M.p.
12.1	H	91-92
12.2	CN	
12.3	OCH_3	
12.4	$NHSO_2CH_3$	
12.5	OC_3H_7(iso)	
12.6	O-propargyl	
12.7	$OCH(CH_3)C{\equiv}CH$	
12.8	$OCH_2COOCH_2CH_3$	
12.9	$OCH_2CH_2OCH_3$	
12.10	$OCH_2CH_2SCH_2CH_3$	
12.11	OCH_2COOCH_3	
12.12	$OCH_2COOC_5H_{11}$ (n)	
12.13	OCH_2COO-benzyl	
12.14	$OCH(CH_3)COO$benzyl	
12.15	SC_3H_7(iso)	
12.16	SCH_2COOCH_3	
12.17	$SCH_2COOC_2H_5$	
12.18	$SCH(CH_3)COO$benzyl	
12.19	SCH_2COObenzyl	
12.20	$COOCH_3$	
12.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
12.22	$COOC(CH_3)_2COOH$	
12.23	$COOC(CH_3)_2COO$-allyl	
12.24	$COOC(CH_3)_2COOCH_3$	
12.25	$COOC(CH_3)_2COO$ethyl	
12.26	$COOC(CH_3)_2CONH$-allyl	
12.27	$CH_2CHClCOO$ethyl	
12.28	$CH_2CH=CH_2$	
12.29	$CH_2CH_2CH_3$	
12.30	$CH_2CH_2CF_3$	
12.31	$OCH(CH_3)COOC_2H_5$(R)	
12.32	$OCH(CH_3)COOC_2H_5$(S)	
12.33	$OCH(CH_3)COOC_2H_5$(R,S)	
12.34	$CH_2CHClCOOH$	
12.35	$CH_2CHClCOOCH_3$	
12.36	$CH_2CHClCOOC_3H_7$(iso)	
12.37	$CH_2CHClCONH$allyl	
12.38	$CH_2C(CH_3)ClCOOH$	
12.39	$CH_2C(CH_3)ClCOOCH_3$	
12.40	$CH_2C(CH_3)ClCOOEt$	
12.41	$CH_2C(CH_3)ClCONHEt$	
12.42	CH_2CH_2COOH	
12.43	$CH_2CH_2COOCH_3$	
12.44	CH_2CH_2COOEt	
12.45	$CHClCHClCOOH$	
12.46	$CHClCHClCOOCH_3$	
12.47	$CHClCHClCOOEt$	
12.48	$CH_2CH(OCH_3)COOH$	
12.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
12.50	$CH_2CH(OCH_3)COOEt$	
12.51	$CH_2CH(SCH_3)COOH$	
12.52	$CH_2CH(SCH_3)COOCH_3$	
12.53	$CH_2CH(SCH_3)COOEt$	
12.54	CH=CHCOOH	
12.55	$CH=CHCOOCH_3$	
12.56	CH=CHCOOEt	
12.57	CH=CClCOOH	
12.58	$CH=CClCOOCH_3$	
12.59	COOEt	
12.60	$CONH_2$	
12.61		
12.62	$CONHSO_2CH_3$	
12.63	$COOCH_2COOH$	
12.64	$COOCH_2COOCH_3$	
12.65	$COOCH(CH_3)COOH$	
12.66	$COOCH(CH_3)COOCH_3$	
12.67	$COOCH(CH_3)CH_2COOH$	
12.68	$COOCH(CH_3)CH_2COOCH_3$	
12.69	$COOC(CH_3)_2CN$	
12.70	$COOCH_2CH_2OCH_3$	
12.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
12.72		
12.73	$COOC(CH_3)_2COOCH_2PHENYL$	
12.74	$COOCH_2C\equiv CH$	
12.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
12.76	$COOCH(CH_3)C{\equiv}CH$	
12.77	$COOC(CH_3)_2COCH_3$	
12.78	NHallyl	
12.79	$N(COCH_3)$allyl	
12.80	$N(Et)SO_2CH_3$	
12.81	$N(allyl)SO_2CH_3$	
12.82	$N(allyl)SO_2Et$	
12.83	$SO_2N(CH_3)_2$	
12.84	SO_2NH_2	
12.85	$SO_2NHCOCH_3$	
12.86	OH	
12.87	OEt	
12.88	Oallyl	
12.89	$OCH_2C{\equiv}CCH_3$	
12.90	$OCH(CH_3)CH{=}CH_2$	
12.91	$OCH_2CH_2OCH_2CH_3$	
12.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
12.93		
12.94	$OCH_2CH_2NHCH_3$	
12.95	$OCH_2CH_2N(CH_3)COCH_3$	
12.96	OCH_2CH_2COOH	
12.97	$OC(CH_3)_2COOH$	
12.98	$OC(CH_3)_2COOCH_3$	
12.99	$OC(CH_3)_2COOEt$	
12.100	OCH_2COOH	
12.101	OSO_2CH_3	
12.102	OSO_2CF_3	

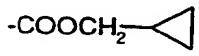
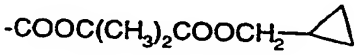
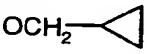
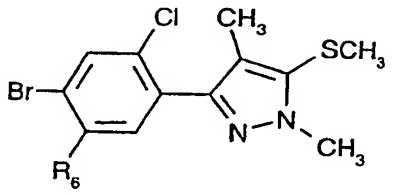
Comp.No.	R_6	M.p.
12.103	$CH_2CHClCOOC_2H_5$	
12.104	$CH_2CHClCON(C_2H_5)_2$	
12.105	$CH_2CHClCONHOH$	
12.106	$CH_2CHClCOOCH_2C_6H_5$	
12.107	$CH_2CH(CH_3)COOH$	
12.108	$CH_2CH(CH_3)COOC_2H_5$	
12.109		
12.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
12.111		
12.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
12.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
12.114		

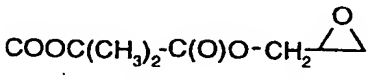
Table 13: Compounds of formula In

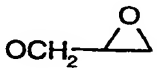


(In)

Comp.No.	R_6	M.p.
13.1	H	65-70
13.2	CN	
13.3	OCH_3	
13.4	$NHSO_2CH_3$	
13.5	OC_3H_7(iso)	
13.6	O-propargyl	
13.7	$OCH(CH_3)C{\equiv}CH$	
13.8	$OCH_2COOCH_2CH_3$	
13.9	$OCH_2CH_2OCH_3$	
13.10	$OCH_2CH_2SCH_2CH_3$	
13.11	OCH_2COOCH_3	
13.12	$OCH_2COOC_5H_{11}$ (n)	
13.13	OCH_2COO-benzyl	
13.14	$OCH(CH_3)COO$benzyl	
13.15	SC_3H_7(iso)	
13.16	SCH_2COOCH_3	
13.17	$SCH_2COOC_2H_5$	
13.18	$SCH(CH_3)COO$benzyl	
13.19	SCH_2COObenzyl	
13.20	$COOCH_3$	
13.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
13.22	$COOC(CH_3)_2COOH$	
13.23	$COOC(CH_3)_2COO$-allyl	
13.24	$COOC(CH_3)_2COOCH_3$	
13.25	$COOC(CH_3)_2COO$ethyl	
13.26	$COOC(CH_3)_2CONH$-allyl	
13.27	$CH_2CHClCOO$ethyl	
13.28	$CH_2CH=CH_2$	
13.29	$CH_2CH_2CH_3$	
13.30	$CH_2CH_2CF_3$	
13.31	$OCH(CH_3)COOC_2H_5$(R)	
13.32	$OCH(CH_3)COOC_2H_5$(S)	
13.33	$OCH(CH_3)COOC_2H_5$(R,S)	
13.34	$CH_2CHClCOOH$	
13.35	$CH_2CHClCOOCH_3$	
13.36	$CH_2CHClCOOC_3H_7$(iso)	
13.37	$CH_2CHClCONH$allyl	
13.38	$CH_2C(CH_3)ClCOOH$	
13.39	$CH_2C(CH_3)ClCOOCH_3$	
13.40	$CH_2C(CH_3)ClCOOEt$	
13.41	$CH_2C(CH_3)ClCONHEt$	
13.42	CH_2CH_2COOH	
13.43	$CH_2CH_2COOCH_3$	
13.44	CH_2CH_2COOEt	
13.45	$CHClCHClCOOH$	
13.46	$CHClCHClCOOCH_3$	
13.47	$CHClCHClCOOEt$	
13.48	$CH_2CH(OCH_3)COOH$	
13.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
13.50	$CH_2CH(OCH_3)COOEt$	
13.51	$CH_2CH(SCH_3)COOH$	
13.52	$CH_2CH(SCH_3)COOCH_3$	
13.53	$CH_2CH(SCH_3)COOEt$	
13.54	$CH=CHCOOH$	
13.55	$CH=CHCOOCH_3$	
13.56	$CH=CHCOOEt$	
13.57	$CH=CClCOOH$	
13.58	$CH=CClCOOCH_3$	
13.59	$COOEt$	
13.60	$CONH_2$	
13.61		
13.62	$CONHSO_2CH_3$	
13.63	$COOCH_2COOH$	
13.64	$COOCH_2COOCH_3$	
13.65	$COOCH(CH_3)COOH$	
13.66	$COOCH(CH_3)COOCH_3$	
13.67	$COOCH(CH_3)CH_2COOH$	
13.68	$COOCH(CH_3)CH_2COOCH_3$	
13.69	$COOC(CH_3)_2CN$	
13.70	$COOCH_2CH_2OCH_3$	
13.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
13.72		
13.73	$COOC(CH_3)_2COOCH_2PHENYL$	
13.74	$COOCH_2C\equiv CH$	
13.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
13.76	$COOCH(CH_3)C{\equiv}CH$	
13.77	$COOC(CH_3)_2COCH_3$	
13.78	NHallyl	
13.79	$N(COCH_3)$allyl	
13.80	$N(Et)SO_2CH_3$	
13.81	$N(allyl)SO_2CH_3$	
13.82	$N(allyl)SO_2Et$	
13.83	$SO_2N(CH_3)_2$	
13.84	SO_2NH_2	
13.85	$SO_2NHCOCH_3$	
13.86	OH	
13.87	OEt	
13.88	Oallyl	
13.89	$OCH_2C{\equiv}CCH_3$	
13.90	$OCH(CH_3)CH{=}CH_2$	
13.91	$OCH_2CH_2OCH_2CH_3$	
13.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
13.93		
13.94	$OCH_2CH_2NHCH_3$	
13.95	$OCH_2CH_2N(CH_3)COCH_3$	
13.96	OCH_2CH_2COOH	
13.97	$OC(CH_3)_2COOH$	
13.98	$OC(CH_3)_2COOCH_3$	
13.99	$OC(CH_3)_2COOEt$	
13.100	OCH_2COOH	
13.101	OSO_2CH_3	
13.102	OSO_2CF_3	

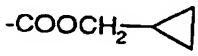
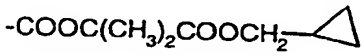
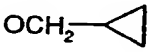
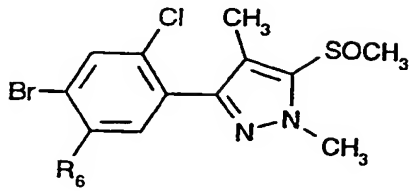
Comp.No.	R_6	M.p.
13.103	$CH_2CHClCOOC_2H_5$	
13.104	$CH_2CHClCON(C_2H_5)_2$	
13.105	$CH_2CHClCONHOH$	
13.106	$CH_2CHClCOOCH_2C_6H_5$	
13.107	$CH_2CH(CH_3)COOH$	
13.108	$CH_2CH(CH_3)COOC_2H_5$	
13.109		
13.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
13.111		
13.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
13.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
13.114		

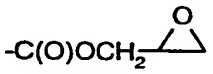
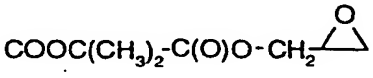
Table 14: Compounds of formula Io

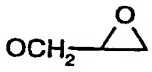


(Io)

Comp.No.	R_6	M.p.
14.1	H	115-117
14.2	CN	
14.3	OCH_3	
14.4	$NHSO_2CH_3$	
14.5	OC_3H_7(iso)	
14.6	O-propargyl	
14.7	$OCH(CH_3)C{\equiv}CH$	
14.8	$OCH_2COOCH_2CH_3$	
14.9	$OCH_2CH_2OCH_3$	
14.10	$OCH_2CH_2SCH_2CH_3$	
14.11	OCH_2COOCH_3	
14.12	$OCH_2COOC_5H_{11}$ (n)	
14.13	OCH_2COO-benzyl	
14.14	$OCH(CH_3)COO$benzyl	
14.15	SC_3H_7(iso)	
14.16	SCH_2COOCH_3	
14.17	$SCH_2COOC_2H_5$	
14.18	$SCH(CH_3)COO$benzyl	
14.19	SCH_2COObenzyl	
14.20	$COOCH_3$	
14.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
14.22	$COOC(CH_3)_2COOH$	
14.23	$COOC(CH_3)_2COO$-allyl	
14.24	$COOC(CH_3)_2COOCH_3$	
14.25	$COOC(CH_3)_2COO$ethyl	
14.26	$COOC(CH_3)_2CONH$-allyl	
14.27	$CH_2CHClCOO$ethyl	
14.28	$CH_2CH=CH_2$	
14.29	$CH_2CH_2CH_3$	
14.30	$CH_2CH_2CF_3$	
14.31	$OCH(CH_3)COOC_2H_5$(R)	
14.32	$OCH(CH_3)COOC_2H_5$(S)	
14.33	$OCH(CH_3)COOC_2H_5$(R,S)	
14.34	$CH_2CHClCOOH$	
14.35	$CH_2CHClCOOCH_3$	
14.36	$CH_2CHClCOOC_3H_7$(iso)	
14.37	$CH_2CHClCONH$allyl	
14.38	$CH_2C(CH_3)ClCOOH$	
14.39	$CH_2C(CH_3)ClCOOCH_3$	
14.40	$CH_2C(CH_3)ClCOOEt$	
14.41	$CH_2C(CH_3)ClCONHEt$	
14.42	CH_2CH_2COOH	
14.43	$CH_2CH_2COOCH_3$	
14.44	CH_2CH_2COOEt	
14.45	$CHClCHClCOOH$	
14.46	$CHClCHClCOOCH_3$	
14.47	$CHClCHClCOOEt$	
14.48	$CH_2CH(OCH_3)COOH$	
14.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
14.50	$CH_2CH(OCH_3)COOEt$	
14.51	$CH_2CH(SCH_3)COOH$	
14.52	$CH_2CH(SCH_3)COOCH_3$	
14.53	$CH_2CH(SCH_3)COOEt$	
14.54	CH=CHCOOH	
14.55	$CH=CHCOOCH_3$	
14.56	CH=CHCOOEt	
14.57	CH=CClCOOH	
14.58	$CH=CClCOOCH_3$	
14.59	COOEt	
14.60	$CONH_2$	
14.61		
14.62	$CONHSO_2CH_3$	
14.63	$COOCH_2COOH$	
14.64	$COOCH_2COOCH_3$	
14.65	$COOCH(CH_3)COOH$	
14.66	$COOCH(CH_3)COOCH_3$	
14.67	$COOCH(CH_3)CH_2COOH$	
14.68	$COOCH(CH_3)CH_2COOCH_3$	
14.69	$COOC(CH_3)_2CN$	
14.70	$COOCH_2CH_2OCH_3$	
14.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
14.72		
14.73	$COOC(CH_3)_2COOCH_2$PHENYL	
14.74	$COOCH_2C\equiv CH$	
14.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
14.76	$COOCH(CH_3)C\equiv CH$	
14.77	$COOC(CH_3)_2COCH_3$	
14.78	NHallyl	
14.79	$N(COCH_3)$allyl	
14.80	$N(Et)SO_2CH_3$	
14.81	$N(allyl)SO_2CH_3$	
14.82	$N(allyl)SO_2Et$	
14.83	$SO_2N(CH_3)_2$	
14.84	SO_2NH_2	
14.85	$SO_2NHCOCH_3$	
14.86	OH	
14.87	OEt	
14.88	Oallyl	
14.89	$OCH_2C\equiv C(CH_3)$	
14.90	$OCH(CH_3)CH=CH_2$	
14.91	$OCH_2CH_2OCH_2CH_3$	
14.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
14.93		
14.94	$OCH_2CH_2NHCH_3$	
14.95	$OCH_2CH_2N(CH_3)COCH_3$	
14.96	OCH_2CH_2COOH	
14.97	$OC(CH_3)_2COOH$	
14.98	$OC(CH_3)_2COOCH_3$	
14.99	$OC(CH_3)_2COOEt$	
14.100	OCH_2COOH	
14.101	OSO_2CH_3	
14.102	OSO_2CF_3	

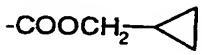
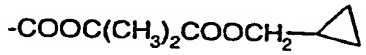
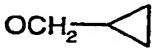
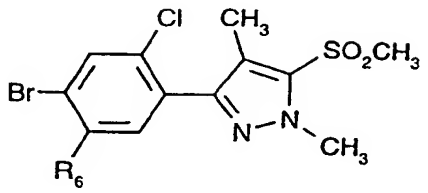
Comp.No.	R_6	M.p.
14.103	$CH_2CHClCOOC_2H_5$	
14.104	$CH_2CHClCON(C_2H_5)_2$	
14.105	$CH_2CHClCONHOH$	
14.106	$CH_2CHClCOOCH_2C_6H_5$	
14.107	$CH_2CH(CH_3)COOH$	
14.108	$CH_2CH(CH_3)COOC_2H_5$	
14.109		
14.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
14.111		
14.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
14.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
14.114		

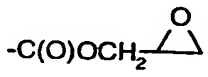
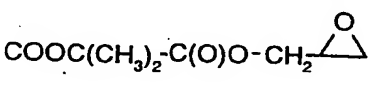
Table 15: Compounds of formula Ip

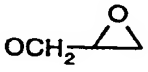


(Ip)

Comp.No.	R_6	M.p.
15.1	H	110-112
15.2	CN	
15.3	OCH_3	
15.4	$NHSO_2CH_3$	
15.5	OC_3H_7(iso)	
15.6	O-propargyl	
15.7	$OCH(CH_3)C{\equiv}CH$	
15.8	$OCH_2COOCH_2CH_3$	
15.9	$OCH_2CH_2OCH_3$	
15.10	$OCH_2CH_2SCH_2CH_3$	
15.11	OCH_2COOCH_3	
15.12	$OCH_2COOC_5H_{11}$ (n)	
15.13	OCH_2COO-benzyl	
15.14	$OCH(CH_3)COO$benzyl	
15.15	SC_3H_7(iso)	
15.16	SCH_2COOCH_3	
15.17	$SCH_2COOC_2H_5$	
15.18	$SCH(CH_3)COO$benzyl	
15.19	SCH_2COObenzyl	
15.20	$COOCH_3$	
15.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
15.22	$COOC(CH_3)_2COOH$	
15.23	$COOC(CH_3)_2COO$-allyl	
15.24	$COOC(CH_3)_2COOCH_3$	
15.25	$COOC(CH_3)_2COO$ethyl	
15.26	$COOC(CH_3)_2CONH$-allyl	
15.27	$CH_2CHClCOO$ethyl	
15.28	$CH_2CH=CH_2$	
15.29	$CH_2CH_2CH_3$	
15.30	$CH_2CH_2CF_3$	
15.31	$OCH(CH_3)COOC_2H_5$(R)	
15.32	$OCH(CH_3)COOC_2H_5$(S)	
15.33	$OCH(CH_3)COOC_2H_5$(R,S)	
15.34	$CH_2CHClCOOH$	
15.35	$CH_2CHClCOOCH_3$	
15.36	$CH_2CHClCOOC_3H_7$(iso)	
15.37	$CH_2CHClCONH$allyl	
15.38	$CH_2C(CH_3)ClCOOH$	
15.39	$CH_2C(CH_3)ClCOOCH_3$	
15.40	$CH_2C(CH_3)ClCOOEt$	
15.41	$CH_2C(CH_3)ClCONHEt$	
15.42	CH_2CH_2COOH	
15.43	$CH_2CH_2COOCH_3$	
15.44	CH_2CH_2COOEt	
15.45	$CHClCHClCOOH$	
15.46	$CHClCHClCOOCH_3$	
15.47	$CHClCHClCOOEt$	
15.48	$CH_2CH(OCH_3)COOH$	
15.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
15.50	$CH_2CH(OCH_3)COOEt$	
15.51	$CH_2CH(SCH_3)COOH$	
15.52	$CH_2CH(SCH_3)COOCH_3$	
15.53	$CH_2CH(SCH_3)COOEt$	
15.54	CH=CHCOOH	
15.55	$CH=CHCOOCH_3$	
15.56	CH=CHCOOEt	
15.57	CH=CClCOOH	
15.58	$CH=CClCOOCH_3$	
15.59	COOEt	
15.60	$CONH_2$	
15.61		
15.62	$CONHSO_2CH_3$	
15.63	$COOCH_2COOH$	
15.64	$COOCH_2COOCH_3$	
15.65	$COOCH(CH_3)COOH$	
15.66	$COOCH(CH_3)COOCH_3$	
15.67	$COOCH(CH_3)CH_2COOH$	
15.68	$COOCH(CH_3)CH_2COOCH_3$	
15.69	$COOC(CH_3)_2CN$	
15.70	$COOCH_2CH_2OCH_3$	
15.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
15.72		
15.73	$COOC(CH_3)_2COOCH_2PHENYL$	
15.74	$COOCH_2C\equiv CH$	
15.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
15.76	$COOCH(CH_3)C\equiv CH$	
15.77	$COOC(CH_3)_2COCH_3$	
15.78	NHallyl	
15.79	$N(COCH_3)$allyl	
15.80	$N(Et)SO_2CH_3$	
15.81	$N(allyl)SO_2CH_3$	
15.82	$N(allyl)SO_2Et$	
15.83	$SO_2N(CH_3)_2$	
15.84	SO_2NH_2	
15.85	$SO_2NHCOCH_3$	
15.86	OH	
15.87	OEt	
15.88	Oallyl	
15.89	$OCH_2C\equiv CCH_3$	
15.90	$OCH(CH_3)CH=CH_2$	
15.91	$OCH_2CH_2OCH_2CH_3$	
15.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
15.93		
15.94	$OCH_2CH_2NHCH_3$	
15.95	$OCH_2CH_2N(CH_3)COCH_3$	
15.96	OCH_2CH_2COOH	
15.97	$OC(CH_3)_2COOH$	
15.98	$OC(CH_3)_2COOCH_3$	
15.99	$OC(CH_3)_2COOEt$	
15.100	OCH_2COOH	
15.101	OSO_2CH_3	
15.102	OSO_2CF_3	

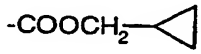
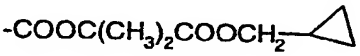
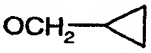
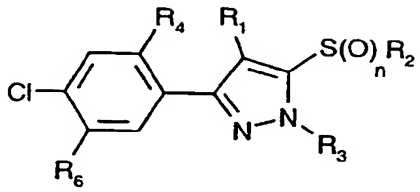
Comp.No.	R_6	M.p.
15.103	$CH_2CHClCOOC_2H_5$	
15.104	$CH_2CHClCON(C_2H_5)_2$	
15.105	$CH_2CHClCONHOH$	
15.106	$CH_2CHClCOOCH_2C_6H_5$	
15.107	$CH_2CH(CH_3)COOH$	
15.108	$CH_2CH(CH_3)COOC_2H_5$	
15.109		
15.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
15.111		
15.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
15.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
15.114		

Table 16: Compounds of formula Iq



(Iq)

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.1	OCH_3	Cl	Et	Me	Me	0	
16.2	OCH_3	Cl	Et	Me	Me	1	
16.3	OCH_3	Cl	Et	Me	Me	2	
16.4	OCH_3	F	Et	Me	Me	0	
16.5	OCH_3	F	Et	Me	Me	1	
16.6	OCH_3	F	Et	Me	Me	2	
16.7	H	Cl	Et	Me	Me	0	oil
16.8	H	Cl	Et	Me	Me	1	oil
16.9	H	Cl	Et	Me	Me	2	oil
16.10	H	F	Et	Me	Me	0	
16.11	H	F	Et	Me	Me	1	
16.12	H	F	Et	Me	Me	2	
16.13	O-propargyl	Cl	Et	Me	Me	0	
16.14	O-propargyl	Cl	Et	Me	Me	1	
16.15	O-propargyl	Cl	Et	Me	Me	2	
16.16	O-propargyl	F	Et	Me	Me	0	
16.17	O-propargyl	F	Et	Me	Me	1	
16.18	O-propargyl	F	Et	Me	Me	2	
16.19	$COOC_3H_7$(iso)	Cl	Et	Me	Me	0	
16.20	$COOC_3H_7$(iso)	Cl	Et	Me	Me	1	
16.21	$COOC_3H_7$(iso)	Cl	Et	Me	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.22	$COOC_3H_7$(iso)	F	Et	Me	Me	0	
16.23	$COOC_3H_7$(iso)	F	Et	Me	Me	1	
16.24	$COOC_3H_7$(iso)	F	Et	Me	Me	2	
16.25	$COOC_3H_7$(iso)	H	Et	Me	Me	0	49-51
16.26	$COOC_3H_7$(iso)	H	Et	Me	Me	1	110-112
16.27	$COOC_3H_7$(iso)	H	Et	Me	Me	2	102-103
16.28	$COOC(CH_3)_2COOCH_3$	Cl	Et	Me	Me	0	
16.29	$COOC(CH_3)_2COOCH_3$	Cl	Et	Me	Me	1	
16.30	$COOC(CH_3)_2COOCH_3$	Cl	Et	Me	Me	2	
16.31	$COOC(CH_3)_2COOCH_3$	F	Et	Me	Me	0	
16.32	$COOC(CH_3)_2COOCH_3$	F	Et	Me	Me	1	
16.33	$COOC(CH_3)_2COOCH_3$	F	Et	Me	Me	2	
16.34	$COOC(CH_3)_2COOCH_3$	H	Et	Me	Me	0	
16.35	$COOC(CH_3)_2COOCH_3$	H	Et	Me	Me	1	
16.36	$COOC(CH_3)_2COOCH_3$	H	Et	Me	Me	2	
16.37	SCH_2COOCH_3	Cl	Et	Me	Me	0	
16.38	SCH_2COOCH_3	Cl	Et	Me	Me	1	
16.39	SCH_2COOCH_3	Cl	Et	Me	Me	2	
16.40	SCH_2COOCH_3	F	Et	Me	Me	0	
16.41	SCH_2COOCH_3	F	Et	Me	Me	1	
16.42	SCH_2COOCH_3	F	Et	Me	Me	2	
16.43	SCH_2COOCH_3	H	Et	Me	Me	0	
16.44	SCH_2COOCH_3	H	Et	Me	Me	1	
16.45	SCH_2COOCH_3	H	Et	Me	Me	2	
16.46	H	Cl	Me	Me	Et	0	48-50
16.47	H	Cl	Me	Me	Et	1	113-114
16.48	H	Cl	Me	Me	Et	2	101-103

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.49	H	F	Me	Me	Et	0	oil
16.50	H	F	Me	Me	Et	1	87-89
16.51	H	F	Me	Me	Et	2	87-89
16.52	OCH_3	Cl	Me	Me	Et	0	
16.53	OCH_3	Cl	Me	Me	Et	1	
16.54	OCH_3	Cl	Me	Me	Et	2	
16.55	OCH_3	F	Me	Me	Et	0	
16.56	OCH_3	F	Me	Me	Et	1	
16.57	OCH_3	F	Me	Me	Et	2	
16.58	O-propargyl	Cl	Me	Me	Et	0	
16.59	O-propargyl	Cl	Me	Me	Et	1	
16.60	O-propargyl	Cl	Me	Me	Et	2	
16.61	O-propargyl	F	Me	Me	Et	0	64-67
16.62	O-propargyl	F	Me	Me	Et	1	
16.63	O-propargyl	F	Me	Me	Et	2	103-104
16.64	$COOC_3H_7$(iso)	Cl	Me	Me	Et	0	57-60
16.65	$COOC_3H_7$(iso)	Cl	Me	Me	Et	1	138-140
16.66	$COOC_3H_7$(iso)	Cl	Me	Me	Et	2	70-72
16.67	$COOC_3H_7$(iso)	F	Me	Me	Et	0	
16.68	$COOC_3H_7$(iso)	F	Me	Me	Et	1	
16.69	$COOC_3H_7$(iso)	F	Me	Me	Et	2	
16.70	$COOC_3H_7$(iso)	H	Me	Me	Et	0	
16.71	$COOC_3H_7$(iso)	H	Me	Me	Et	1	
16.72	$COOC_3H_7$(iso)	H	Me	Me	Et	2	
16.73	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	Et	0	
16.74	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	Et	1	
16.75	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	Et	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.76	$COOC(CH_3)_2COOCH_3$	F	Me	Me	Et	0	
16.77	$COOC(CH_3)_2COOCH_3$	F	Me	Me	Et	1	
16.78	$COOC(CH_3)_2COOCH_3$	F	Me	Me	Et	2	
16.79	$COOC(CH_3)_2COOCH_3$	H	Me	Me	Et	0	
16.80	$COOC(CH_3)_2COOCH_3$	H	Me	Me	Et	1	
16.81	$COOC(CH_3)_2COOCH_3$	H	Me	Me	Et	2	
16.82	SCH_2COOCH_3	Cl	Me	Me	Et	0	
16.83	SCH_2COOCH_3	Cl	Me	Me	Et	1	
16.84	SCH_2COOCH_3	Cl	Me	Me	Et	2	
16.85	SCH_2COOCH_3	F	Me	Me	Et	0	
16.86	SCH_2COOCH_3	F	Me	Me	Et	1	
16.87	SCH_2COOCH_3	F	Me	Me	Et	2	
16.88	SCH_2COOCH_3	H	Me	Me	Et	0	
16.89	SCH_2COOCH_3	H	Me	Me	Et	1	
16.90	SCH_2COOCH_3	H	Me	Me	Et	2	
16.91	H	Cl	Me	Me	tert-butyl	0	oil
16.92	H	Cl	Me	Me	tert-butyl	1	93-94
16.93	H	Cl	Me	Me	tert-butyl	2	93-94
16.94	H	Cl	Me	Me	CHF_2	0	solid
16.95	H	Cl	Me	Me	CHF_2	1	78-79
16.96	H	Cl	Me	Me	CHF_2	2	113-115
16.97	H	F	Me	Me	CHF_2	0	
16.98	H	F	Me	Me	CHF_2	1	
16.99	H	F	Me	Me	CHF_2	2	
16.100	OCH_3	Cl	Me	Me	CHF_2	0	
16.101	OCH_3	Cl	Me	Me	CHF_2	1	
16.102	OCH_3	Cl	Me	Me	CHF_2	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.103	OCH_3	F	Me	Me	CHF_2	0	
16.104	OCH_3	F	Me	Me	CHF_2	1	
16.105	OCH_3	F	Me	Me	CHF_2	2	
16.106	O-propargyl	Cl	Me	Me	CHF_2	0	
16.107	O-propargyl	Cl	Me	Me	CHF_2	1	
16.108	O-propargyl	Cl	Me	Me	CHF_2	2	
16.109	O-propargyl	F	Me	Me	CHF_2	0	
16.110	O-propargyl	F	Me	Me	CHF_2	1	
16.111	O-propargyl	F	Me	Me	CHF_2	2	
16.112	$COOC_3H_7$(iso)	Cl	Me	Me	CHF_2	0	
16.113	$COOC_3H_7$(iso)	Cl	Me	Me	CHF_2	1	
16.114	$COOC_3H_7$(iso)	Cl	Me	Me	CHF_2	2	
16.115	$COOC_3H_7$(iso)	F	Me	Me	CHF_2	0	
16.116	$COOC_3H_7$(iso)	F	Me	Me	CHF_2	1	
16.117	$COOC_3H_7$(iso)	F	Me	Me	CHF_2	2	
16.118	$COOC_3H_7$(iso)	H	Me	Me	CHF_2	0	
16.119	$COOC_3H_7$(iso)	H	Me	Me	CHF_2	1	
16.120	$COOC_3H_7$(iso)	H	Me	Me	CHF_2	2	
16.121	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	CHF_2	0	
16.122	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	CHF_2	1	
16.123	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	CHF_2	2	
16.124	$COOC(CH_3)_2COOCH_3$	F	Me	Me	CHF_2	0	
16.125	$COOC(CH_3)_2COOCH_3$	F	Me	Me	CHF_2	1	
16.126	$COOC(CH_3)_2COOCH_3$	F	Me	Me	CHF_2	2	
16.127	$COOC(CH_3)_2COOCH_3$	H	Me	Me	CHF_2	0	
16.128	$COOC(CH_3)_2COOCH_3$	H	Me	Me	CHF_2	1	
16.129	$COOC(CH_3)_2COOCH_3$	H	Me	Me	CHF_2	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.130	SCH_2COOCH_3	Cl	Me	Me	CHF_2	0	
16.131	SCH_2COOCH_3	Cl	Me	Me	CHF_2	1	
16.132	SCH_2COOCH_3	Cl	Me	Me	CHF_2	2	
16.133	SCH_2COOCH_3	F	Me	Me	CHF_2	0	
16.134	SCH_2COOCH_3	F	Me	Me	CHF_2	1	
16.135	SCH_2COOCH_3	F	Me	Me	CHF_2	2	
16.136	SCH_2COOCH_3	H	Me	Me	CHF_2	0	
16.137	SCH_2COOCH_3	H	Me	Me	CHF_2	1	
16.138	SCH_2COOCH_3	H	Me	Me	CHF_2	2	
16.139	OCH_3	Cl	Me	Et	Me	0	
16.140	OCH_3	Cl	Me	Et	Me	1	
16.141	OCH_3	Cl	Me	Et	Me	2	
16.142	OCH_3	F	Me	Et	Me	0	
16.143	OCH_3	F	Me	Et	Me	1	
16.144	OCH_3	F	Me	Et	Me	2	
16.145	H	Cl	Me	Et	Me	0	oil
16.146	H	Cl	Me	Et	Me	1	oil
16.147	H	Cl	Me	Et	Me	2	72-76
16.148	H	F	Me	Et	Me	0	
16.149	H	F	Me	Et	Me	1	
16.150	H	F	Me	Et	Me	2	
16.151	O-propargyl	Cl	Me	Et	Me	0	
16.152	O-propargyl	Cl	Me	Et	Me	1	
16.153	O-propargyl	Cl	Me	Et	Me	2	
16.154	O-propargyl	F	Me	Et	Me	0	
16.155	O-propargyl	F	Me	Et	Me	1	
16.156	O-propargyl	F	Me	Et	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.157	$COOC_3H_7$(iso)	Cl	Me	Et	Me	0	
16.158	$COOC_3H_7$(iso)	Cl	Me	Et	Me	1	
16.159	$COOC_3H_7$(iso)	Cl	Me	Et	Me	2	
16.160	$COOC_3H_7$(iso)	F	Me	Et	Me	0	
16.161	$COOC_3H_7$(iso)	F	Me	Et	Me	1	
16.162	$COOC_3H_7$(iso)	F	Me	Et	Me	2	
16.163	$COOC_3H_7$(iso)	H	Me	Et	Me	0	
16.164	$COOC_3H_7$(iso)	H	Me	Et	Me	1	
16.165	$COOC_3H_7$(iso)	H	Me	Et	Me	2	
16.166	$COOC(CH_3)_2COOCH_3$	Cl	Me	Et	Me	0	
16.167	$COOC(CH_3)_2COOCH_3$	Cl	Me	Et	Me	1	
16.168	$COOC(CH_3)_2COOCH_3$	Cl	Me	Et	Me	2	
16.169	$COOC(CH_3)_2COOCH_3$	F	Me	Et	Me	0	
16.170	$COOC(CH_3)_2COOCH_3$	F	Me	Et	Me	1	
16.171	$COOC(CH_3)_2COOCH_3$	F	Me	Et	Me	2	
16.172	$COOC(CH_3)_2COOCH_3$	H	Me	Et	Me	0	
16.173	$COOC(CH_3)_2COOCH_3$	H	Me	Et	Me	1	
16.174	$COOC(CH_3)_2COOCH_3$	H	Me	Et	Me	2	
16.175	$CH_2CHClCOOH$	Cl	Me	Et	Me	0	
16.176	$CH_2CHClCOOH$	Cl	Me	Et	Me	1	
16.177	$CH_2CHClCOOH$	Cl	Me	Et	Me	2	
16.178	$CH_2CHClCOOH$	F	Me	Et	Me	0	
16.179	$CH_2CHClCOOH$	F	Me	Et	Me	1	
16.180	$CH_2CHClCOOH$	F	Me	Et	Me	2	
16.181	$CH_2CHClCOOH$	H	Me	Et	Me	0	
16.182	$CH_2CHClCOOH$	H	Me	Et	Me	1	
16.183	$CH_2CHClCOOH$	H	Me	Et	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.184	$CH_2CHClCOOEt$	Cl	Me	Et	Me	0	
16.185	$CH_2CHClCOOEt$	Cl	Me	Et	Me	1	
16.186	$CH_2CHClCOOEt$	Cl	Me	Et	Me	2	
16.187	$CH_2CHClCOOEt$	F	Me	Et	Me	0	
16.188	$CH_2CHClCOOEt$	F	Me	Et	Me	1	
16.189	$CH_2CHClCOOEt$	F	Me	Et	Me	2	
16.190	$CH_2CHClCOOEt$	H	Me	Et	Me	0	
16.191	$CH_2CHClCOOEt$	H	Me	Et	Me	1	
16.192	$CH_2CHClCOOEt$	H	Me	Et	Me	2	
16.193	SCH_2COOCH_3	Cl	Me	Et	Me	0	
16.194	SCH_2COOCH_3	Cl	Me	Et	Me	1	
16.195	SCH_2COOCH_3	Cl	Me	Et	Me	2	
16.196	SCH_2COOCH_3	F	Me	Et	Me	0	
16.197	SCH_2COOCH_3	F	Me	Et	Me	1	
16.198	SCH_2COOCH_3	F	Me	Et	Me	2	
16.199	SCH_2COOCH_3	H	Me	Et	Me	0	
16.200	SCH_2COOCH_3	H	Me	Et	Me	1	
16.201	SCH_2COOCH_3	H	Me	Et	Me	2	
16.202	$CH_2CHClCOOH$	Cl	Me	Me	Et	0	
16.203	$CH_2CHClCOOH$	Cl	Me	Me	Et	1	
16.204	$CH_2CHClCOOH$	Cl	Me	Me	Et	2	
16.205	$CH_2CHClCOOH$	F	Me	Me	Et	0	
16.206	$CH_2CHClCOOH$	F	Me	Me	Et	1	
16.207	$CH_2CHClCOOH$	F	Me	Me	Et	2	
16.208	$CH_2CHClCOOH$	H	Me	Me	Et	0	
16.209	$CH_2CHClCOOH$	H	Me	Me	Et	1	
16.210	$CH_2CHClCOOH$	H	Me	Me	Et	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.211	$CH_2CHClCOOEt$	Cl	Me	Me	Et	0	
16.212	$CH_2CHClCOOEt$	Cl	Me	Me	Et	1	
16.213	$CH_2CHClCOOEt$	Cl	Me	Me	Et	2	
16.214	$CH_2CHClCOOEt$	F	Me	Me	Et	0	
16.215	$CH_2CHClCOOEt$	F	Me	Me	Et	1	
16.216	$CH_2CHClCOOEt$	F	Me	Me	Et	2	
16.217	$CH_2CHClCOOEt$	H	Me	Me	Et	0	
16.218	$CH_2CHClCOOEt$	H	Me	Me	Et	1	
16.219	$CH_2CHClCOOEt$	H	Me	Me	Et	2	
16.220	$CH_2CHClCOOCH_3$	Cl	Me	Me	Et	0	
16.221	$CH_2CHClCOOCH_3$	Cl	Me	Me	Et	1	
16.222	$CH_2CHClCOOCH_3$	Cl	Me	Me	Et	2	
16.223	$CH_2CHClCOOCH_3$	F	Me	Me	Et	0	
16.224	$CH_2CHClCOOCH_3$	F	Me	Me	Et	1	
16.225	$CH_2CHClCOOCH_3$	F	Me	Me	Et	2	
16.226	$CH_2CHClCOOCH_3$	H	Me	Me	Et	0	
16.227	$CH_2CHClCOOCH_3$	H	Me	Me	Et	1	
16.228	$CH_2CHClCOOCH_3$	H	Me	Me	Et	2	
16.229	OCH_2COOEt	F	Me	Me	ET	0	
16.230	OCH_2COOEt	F	Me	Me	Et	1	
16.231	OCH_2COOEt	F	Me	Me	Et	2	
16.232	H	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.233	H	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.234	H	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.235	H	F	Me	Me	$CH_2CH_2CH_3$	0	
16.236	H	F	Me	Me	$CH_2CH_2CH_3$	1	
16.237	H	F	Me	Me	$CH_2CH_2CH_3$	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.238	OCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.239	OCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.240	OCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.241	OCH_3	F	Me	Me	$CH_2CH_2CH_3$	0	
16.242	OCH_3	F	Me	Me	$CH_2CH_2CH_3$	1	
16.243	OCH_3	F	Me	Me	$CH_2CH_2CH_3$	2	
16.244	O-propargyl	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.245	O-propargyl	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.246	O-propargyl	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.247	O-propargyl	F	Me	Me	$CH_2CH_2CH_3$	0	
16.248	O-propargyl	F	Me	Me	$CH_2CH_2CH_3$	1	
16.249	O-propargyl	F	Me	Me	$CH_2CH_2CH_3$	2	
16.250	$COOC_3H_7$(iso)	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.251	$COOC_3H_7$(iso)	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.252	$COOC_3H_7$(iso)	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.253	$COOC_3H_7$(iso)	F	Me	Me	$CH_2CH_2CH_3$	0	
16.254	$COOC_3H_7$(iso)	F	Me	Me	$CH_2CH_2CH_3$	1	
16.255	$COOC_3H_7$(iso)	F	Me	Me	$CH_2CH_2CH_3$	2	
16.256	$COOC_3H_7$(iso)	H	Me	Me	$CH_2CH_2CH_3$	0	
16.257	$COOC_3H_7$(iso)	H	Me	Me	$CH_2CH_2CH_3$	1	
16.258	$COOC_3H_7$(iso)	H	Me	Me	$CH_2CH_2CH_3$	2	
16.259	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.260	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.261	$COOC(CH_3)_2COOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.262	$COOC(CH_3)_2COOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	0	
16.263	$COOC(CH_3)_2COOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	1	
16.264	$COOC(CH_3)_2COOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.265	$COOC(CH_3)_2COOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	0	
16.266	$COOC(CH_3)_2COOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	1	
16.267	$COOC(CH_3)_2COOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	2	
16.268	SCH_2COOCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.269	SCH_2COOCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.270	SCH_2COOCH_3	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.271	SCH_2COOCH_3	F	Me	Me	$CH_2CH_2CH_3$	0	
16.272	SCH_2COOCH_3	F	Me	Me	$CH_2CH_2CH_3$	1	
16.273	SCH_2COOCH_3	F	Me	Me	$CH_2CH_2CH_3$	2	
16.274	SCH_2COOCH_3	H	Me	Me	$CH_2CH_2CH_3$	0	
16.275	SCH_2COOCH_3	H	Me	Me	$CH_2CH_2CH_3$	1	
16.276	SCH_2COOCH_3	H	Me	Me	$CH_2CH_2CH_3$	2	
16.277	$CH_2CHClCOOH$	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.278	$CH_2CHClCOOH$	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.279	$CH_2CHClCOOH$	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.280	$CH_2CHClCOOH$	F	Me	Me	$CH_2CH_2CH_3$	0	
16.281	$CH_2CHClCOOH$	F	Me	Me	$CH_2CH_2CH_3$	1	
16.282	$CH_2CHClCOOH$	F	Me	Me	$CH_2CH_2CH_3$	2	
16.283	$CH_2CHClCOOH$	H	Me	Me	$CH_2CH_2CH_3$	0	
16.284	$CH_2CHClCOOH$	H	Me	Me	$CH_2CH_2CH_3$	1	
16.285	$CH_2CHClCOOH$	H	Me	Me	$CH_2CH_2CH_3$	2	
16.286	$CH_2CHClCOOEt$	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.287	$CH_2CHClCOOEt$	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.288	$CH_2CHClCOOEt$	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.289	$CH_2CHClCOOEt$	F	Me	Me	$CH_2CH_2CH_3$	0	
16.290	$CH_2CHClCOOEt$	F	Me	Me	$CH_2CH_2CH_3$	1	
16.291	$CH_2CHClCOOEt$	F	Me	Me	$CH_2CH_2CH_3$	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.292	$CH_2CHClCOOEt$	H	Me	Me	$CH_2CH_2CH_3$	0	
16.293	$CH_2CHClCOOEt$	H	Me	Me	$CH_2CH_2CH_3$	1	
16.294	$CH_2CHClCOOEt$	H	Me	Me	$CH_2CH_2CH_3$	2	
16.295	$CH_2CHClCOOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	0	
16.296	$CH_2CHClCOOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	1	
16.297	$CH_2CHClCOOCH_3$	Cl	Me	Me	$CH_2CH_2CH_3$	2	
16.298	$CH_2CHClCOOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	0	
16.299	$CH_2CHClCOOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	1	
16.300	$CH_2CHClCOOCH_3$	F	Me	Me	$CH_2CH_2CH_3$	2	
16.301	$CH_2CHClCOOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	0	
16.302	$CH_2CHClCOOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	1	
16.303	$CH_2CHClCOOCH_3$	H	Me	Me	$CH_2CH_2CH_3$	2	
16.304	OCH_3	F	Me	Me	CH_2CF_3	0	oil
16.305	OCH_3	F	Me	Me	CH_2CF_3	1	
16.306	OCH_3	F	Me	Me	CH_2CF_3	2	
16.307	OCH_3	Cl	Me	CHF_2	Me	0	
16.308	OCH_3	Cl	Me	CHF_2	Me	1	
16.309	OCH_3	Cl	Me	CHF_2	Me	2	
16.310	OCH_3	F	Me	CHF_2	Me	0	
16.311	OCH_3	F	Me	CHF_2	Me	1	
16.312	OCH_3	F	Me	CHF_2	Me	2	
16.313	H	Cl	Me	CHF_2	Me	0	
16.314	H	Cl	Me	CHF_2	Me	1	
16.315	H	Cl	Me	CHF_2	Me	2	
16.316	H	F	Me	CHF_2	Me	0	
16.317	H	F	Me	CHF_2	Me	1	
16.318	H	F	Me	CHF_2	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.319	O-propargyl	Cl	Me	CHF_2	Me	0	
16.320	O-propargyl	Cl	Me	CHF_2	Me	1	
16.321	O-propargyl	Cl	Me	CHF_2	Me	2	
16.322	O-propargyl	F	Me	CHF_2	Me	0	
16.323	O-propargyl	F	Me	CHF_2	Me	1	
16.324	O-propargyl	F	Me	CHF_2	Me	2	
16.325	$COOC_3H_7$(iso)	Cl	Me	CHF_2	Me	0	
16.326	$COOC_3H_7$(iso)	Cl	Me	CHF_2	Me	1	
16.327	$COOC_3H_7$(iso)	Cl	Me	CHF_2	Me	2	
16.328	$COOC_3H_7$(iso)	F	Me	CHF_2	Me	0	
16.329	$COOC_3H_7$(iso)	F	Me	CHF_2	Me	1	
16.330	$COOC_3H_7$(iso)	F	Me	CHF_2	Me	2	
16.331	$COOC_3H_7$(iso)	H	Me	CHF_2	Me	0	
16.332	$COOC_3H_7$(iso)	H	Me	CHF_2	Me	1	
16.333	$COOC_3H_7$(iso)	H	Me	CHF_2	Me	2	
16.334	$COOC(CH_3)_2COOCH_3$	Cl	Me	CHF_2	Me	0	
16.335	$COOC(CH_3)_2COOCH_3$	Cl	Me	CHF_2	Me	1	
16.336	$COOC(CH_3)_2COOCH_3$	Cl	Me	CHF_2	Me	2	
16.337	$COOC(CH_3)_2COOCH_3$	F	Me	CHF_2	Me	0	
16.338	$COOC(CH_3)_2COOCH_3$	F	Me	CHF_2	Me	1	
16.339	$COOC(CH_3)_2COOCH_3$	F	Me	CHF_2	Me	2	
16.340	$COOC(CH_3)_2COOCH_3$	H	Me	CHF_2	Me	0	
16.341	$COOC(CH_3)_2COOCH_3$	H	Me	CHF_2	Me	1	
16.342	$COOC(CH_3)_2COOCH_3$	H	Me	CHF_2	Me	2	
16.343	$CH_2CHClCOOH$	Cl	Me	CHF_2	Me	0	
16.344	$CH_2CHClCOOH$	Cl	Me	CHF_2	Me	1	
16.345	$CH_2CHClCOOH$	Cl	Me	CHF_2	Me	2	

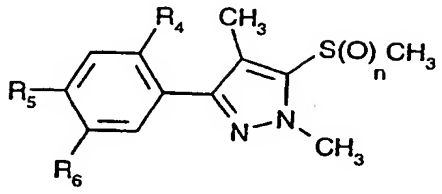
Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.346	$CH_2CHClCOOH$	F	Me	CHF_2	Me	0	
16.347	$CH_2CHClCOOH$	F	Me	CHF_2	Me	1	
16.348	$CH_2CHClCOOH$	F	Me	CHF_2	Me	2	
16.349	$CH_2CHClCOOH$	H	Me	CHF_2	Me	0	
16.350	$CH_2CHClCOOH$	H	Me	CHF_2	Me	1	
16.351	$CH_2CHClCOOH$	H	Me	CHF_2	Me	2	
16.352	$CH_2CHClCOOEt$	Cl	Me	CHF_2	Me	0	
16.353	$CH_2CHClCOOEt$	Cl	Me	CHF_2	Me	1	
16.354	$CH_2CHClCOOEt$	Cl	Me	CHF_2	Me	2	
16.355	$CH_2CHClCOOEt$	F	Me	CHF_2	Me	0	
16.356	$CH_2CHClCOOEt$	F	Me	CHF_2	Me	1	
16.357	$CH_2CHClCOOEt$	F	Me	CHF_2	Me	2	
16.358	$CH_2CHClCOOEt$	H	Me	CHF_2	Me	0	
16.359	$CH_2CHClCOOEt$	H	Me	CHF_2	Me	1	
16.360	$CH_2CHClCOOEt$	H	Me	CHF_2	Me	2	
16.361	SCH_2COOCH_3	Cl	Me	CHF_2	Me	0	
16.362	SCH_2COOCH_3	Cl	Me	CHF_2	Me	1	
16.363	SCH_2COOCH_3	Cl	Me	CHF_2	Me	2	
16.364	SCH_2COOCH_3	F	Me	CHF_2	Me	0	
16.365	SCH_2COOCH_3	F	Me	CHF_2	Me	1	
16.366	SCH_2COOCH_3	F	Me	CHF_2	Me	2	
16.367	SCH_2COOCH_3	H	Me	CHF_2	Me	0	
16.368	SCH_2COOCH_3	H	Me	CHF_2	Me	1	
16.369	SCH_2COOCH_3	H	Me	CHF_2	Me	2	
16.370	OCH_3	Cl	Me	CF_3	Me	0	
16.371	OCH_3	Cl	Me	CF_3	Me	1	
16.372	OCH_3	Cl	Me	CF_3	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.373	OCH_3	F	Me	CF_3	Me	0	
16.374	OCH_3	F	Me	CF_3	Me	1	
16.375	OCH_3	F	Me	CF_3	Me	2	
16.376	H	Cl	Me	CF_3	Me	0	
16.377	H	Cl	Me	CF_3	Me	1	
16.378	H	Cl	Me	CF_3	Me	2	
16.379	H	F	Me	CF_3	Me	0	
16.380	H	F	Me	CF_3	Me	1	
16.381	H	F	Me	CF_3	Me	2	
16.382	O-propargyl	Cl	Me	CF_3	Me	0	
16.383	O-propargyl	Cl	Me	CF_3	Me	1	
16.384	O-propargyl	Cl	Me	CF_3	Me	2	
16.385	O-propargyl	F	Me	CF_3	Me	0	
16.386	O-propargyl	F	Me	CF_3	Me	1	
16.387	O-propargyl	F	Me	CF_3	Me	2	
16.388	$COOC_3H_7$(iso)	Cl	Me	CF_3	Me	0	
16.389	$COOC_3H_7$(iso)	Cl	Me	CF_3	Me	1	
16.390	$COOC_3H_7$(iso)	Cl	Me	CF_3	Me	2	
16.391	$COOC_3H_7$(iso)	F	Me	CF_3	Me	0	
16.392	$COOC_3H_7$(iso)	F	Me	CF_3	Me	1	
16.393	$COOC_3H_7$(iso)	F	Me	CF_3	Me	2	
16.394	$COOC_3H_7$(iso)	H	Me	CF_3	Me	0	
16.395	$COOC_3H_7$(iso)	H	Me	CF_3	Me	1	
16.396	$COOC_3H_7$(iso)	H	Me	CF_3	Me	2	
16.397	$COOC(CH_3)_2COOCH_3$	Cl	Me	CF_3	Me	0	
16.398	$COOC(CH_3)_2COOCH_3$	Cl	Me	CF_3	Me	1	
16.399	$COOC(CH_3)_2COOCH_3$	Cl	Me	CF_3	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.400	$COOC(CH_3)_2COOCH_3$	F	Me	CF_3	Me	0	
16.401	$COOC(CH_3)_2COOCH_3$	F	Me	CF_3	Me	1	
16.402	$COOC(CH_3)_2COOCH_3$	F	Me	CF_3	Me	2	
16.403	$COOC(CH_3)_2COOCH_3$	H	Me	CF_3	Me	0	
16.404	$COOC(CH_3)_2COOCH_3$	H	Me	CF_3	Me	1	
16.405	$COOC(CH_3)_2COOCH_3$	H	Me	CF_3	Me	2	
16.406	$CH_2CHClCOOH$	Cl	Me	CF_3	Me	0	
16.407	$CH_2CHClCOOH$	Cl	Me	CF_3	Me	1	
16.408	$CH_2CHClCOOH$	Cl	Me	CF_3	Me	2	
16.409	$CH_2CHClCOOH$	F	Me	CF_3	Me	0	
16.410	$CH_2CHClCOOH$	F	Me	CF_3	Me	1	
16.411	$CH_2CHClCOOH$	F	Me	CF_3	Me	2	
16.412	$CH_2CHClCOOH$	H	Me	CF_3	Me	0	
16.413	$CH_2CHClCOOH$	H	Me	CF_3	Me	1	
16.414	$CH_2CHClCOOH$	H	Me	CF_3	Me	2	
16.415	$CH_2CHClCOOEt$	Cl	Me	CF_3	Me	0	
16.416	$CH_2CHClCOOEt$	Cl	Me	CF_3	Me	1	
16.417	$CH_2CHClCOOEt$	Cl	Me	CF_3	Me	2	
16.418	$CH_2CHClCOOEt$	F	Me	CF_3	Me	0	
16.419	$CH_2CHClCOOEt$	F	Me	CF_3	Me	1	
16.420	$CH_2CHClCOOEt$	F	Me	CF_3	Me	2	
16.421	$CH_2CHClCOOEt$	H	Me	CF_3	Me	0	
16.422	$CH_2CHClCOOEt$	H	Me	CF_3	Me	1	
16.423	$CH_2CHClCOOEt$	H	Me	CF_3	Me	2	
16.424	SCH_2COOCH_3	Cl	Me	CF_3	Me	0	
16.425	SCH_2COOCH_3	Cl	Me	CF_3	Me	1	
16.426	SCH_2COOCH_3	Cl	Me	CF_3	Me	2	

Comp. No.	R_6	R_4	R_1	R_2	R_3	n	M.p.
16.427	SCH_2COOCH_3	F	Me	CF_3	Me	0	
16.428	SCH_2COOCH_3	F	Me	CF_3	Me	1	
16.429	SCH_2COOCH_3	F	Me	CF_3	Me	2	
16.430	SCH_2COOCH_3	H	Me	CF_3	Me	0	
16.431	SCH_2COOCH_3	H	Me	CF_3	Me	1	
16.432	SCH_2COOCH_3	H	Me	CF_3	Me	2	
16.433	H	H	Et	Me	Me	0	35-38
16.434	NH_2	Cl	Me	Me	Et	0	82-83
16.435	I	H	Et	Me	Me	0	78-80

Table 17: Compounds of formula Ir

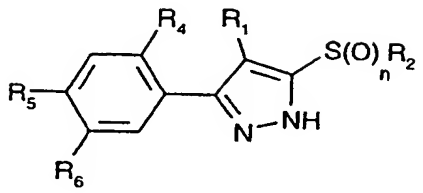


(Ir)

Comp. No.	R_6	R_4	R_5	n	M.p.
17.1	H	CH_3	CH_3	0	
17.2	H	CH_3	CH_3	1	
17.3	H	CH_3	CH_3	2	
17.4	H	F	CF_3	0	oil
17.5	H	F	CF_3	1	112-120
17.6	H	F	CF_3	2	121-123
17.7	H	Cl	F	0	oil
17.8	H	Cl	F	1	99-101
17.9	H	Cl	F	2	85-87
17.10	H	F	F	0	solid
17.11	H	F	F	1	solid
17.12	H	F	F	2	
17.13	$COOC(CH_3)_2COOH$	H	H	0	
17.14	$COOC(CH_3)_2COOH$	H	H	1	
17.15	$COOC(CH_3)_2COOH$	H	H	2	139-143
17.16	$COOC(CH_3)_2COOCH_3$	H	H	0	
17.17	$COOC(CH_3)_2COOCH_3$	H	H	1	
17.18	$COOC(CH_3)_2COOCH_3$	H	H	2	oil
17.19	H	Br	Cl	0	84-88
17.20	H	Br	Cl	1	91-93

Comp. No.	R_6	R_4	R_5	n	M.p.
17.21	H	Br	Cl	2	123-124

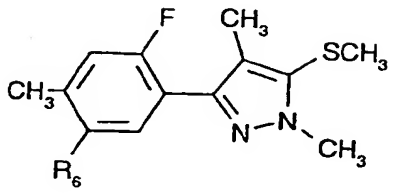
Table 18: Compounds of formula Is



(Is)

Comp. No.	R_6	R_5	R_4	R_1	R_2	M.p.
18.1	H	F	Cl	CH_3	SCH_3	oil
18.2	H	Cl	F	CH_3	SCH_3	88-90
18.3	OCH_3	Cl	F	CH_3	SCH_3	98-100
18.4	H	Cl	Cl	CH_3	SCH_3	oil
18.5	OCH_3	Cl	F	CH_3	$SOCH_3$	171-173
18.6	NO_2	Cl	F	CH_3	$SOCH_3$	solid
18.7	H	Cl	F	CH_3	$SOCH_3$	solid
18.8	H	CF_3	F	CH_3	SCH_3	solid

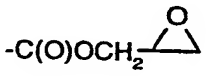
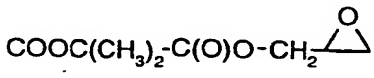
Table 19: Compounds of formula It

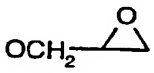


(It)

Comp.No.	R_6	M.p.
19.1	H	
19.2	CN	
19.3	OCH_3	
19.4	$NHSO_2CH_3$	
19.5	OC_3H_7(iso)	
19.6	O-propargyl	
19.7	$OCH(CH_3)C{\equiv}CH$	
19.8	$OCH_2COOCH_2CH_3$	
19.9	$OCH_2CH_2OCH_3$	
19.10	$OCH_2CH_2SCH_2CH_3$	
19.11	OCH_2COOCH_3	
19.12	$OCH_2COOC_5H_{11}$ (n)	
19.13	OCH_2COO-benzyl	
19.14	$OCH(CH_3)COO$benzyl	
19.15	SC_3H_7(iso)	
19.16	SCH_2COOCH_3	
19.17	$SCH_2COOC_2H_5$	
19.18	$SCH(CH_3)COO$benzyl	
19.19	SCH_2COObenzyl	
19.20	$COOCH_3$	
19.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
19.22	$COOC(CH_3)_2COOH$	
19.23	$COOC(CH_3)_2COO$-allyl	
19.24	$COOC(CH_3)_2COOCH_3$	
19.25	$COOC(CH_3)_2COO$ethyl	
19.26	$COOC(CH_3)_2CONH$-allyl	
19.27	$CH_2CHClCOO$ethyl	
19.28	$CH_2CH{=}CH_2$	
19.29	$CH_2CH_2CH_3$	
19.30	$CH_2CH_2CF_3$	
19.31	$OCH(CH_3)COOC_2H_5$(R)	
19.32	$OCH(CH_3)COOC_2H_5$(S)	
19.33	$OCH(CH_3)COOC_2H_5$(R,S)	
19.34	$CH_2CHClCOOH$	
19.35	$CH_2CHClCOOCH_3$	
19.36	$CH_2CHClCOOC_3H_7$(iso)	
19.37	$CH_2CHClCONH$allyl	
19.38	$CH_2C(CH_3)ClCOOH$	
19.39	$CH_2C(CH_3)ClCOOCH_3$	
19.40	$CH_2C(CH_3)ClCOOEt$	
19.41	$CH_2C(CH_3)ClCONHEt$	
19.42	CH_2CH_2COOH	
19.43	$CH_2CH_2COOCH_3$	
19.44	CH_2CH_2COOEt	
19.45	$CHClCHClCOOH$	
19.46	$CHClCHClCOOCH_3$	
19.47	$CHClCHClCOOEt$	
19.48	$CH_2CH(OCH_3)COOH$	
19.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
19.50	$CH_2CH(OCH_3)COOEt$	
19.51	$CH_2CH(SCH_3)COOH$	
19.52	$CH_2CH(SCH_3)COOCH_3$	
19.53	$CH_2CH(SCH_3)COOEt$	
19.54	CH=CHCOOH	
19.55	$CH=CHCOOCH_3$	
19.56	CH=CHCOOEt	
19.57	CH=CClCOOH	
19.58	$CH=CClCOOCH_3$	
19.59	COOEt	
19.60	$CONH_2$	
19.61		
19.62	$CONHSO_2CH_3$	
19.63	$COOCH_2COOH$	
19.64	$COOCH_2COOCH_3$	
19.65	$COOCH(CH_3)COOH$	
19.66	$COOCH(CH_3)COOCH_3$	
19.67	$COOCH(CH_3)CH_2COOH$	
19.68	$COOCH(CH_3)CH_2COOCH_3$	
19.69	$COOC(CH_3)_2CN$	
19.70	$COOCH_2CH_2OCH_3$	
19.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
19.72		
19.73	$COOC(CH_3)_2COOCH_2$PHENYL	
19.74	$COOCH_2C\equiv CH$	
19.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
19.76	$COOCH(CH_3)C{\equiv}CH$	
19.77	$COOC(CH_3)_2COCH_3$	
19.78	NHallyl	
19.79	$N(COCH_3)$allyl	
19.80	$N(Et)SO_2CH_3$	
19.81	$N(allyl)SO_2CH_3$	
19.82	$N(allyl)SO_2Et$	
19.83	$SO_2N(CH_3)_2$	
19.84	SO_2NH_2	
19.85	$SO_2NHCOCH_3$	
19.86	OH	
19.87	OEt	
19.88	Oallyl	
19.89	$OCH_2C{\equiv}CCH_3$	
19.90	$OCH(CH_3)CH{=}CH_2$	
19.91	$OCH_2CH_2OCH_2CH_3$	
19.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
19.93		
19.94	$OCH_2CH_2NHCH_3$	
19.95	$OCH_2CH_2N(CH_3)COCH_3$	
19.96	OCH_2CH_2COOH	
19.97	$OC(CH_3)_2COOH$	
19.98	$OC(CH_3)_2COOCH_3$	
19.99	$OC(CH_3)_2COOEt$	
19.100	OCH_2COOH	
19.101	OSO_2CH_3	
19.102	OSO_2CF_3	

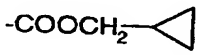
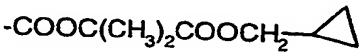
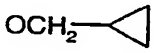
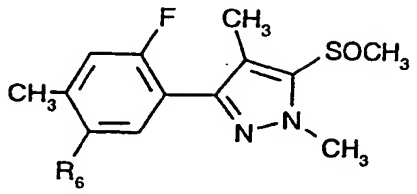
Comp.No.	R_6	M.p.
19.103	$CH_2CHClCOOC_2H_5$	
19.104	$CH_2CHClCON(C_2H_5)_2$	
19.105	$CH_2CHClCONHOH$	
19.106	$CH_2CHClCOOCH_2C_6H_5$	
19.107	$CH_2CH(CH_3)COOH$	
19.108	$CH_2CH(CH_3)COOC_2H_5$	
19.109		
19.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
19.111		
19.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
19.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
19.114		

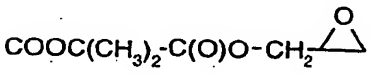
Table 20: Compounds of formula Iu

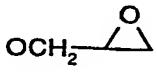


(Iu)

Comp.No.	R_6	M.p.
20.1	H	
20.2	CN	
20.3	OCH_3	
20.4	$NHSO_2CH_3$	
20.5	OC_3H_7(iso)	
20.6	O-propargyl	
20.7	$OCH(CH_3)C{\equiv}CH$	
20.8	$OCH_2COOCH_2CH_3$	
20.9	$OCH_2CH_2OCH_3$	
20.10	$OCH_2CH_2SCH_2CH_3$	
20.11	OCH_2COOCH_3	
20.12	$OCH_2COOC_5H_{11}$ (n)	
20.13	OCH_2COO-benzyl	
20.14	$OCH(CH_3)COO$benzyl	
20.15	SC_3H_7(iso)	
20.16	SCH_2COOCH_3	
20.17	$SCH_2COOC_2H_5$	
20.18	$SCH(CH_3)COO$benzyl	
20.19	SCH_2COObenzyl	
20.20	$COOCH_3$	

Comp.No.	R_6	M.p.
20.21	$COOC_3H_7$(iso)	
20.22	$COOC(CH_3)_2COOH$	
20.23	$COOC(CH_3)_2COO$-allyl	
20.24	$COOC(CH_3)_2COOCH_3$	
20.25	$COOC(CH_3)_2COO$ethyl	
20.26	$COOC(CH_3)_2CONH$-allyl	
20.27	$CH_2CHClCOO$ethyl	
20.28	$CH_2CH=CH_2$	
20.29	$CH_2CH_2CH_3$	
20.30	$CH_2CH_2CF_3$	
20.31	$OCH(CH_3)COOC_2H_5$(R)	
20.32	$OCH(CH_3)COOC_2H_5$(S)	
20.33	$OCH(CH_3)COOC_2H_5$(R,S)	
20.34	$CH_2CHClCOOH$	
20.35	$CH_2CHClCOOCH_3$	
20.36	$CH_2CHClCOOC_3H_7$(iso)	
20.37	$CH_2CHClCONH$allyl	
20.38	$CH_2C(CH_3)ClCOOH$	
20.39	$CH_2C(CH_3)ClCOOCH_3$	
20.40	$CH_2C(CH_3)ClCOOEt$	
20.41	$CH_2C(CH_3)ClCONHEt$	
20.42	CH_2CH_2COOH	
20.43	$CH_2CH_2COOCH_3$	
20.44	CH_2CH_2COOEt	
20.45	$CHClCHClCOOH$	
20.46	$CHClCHClCOOCH_3$	
20.47	$CHClCHClCOOEt$	
20.48	$CH_2CH(OCH_3)COOH$	

Comp.No.	R_6	M.p.
20.49	$CH_2CH(OCH_3)COOCH_3$	
20.50	$CH_2CH(OCH_3)COOEt$	
20.51	$CH_2CH(SCH_3)COOH$	
20.52	$CH_2CH(SCH_3)COOCH_3$	
20.53	$CH_2CH(SCH_3)COOEt$	
20.54	$CH=CHCOOH$	
20.55	$CH=CHCOOCH_3$	
20.56	$CH=CHCOOEt$	
20.57	$CH=CClCOOH$	
20.58	$CH=CClCOOCH_3$	
20.59	$COOEt$	
20.60	$CONH_2$	
20.61		
20.62	$CONHSO_2CH_3$	
20.63	$COOCH_2COOH$	
20.64	$COOCH_2COOCH_3$	
20.65	$COOCH(CH_3)COOH$	
20.66	$COOCH(CH_3)COOCH_3$	
20.67	$COOCH(CH_3)CH_2COOH$	
20.68	$COOCH(CH_3)CH_2COOCH_3$	
20.69	$COOC(CH_3)_2CN$	
20.70	$COOCH_2CH_2OCH_3$	
20.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
20.72		
20.73	$COOC(CH_3)_2COOCH_2PHENYL$	
20.74	$COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
20.75	$COOC(CH_3)_2COOCH_2C{\equiv}CH$	
20.76	$COOCH(CH_3)C{\equiv}CH$	
20.77	$COOC(CH_3)_2COCH_3$	
20.78	NHallyl	
20.79	$N(COCH_3)$allyl	
20.80	$N(Et)SO_2CH_3$	
20.81	$N(allyl)SO_2CH_3$	
20.82	$N(allyl)SO_2Et$	
20.83	$SO_2N(CH_3)_2$	
20.84	SO_2NH_2	
20.85	$SO_2NHCOCH_3$	
20.86	OH	
20.87	OEt	
20.88	Oallyl	
20.89	$OCH_2C{\equiv}CCH_3$	
20.90	$OCH(CH_3)CH{=}CH_2$	
20.91	$OCH_2CH_2OCH_2CH_3$	
20.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
20.93		
20.94	$OCH_2CH_2NHCH_3$	
20.95	$OCH_2CH_2N(CH_3)COCH_3$	
20.96	OCH_2CH_2COOH	
20.97	$OC(CH_3)_2COOH$	
20.98	$OC(CH_3)_2COOCH_3$	
20.99	$OC(CH_3)_2COOEt$	
20.100	OCH_2COOH	
20.101	OSO_2CH_3	

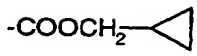
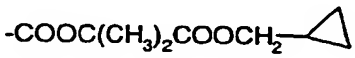
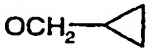
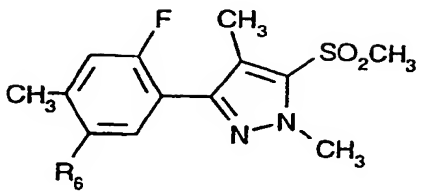
Comp.No.	R_6	M.p.
20.102	OSO_2CF_3	
20.103	$CH_2CHClCOOC_2H_5$	
20.104	$CH_2CHClCON(C_2H_5)_2$	
20.105	$CH_2CHClCONHOH$	
20.106	$CH_2CHClCOOCH_2C_6H_5$	
20.107	$CH_2CH(CH_3)COOH$	
20.108	$CH_2CH(CH_3)COOC_2H_5$	
20.109		
20.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
20.111		
20.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
20.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
20.114		

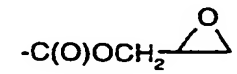
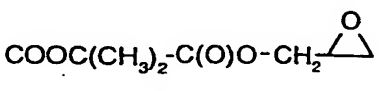
Table 21: Compounds of formula Iv

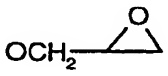


(Iv)

Comp.No.	R_6	M.p.
21.1	H	
21.2	CN	
21.3	OCH_3	
21.4	$NHSO_2CH_3$	
21.5	OC_3H_7(iso)	
21.6	O-propargyl	
21.7	$OCH(CH_3)C{\equiv}CH$	
21.8	$OCH_2COOCH_2CH_3$	
21.9	$OCH_2CH_2OCH_3$	
21.10	$OCH_2CH_2SCH_2CH_3$	
21.11	OCH_2COOCH_3	
21.12	$OCH_2COOC_5H_{11}$ (n)	
21.13	OCH_2COO-benzyl	
21.14	$OCH(CH_3)COO$benzyl	
21.15	SC_3H_7(iso)	
21.16	SCH_2COOCH_3	
21.17	$SCH_2COOC_2H_5$	
21.18	$SCH(CH_3)COO$benzyl	
21.19	SCH_2COObenzyl	
21.20	$COOCH_3$	
21.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
21.22	$COOC(CH_3)_2COOH$	
21.23	$COOC(CH_3)_2COO$-allyl	
21.24	$COOC(CH_3)_2COOCH_3$	
21.25	$COOC(CH_3)_2COO$ethyl	
21.26	$COOC(CH_3)_2CONH$-allyl	
21.27	$CH_2CHClCOO$ethyl	
21.28	$CH_2CH=CH_2$	
21.29	$CH_2CH_2CH_3$	
21.30	$CH_2CH_2CF_3$	
21.31	$OCH(CH_3)COOC_2H_5$(R)	
21.32	$OCH(CH_3)COOC_2H_5$(S)	
21.33	$OCH(CH_3)COOC_2H_5$(R,S)	
21.34	$CH_2CHClCOOH$	
21.35	$CH_2CHClCOOCH_3$	
21.36	$CH_2CHClCOOC_3H_7$(iso)	
21.37	$CH_2CHClCONH$allyl	
21.38	$CH_2C(CH_3)ClCOOH$	
21.39	$CH_2C(CH_3)ClCOOCH_3$	
21.40	$CH_2C(CH_3)ClCOOEt$	
21.41	$CH_2C(CH_3)ClCONHEt$	
21.42	CH_2CH_2COOH	
21.43	$CH_2CH_2COOCH_3$	
21.44	CH_2CH_2COOEt	
21.45	$CHClCHClCOOH$	
21.46	$CHClCHClCOOCH_3$	
21.47	$CHClCHClCOOEt$	
21.48	$CH_2CH(OCH_3)COOH$	
21.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
21.50	$CH_2CH(OCH_3)COOEt$	
21.51	$CH_2CH(SCH_3)COOH$	
21.52	$CH_2CH(SCH_3)COOCH_3$	
21.53	$CH_2CH(SCH_3)COOEt$	
21.54	CH=CHCOOH	
21.55	$CH=CHCOOCH_3$	
21.56	CH=CHCOOEt	
21.57	CH=CClCOOH	
21.58	$CH=CClCOOCH_3$	
21.59	COOEt	
21.60	$CONH_2$	
21.61		
21.62	$CONHSO_2CH_3$	
21.63	$COOCH_2COOH$	
21.64	$COOCH_2COOCH_3$	
21.65	$COOCH(CH_3)COOH$	
21.66	$COOCH(CH_3)COOCH_3$	
21.67	$COOCH(CH_3)CH_2COOH$	
21.68	$COOCH(CH_3)CH_2COOCH_3$	
21.69	$COOC(CH_3)_2CN$	
21.70	$COOCH_2CH_2OCH_3$	
21.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
21.72		
21.73	$COOC(CH_3)_2COOCH_2$PHENYL	
21.74	$COOCH_2C\equiv CH$	
21.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
21.76	$COOCH(CH_3)C\equiv CH$	
21.77	$COOC(CH_3)_2COCH_3$	
21.78	NHallyl	
21.79	$N(COCH_3)$allyl	
21.80	$N(Et)SO_2CH_3$	
21.81	$N(allyl)SO_2CH_3$	
21.82	$N(allyl)SO_2Et$	
21.83	$SO_2N(CH_3)_2$	
21.84	SO_2NH_2	
21.85	$SO_2NHCOCH_3$	
21.86	OH	
21.87	OEt	
21.88	Oallyl	
21.89	$OCH_2C\equiv CCH_3$	
21.90	$OCH(CH_3)CH=CH_2$	
21.91	$OCH_2CH_2OCH_2CH_3$	
21.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
21.93		
21.94	$OCH_2CH_2NHCH_3$	
21.95	$OCH_2CH_2N(CH_3)COCH_3$	
21.96	OCH_2CH_2COOH	
21.97	$OC(CH_3)_2COOH$	
21.98	$OC(CH_3)_2COOCH_3$	
21.99	$OC(CH_3)_2COOEt$	
21.100	OCH_2COOH	
21.101	OSO_2CH_3	
21.102	OSO_2CF_3	

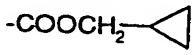
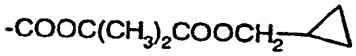
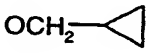
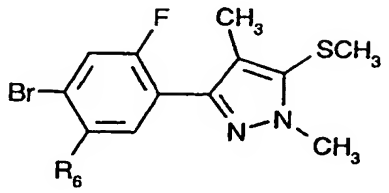
Comp.No.	R_6	M.p.
21.103	$CH_2CHClCOOC_2H_5$	
21.104	$CH_2CHClCON(C_2H_5)_2$	
21.105	$CH_2CHClCONHOH$	
21.106	$CH_2CHClCOOCH_2C_6H_5$	
21.107	$CH_2CH(CH_3)COOH$	
21.108	$CH_2CH(CH_3)COOC_2H_5$	
21.109		
21.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
21.111		
21.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
21.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
21.114		

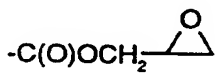
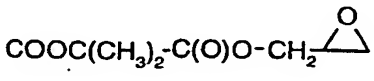
Table 22: Compounds of formula Iw

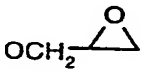


(Iw)

Comp.No.	R_6	M.p.
22.1	H	
22.2	CN	
22.3	OCH_3	
22.4	$NHSO_2CH_3$	
22.5	OC_3H_7(iso)	
22.6	O-propargyl	
22.7	$OCH(CH_3)C{\equiv}CH$	
22.8	$OCH_2COOCH_2CH_3$	
22.9	$OCH_2CH_2OCH_3$	
22.10	$OCH_2CH_2SCH_2CH_3$	
22.11	OCH_2COOCH_3	
22.12	$OCH_2COOC_5H_{11}$ (n)	
22.13	OCH_2COO-benzyl	
22.14	$OCH(CH_3)COO$benzyl	
22.15	SC_3H_7(iso)	
22.16	SCH_2COOCH_3	
22.17	$SCH_2COOC_2H_5$	
22.18	$SCH(CH_3)COO$benzyl	
22.19	SCH_2COObenzyl	
22.20	$COOCH_3$	
22.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
22.22	$COOC(CH_3)_2COOH$	
22.23	$COOC(CH_3)_2COO$-allyl	
22.24	$COOC(CH_3)_2COOCH_3$	
22.25	$COOC(CH_3)_2COO$ethyl	
22.26	$COOC(CH_3)_2CONH$-allyl	
22.27	$CH_2CHClCOO$ethyl	
22.28	$CH_2CH=CH_2$	
22.29	$CH_2CH_2CH_3$	
22.30	$CH_2CH_2CF_3$	
22.31	$OCH(CH_3)COOC_2H_5$(R)	
22.32	$OCH(CH_3)COOC_2H_5$(S)	
22.33	$OCH(CH_3)COOC_2H_5$(R,S)	
22.34	$CH_2CHClCOOH$	
22.35	$CH_2CHClCOOCH_3$	
22.36	$CH_2CHClCOOC_3H_7$(iso)	
22.37	$CH_2CHClCONH$allyl	
22.38	$CH_2C(CH_3)ClCOOH$	
22.39	$CH_2C(CH_3)ClCOOCH_3$	
22.40	$CH_2C(CH_3)ClCOOEt$	
22.41	$CH_2C(CH_3)ClCONHEt$	
22.42	CH_2CH_2COOH	
22.43	$CH_2CH_2COOCH_3$	
22.44	CH_2CH_2COOEt	
22.45	$CHClCHClCOOH$	
22.46	$CHClCHClCOOCH_3$	
22.47	$CHClCHClCOOEt$	
22.48	$CH_2CH(OCH_3)COOH$	
22.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
22.50	$CH_2CH(OCH_3)COOEt$	
22.51	$CH_2CH(SCH_3)COOH$	
22.52	$CH_2CH(SCH_3)COOCH_3$	
22.53	$CH_2CH(SCH_3)COOEt$	
22.54	CH=CHCOOH	
22.55	$CH=CHCOOCH_3$	
22.56	CH=CHCOOEt	
22.57	CH=CClCOOH	
22.58	$CH=CClCOOCH_3$	
22.59	COOEt	
22.60	$CONH_2$	
22.61		
22.62	$CONHSO_2CH_3$	
22.63	$COOCH_2COOH$	
22.64	$COOCH_2COOCH_3$	
22.65	$COOCH(CH_3)COOH$	
22.66	$COOCH(CH_3)COOCH_3$	
22.67	$COOCH(CH_3)CH_2COOH$	
22.68	$COOCH(CH_3)CH_2COOCH_3$	
22.69	$COOC(CH_3)_2CN$	
22.70	$COOCH_2CH_2OCH_3$	
22.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
22.72		
22.73	$COOC(CH_3)_2COOCH_2$PHENYL	
22.74	$COOCH_2C\equiv CH$	
22.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
22.76	$COOCH(CH_3)C{\equiv}CH$	
22.77	$COOC(CH_3)_2COCH_3$	
22.78	NHallyl	
22.79	$N(COCH_3)$allyl	
22.80	$N(Et)SO_2CH_3$	
22.81	$N(allyl)SO_2CH_3$	
22.82	$N(allyl)SO_2Et$	
22.83	$SO_2N(CH_3)_2$	
22.84	SO_2NH_2	
22.85	$SO_2NHCOCH_3$	
22.86	OH	
22.87	OEt	
22.88	Oallyl	
22.89	$OCH_2C{\equiv}CCH_3$	
22.90	$OCH(CH_3)CH{=}CH_2$	
22.91	$OCH_2CH_2OCH_2CH_3$	
22.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
22.93		
22.94	$OCH_2CH_2NHCH_3$	
22.95	$OCH_2CH_2N(CH_3)COCH_3$	
22.96	OCH_2CH_2COOH	
22.97	$OC(CH_3)_2COOH$	
22.98	$OC(CH_3)_2COOCH_3$	
22.99	$OC(CH_3)_2COOEt$	
22.100	OCH_2COOH	
22.101	OSO_2CH_3	
22.102	OSO_2CF_3	

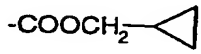
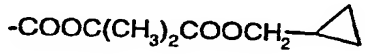
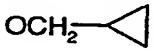
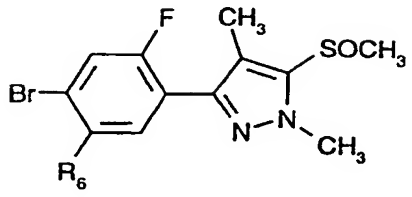
Comp.No.	R_6	M.p.
22.103	$CH_2CHClCOOC_2H_5$	
22.104	$CH_2CHClCON(C_2H_5)_2$	
22.105	$CH_2CHClCONHOH$	
22.106	$CH_2CHClCOOCH_2C_6H_5$	
22.107	$CH_2CH(CH_3)COOH$	
22.108	$CH_2CH(CH_3)COOC_2H_5$	
22.109		
22.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
22.111		
22.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
22.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
22.114		

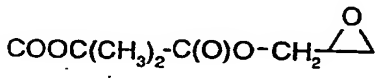
Table 23: Compounds of formula Ix

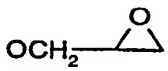


(Ix)

Comp.No.	R_6	M.p.
23.1	H	
23.2	CN	
23.3	OCH_3	
23.4	$NHSO_2CH_3$	
23.5	OC_3H_7(iso)	
23.6	O-propargyl	
23.7	$OCH(CH_3)C{\equiv}CH$	
23.8	$OCH_2COOCH_2CH_3$	
23.9	$OCH_2CH_2OCH_3$	
23.10	$OCH_2CH_2SCH_2CH_3$	
23.11	OCH_2COOCH_3	
23.12	$OCH_2COOC_5H_{11}$ (n)	
23.13	OCH_2COO-benzyl	
23.14	$OCH(CH_3)COO$benzyl	
23.15	SC_3H_7(iso)	
23.16	SCH_2COOCH_3	
23.17	$SCH_2COOC_2H_5$	
23.18	$SCH(CH_3)COO$benzyl	
23.19	SCH_2COObenzyl	
23.20	$COOCH_3$	
23.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
23.22	$COOC(CH_3)_2COOH$	
23.23	$COOC(CH_3)_2COO$-allyl	
23.24	$COOC(CH_3)_2COOCH_3$	
23.25	$COOC(CH_3)_2COO$ethyl	
23.26	$COOC(CH_3)_2CONH$-allyl	
23.27	$CH_2CHClCOO$ethyl	
23.28	$CH_2CH=CH_2$	
23.29	$CH_2CH_2CH_3$	
23.30	$CH_2CH_2CF_3$	
23.31	$OCH(CH_3)COOC_2H_5$(R)	
23.32	$OCH(CH_3)COOC_2H_5$(S)	
23.33	$OCH(CH_3)COOC_2H_5$(R,S)	
23.34	$CH_2CHClCOOH$	
23.35	$CH_2CHClCOOCH_3$	
23.36	$CH_2CHClCOOC_3H_7$(iso)	
23.37	$CH_2CHClCONH$allyl	
23.38	$CH_2C(CH_3)ClCOOH$	
23.39	$CH_2C(CH_3)ClCOOCH_3$	
23.40	$CH_2C(CH_3)ClCOOEt$	
23.41	$CH_2C(CH_3)ClCONHEt$	
23.42	CH_2CH_2COOH	
23.43	$CH_2CH_2COOCH_3$	
23.44	CH_2CH_2COOEt	
23.45	$CHClCHClCOOH$	
23.46	$CHClCHClCOOCH_3$	
23.47	$CHClCHClCOOEt$	
23.48	$CH_2CH(OCH_3)COOH$	
23.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
23.50	$CH_2CH(OCH_3)COOEt$	
23.51	$CH_2CH(SCH_3)COOH$	
23.52	$CH_2CH(SCH_3)COOCH_3$	
23.53	$CH_2CH(SCH_3)COOEt$	
23.54	CH=CHCOOH	
23.55	$CH=CHCOOCH_3$	
23.56	CH=CHCOOEt	
23.57	CH=CClCOOH	
23.58	$CH=CClCOOCH_3$	
23.59	COOEt	
23.60	$CONH_2$	
23.61		
23.62	$CONHSO_2CH_3$	
23.63	$COOCH_2COOH$	
23.64	$COOCH_2COOCH_3$	
23.65	$COOCH(CH_3)COOH$	
23.66	$COOCH(CH_3)COOCH_3$	
23.67	$COOCH(CH_3)CH_2COOH$	
23.68	$COOCH(CH_3)CH_2COOCH_3$	
23.69	$COOC(CH_3)_2CN$	
23.70	$COOCH_2CH_2OCH_3$	
23.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
23.72		
23.73	$COOC(CH_3)_2COOCH_2PHENYL$	
23.74	$COOCH_2C\equiv CH$	
23.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
23.76	$COOCH(CH_3)C{\equiv}CH$	
23.77	$COOC(CH_3)_2COCH_3$	
23.78	NHallyl	
23.79	$N(COCH_3)$allyl	
23.80	$N(Et)SO_2CH_3$	
23.81	$N(allyl)SO_2CH_3$	
23.82	$N(allyl)SO_2Et$	
23.83	$SO_2N(CH_3)_2$	
23.84	SO_2NH_2	
23.85	$SO_2NHCOCH_3$	
23.86	OH	
23.87	OEt	
23.88	Oallyl	
23.89	$OCH_2C{\equiv}CCH_3$	
23.90	$OCH(CH_3)CH{=}CH_2$	
23.91	$OCH_2CH_2OCH_2CH_3$	
23.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
23.93		
23.94	$OCH_2CH_2NHCH_3$	
23.95	$OCH_2CH_2N(CH_3)COCH_3$	
23.96	OCH_2CH_2COOH	
23.97	$OC(CH_3)_2COOH$	
23.98	$OC(CH_3)_2COOCH_3$	
23.99	$OC(CH_3)_2COOEt$	
23.100	OCH_2COOH	
23.101	OSO_2CH_3	
23.102	OSO_2CF_3	

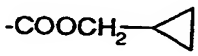
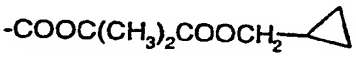
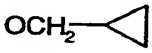
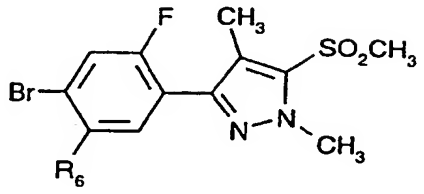
Comp.No.	R_6	M.p.
23.103	$CH_2CHClCOOC_2H_5$	
23.104	$CH_2CHClCON(C_2H_5)_2$	
23.105	$CH_2CHClCONHOH$	
23.106	$CH_2CHClCOOCH_2C_6H_5$	
23.107	$CH_2CH(CH_3)COOH$	
23.108	$CH_2CH(CH_3)COOC_2H_5$	
23.109		
23.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
23.111		
23.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
23.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
23.114		

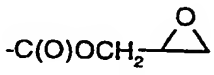
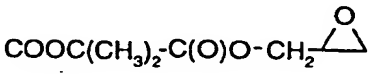
Table 24: Compounds of formula Iy

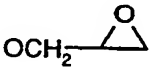


(Iy)

Comp.No.	R_6	M.p.
24.1	H	
24.2	CN	
24.3	OCH_3	
24.4	$NHSO_2CH_3$	
24.5	OC_3H_7(iso)	
24.6	O-propargyl	
24.7	$OCH(CH_3)C{\equiv}CH$	
24.8	$OCH_2COOCH_2CH_3$	
24.9	$OCH_2CH_2OCH_3$	
24.10	$OCH_2CH_2SCH_2CH_3$	
24.11	OCH_2COOCH_3	
24.12	$OCH_2COOC_5H_{11}$ (n)	
24.13	OCH_2COO-benzyl	
24.14	$OCH(CH_3)COO$benzyl	
24.15	SC_3H_7(iso)	
24.16	SCH_2COOCH_3	
24.17	$SCH_2COOC_2H_5$	
24.18	$SCH(CH_3)COO$benzyl	
24.19	SCH_2COObenzyl	
24.20	$COOCH_3$	
24.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
24.22	$COOC(CH_3)_2COOH$	
24.23	$COOC(CH_3)_2COO$-allyl	
24.24	$COOC(CH_3)_2COOCH_3$	
24.25	$COOC(CH_3)_2COO$ethyl	
24.26	$COOC(CH_3)_2CONH$-allyl	
24.27	$CH_2CHClCOO$ethyl	
24.28	$CH_2CH{=}CH_2$	
24.29	$CH_2CH_2CH_3$	
24.30	$CH_2CH_2CF_3$	
24.31	$OCH(CH_3)COOC_2H_5$(R)	
24.32	$OCH(CH_3)COOC_2H_5$(S)	
24.33	$OCH(CH_3)COOC_2H_5$(R,S)	
24.34	$CH_2CHClCOOH$	
24.35	$CH_2CHClCOOCH_3$	
24.36	$CH_2CHClCOOC_3H_7$(iso)	
24.37	$CH_2CHClCONH$allyl	
24.38	$CH_2C(CH_3)ClCOOH$	
24.39	$CH_2C(CH_3)ClCOOCH_3$	
24.40	$CH_2C(CH_3)ClCOOEt$	
24.41	$CH_2C(CH_3)ClCONHEt$	
24.42	CH_2CH_2COOH	
24.43	$CH_2CH_2COOCH_3$	
24.44	CH_2CH_2COOEt	
24.45	$CHClCHClCOOH$	
24.46	$CHClCHClCOOCH_3$	
24.47	$CHClCHClCOOEt$	
24.48	$CH_2CH(OCH_3)COOH$	
24.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
24.50	$CH_2CH(OCH_3)COOEt$	
24.51	$CH_2CH(SCH_3)COOH$	
24.52	$CH_2CH(SCH_3)COOCH_3$	
24.53	$CH_2CH(SCH_3)COOEt$	
24.54	CH=CHCOOH	
24.55	$CH=CHCOOCH_3$	
24.56	CH=CHCOOEt	
24.57	CH=CClCOOH	
24.58	$CH=CClCOOCH_3$	
24.59	COOEt	
24.60	$CONH_2$	
24.61		
24.62	$CONHSO_2CH_3$	
24.63	$COOCH_2COOH$	
24.64	$COOCH_2COOCH_3$	
24.65	$COOCH(CH_3)COOH$	
24.66	$COOCH(CH_3)COOCH_3$	
24.67	$COOCH(CH_3)CH_2COOH$	
24.68	$COOCH(CH_3)CH_2COOCH_3$	
24.69	$COOC(CH_3)_2CN$	
24.70	$COOCH_2CH_2OCH_3$	
24.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
24.72		
24.73	$COOC(CH_3)_2COOCH_2PHENYL$	
24.74	$COOCH_2C\equiv CH$	
24.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
24.76	$COOCH(CH_3)C{\equiv}CH$	
24.77	$COOC(CH_3)_2COCH_3$	
24.78	NHallyl	
24.79	$N(COCH_3)$allyl	
24.80	$N(Et)SO_2CH_3$	
24.81	$N(allyl)SO_2CH_3$	
24.82	$N(allyl)SO_2Et$	
24.83	$SO_2N(CH_3)_2$	
24.84	SO_2NH_2	
24.85	$SO_2NHCOCH_3$	
24.86	OH	
24.87	OEt	
24.88	Oallyl	
24.89	$OCH_2C{\equiv}CCH_3$	
24.90	$OCH(CH_3)CH{=}CH_2$	
24.91	$OCH_2CH_2OCH_2CH_3$	
24.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
24.93		
24.94	$OCH_2CH_2NHCH_3$	
24.95	$OCH_2CH_2N(CH_3)COCH_3$	
24.96	OCH_2CH_2COOH	
24.97	$OC(CH_3)_2COOH$	
24.98	$OC(CH_3)_2COOCH_3$	
24.99	$OC(CH_3)_2COOEt$	
24.100	OCH_2COOH	
24.101	OSO_2CH_3	
24.102	OSO_2CF_3	

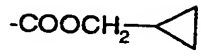
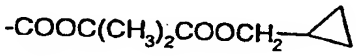
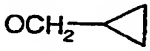
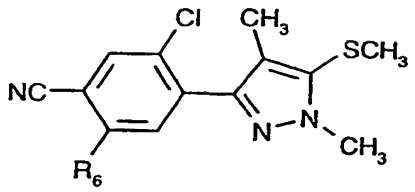
Comp.No.	R_6	M.p.
24.103	$CH_2CHClCOOC_2H_5$	
24.104	$CH_2CHClCON(C_2H_5)_2$	
24.105	$CH_2CHClCONHOH$	
24.106	$CH_2CHClCOOCH_2C_6H_5$	
24.107	$CH_2CH(CH_3)COOH$	
24.108	$CH_2CH(CH_3)COOC_2H_5$	
24.109		
24.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
24.111		
24.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
24.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
24.114		

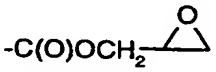
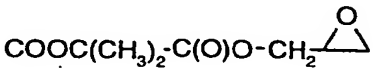
Table 25: Compounds of formula Iz

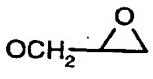


(Iz)

Comp.No.	R_6	M.p.
25.1	H	
25.2	CN	
25.3	OCH_3	
25.4	$NHSO_2CH_3$	
25.5	OC_3H_7(iso)	
25.6	O-propargyl	
25.7	$OCH(CH_3)C{\equiv}CH$	
25.8	$OCH_2COOCH_2CH_3$	
25.9	$OCH_2CH_2OCH_3$	
25.10	$OCH_2CH_2SCH_2CH_3$	
25.11	OCH_2COOCH_3	
25.12	$OCH_2COOC_5H_{11}$ (n)	
25.13	OCH_2COO-benzyl	
25.14	$OCH(CH_3)COO$benzyl	
25.15	SC_3H_7(iso)	
25.16	SCH_2COOCH_3	
25.17	$SCH_2COOC_2H_5$	
25.18	$SCH(CH_3)COO$benzyl	
25.19	SCH_2COObenzyl	
25.20	$COOCH_3$	
25.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
25.22	$COOC(CH_3)_2COOH$	
25.23	$COOC(CH_3)_2COO$-allyl	
25.24	$COOC(CH_3)_2COOCH_3$	
25.25	$COOC(CH_3)_2COO$ethyl	
25.26	$COOC(CH_3)_2CONH$-allyl	
25.27	$CH_2CHClCOO$ethyl	
25.28	$CH_2CH=CH_2$	
25.29	$CH_2CH_2CH_3$	
25.30	$CH_2CH_2CF_3$	
25.31	$OCH(CH_3)COOC_2H_5$(R)	
25.32	$OCH(CH_3)COOC_2H_5$(S)	
25.33	$OCH(CH_3)COOC_2H_5$(R,S)	
25.34	$CH_2CHClCOOH$	
25.35	$CH_2CHClCOOCH_3$	
25.36	$CH_2CHClCOOC_3H_7$(iso)	
25.37	$CH_2CHClCONH$allyl	
25.38	$CH_2C(CH_3)ClCOOH$	
25.39	$CH_2C(CH_3)ClCOOCH_3$	
25.40	$CH_2C(CH_3)ClCOOEt$	
25.41	$CH_2C(CH_3)ClCONHEt$	
25.42	CH_2CH_2COOH	
25.43	$CH_2CH_2COOCH_3$	
25.44	CH_2CH_2COOEt	
25.45	$CHClCHClCOOH$	
25.46	$CHClCHClCOOCH_3$	
25.47	$CHClCHClCOOEt$	
25.48	$CH_2CH(OCH_3)COOH$	
25.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
25.50	$CH_2CH(OCH_3)COOEt$	
25.51	$CH_2CH(SCH_3)COOH$	
25.52	$CH_2CH(SCH_3)COOCH_3$	
25.53	$CH_2CH(SCH_3)COOEt$	
25.54	CH=CHCOOH	
25.55	$CH=CHCOOCH_3$	
25.56	CH=CHCOOEt	
25.57	CH=CClCOOH	
25.58	$CH=CClCOOCH_3$	
25.59	COOEt	
25.60	$CONH_2$	
25.61		
25.62	$CONHSO_2CH_3$	
25.63	$COOCH_2COOH$	
25.64	$COOCH_2COOCH_3$	
25.65	$COOCH(CH_3)COOH$	
25.66	$COOCH(CH_3)COOCH_3$	
25.67	$COOCH(CH_3)CH_2COOH$	
25.68	$COOCH(CH_3)CH_2COOCH_3$	
25.69	$COOC(CH_3)_2CN$	
25.70	$COOCH_2CH_2OCH_3$	
25.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
25.72		
25.73	$COOC(CH_3)_2COOCH_2$PHENYL	
25.74	$COOCH_2C\equiv CH$	
25.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
25.76	$COOCH(CH_3)C{\equiv}CH$	
25.77	$COOC(CH_3)_2COCH_3$	
25.78	NHallyl	
25.79	$N(COCH_3)$allyl	
25.80	$N(Et)SO_2CH_3$	
25.81	$N(allyl)SO_2CH_3$	
25.82	$N(allyl)SO_2Et$	
25.83	$SO_2N(CH_3)_2$	
25.84	SO_2NH_2	
25.85	$SO_2NHCOCH_3$	
25.86	OH	
25.87	OEt	
25.88	Oallyl	
25.89	$OCH_2C{\equiv}CCH_3$	
25.90	$OCH(CH_3)CH{=}CH_2$	
25.91	$OCH_2CH_2OCH_2CH_3$	
25.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
25.93		
25.94	$OCH_2CH_2NHCH_3$	
25.95	$OCH_2CH_2N(CH_3)COCH_3$	
25.96	OCH_2CH_2COOH	
25.97	$OC(CH_3)_2COOH$	
25.98	$OC(CH_3)_2COOCH_3$	
25.99	$OC(CH_3)_2COOEt$	
25.100	OCH_2COOH	
25.101	OSO_2CH_3	
25.102	OSO_2CF_3	

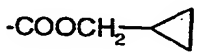
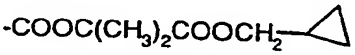
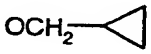
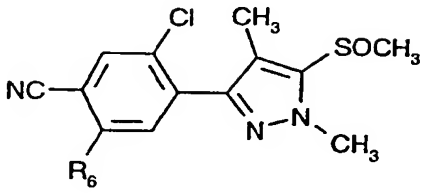
Comp.No.	R_6	M.p.
25.103	$CH_2CHClCOOC_2H_5$	
25.104	$CH_2CHClCON(C_2H_5)_2$	
25.105	$CH_2CHClCONHOH$	
25.106	$CH_2CHClCOOCH_2C_6H_5$	
25.107	$CH_2CH(CH_3)COOH$	
25.108	$CH_2CH(CH_3)COOC_2H_5$	
25.109		
25.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
25.111		
25.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
25.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
25.114		

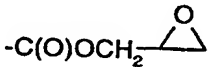
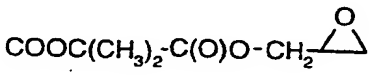
Table 26: Compounds of formula Izz

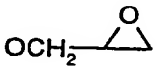


(Izz)

Comp.No.	R_6	M.p.
26.1	H	
26.2	CN	
26.3	OCH_3	
26.4	$NHSO_2CH_3$	
26.5	OC_3H_7(iso)	
26.6	O-propargyl	
26.7	$OCH(CH_3)C{\equiv}CH$	
26.8	$OCH_2COOCH_2CH_3$	
26.9	$OCH_2CH_2OCH_3$	
26.10	$OCH_2CH_2SCH_2CH_3$	
26.11	OCH_2COOCH_3	
26.12	$OCH_2COOC_5H_{11}$ (n)	
26.13	OCH_2COO-benzyl	
26.14	$OCH(CH_3)COO$benzyl	
26.15	SC_3H_7(iso)	
26.16	SCH_2COOCH_3	
26.17	$SCH_2COOC_2H_5$	
26.18	$SCH(CH_3)COO$benzyl	
26.19	SCH_2COObenzyl	
26.20	$COOCH_3$	
26.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
26.22	$COOC(CH_3)_2COOH$	
26.23	$COOC(CH_3)_2COO$-allyl	
26.24	$COOC(CH_3)_2COOCH_3$	
26.25	$COOC(CH_3)_2COO$ethyl	
26.26	$COOC(CH_3)_2CONH$-allyl	
26.27	$CH_2CHClCOO$ethyl	
26.28	$CH_2CH=CH_2$	
26.29	$CH_2CH_2CH_3$	
26.30	$CH_2CH_2CF_3$	
26.31	$OCH(CH_3)COOC_2H_5$(R)	
26.32	$OCH(CH_3)COOC_2H_5$(S)	
26.33	$OCH(CH_3)COOC_2H_5$(R,S)	
26.34	$CH_2CHClCOOH$	
26.35	$CH_2CHClCOOCH_3$	
26.36	$CH_2CHClCOOC_3H_7$(iso)	
26.37	$CH_2CHClCONH$allyl	
26.38	$CH_2C(CH_3)ClCOOH$	
26.39	$CH_2C(CH_3)ClCOOCH_3$	
26.40	$CH_2C(CH_3)ClCOOEt$	
26.41	$CH_2C(CH_3)ClCONHEt$	
26.42	CH_2CH_2COOH	
26.43	$CH_2CH_2COOCH_3$	
26.44	CH_2CH_2COOEt	
26.45	$CHClCHClCOOH$	
26.46	$CHClCHClCOOCH_3$	
26.47	$CHClCHClCOOEt$	
26.48	$CH_2CH(OCH_3)COOH$	
26.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
26.50	$CH_2CH(OCH_3)COOEt$	
26.51	$CH_2CH(SCH_3)COOH$	
26.52	$CH_2CH(SCH_3)COOCH_3$	
26.53	$CH_2CH(SCH_3)COOEt$	
26.54	$CH=CHCOOH$	
26.55	$CH=CHCOOCH_3$	
26.56	$CH=CHCOOEt$	
26.57	$CH=CClCOOH$	
26.58	$CH=CClCOOCH_3$	
26.59	$COOEt$	
26.60	$CONH_2$	
26.61		
26.62	$CONHSO_2CH_3$	
26.63	$COOCH_2COOH$	
26.64	$COOCH_2COOCH_3$	
26.65	$COOCH(CH_3)COOH$	
26.66	$COOCH(CH_3)COOCH_3$	
26.67	$COOCH(CH_3)CH_2COOH$	
26.68	$COOCH(CH_3)CH_2COOCH_3$	
26.69	$COOC(CH_3)_2CN$	
26.70	$COOCH_2CH_2OCH_3$	
26.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
26.72		
26.73	$COOC(CH_3)_2COOCH_2PHENYL$	
26.74	$COOCH_2C\equiv CH$	
26.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
26.76	$COOCH(CH_3)C{\equiv}CH$	
26.77	$COOC(CH_3)_2COCH_3$	
26.78	NHallyl	
26.79	$N(COCH_3)$allyl	
26.80	$N(Et)SO_2CH_3$	
26.81	$N(allyl)SO_2CH_3$	
26.82	$N(allyl)SO_2Et$	
26.83	$SO_2N(CH_3)_2$	
26.84	SO_2NH_2	
26.85	$SO_2NHCOCH_3$	
26.86	OH	
26.87	OEt	
26.88	Oallyl	
26.89	$OCH_2C{\equiv}CCH_3$	
26.90	$OCH(CH_3)CH{=}CH_2$	
26.91	$OCH_2CH_2OCH_2CH_3$	
26.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
26.93		
26.94	$OCH_2CH_2NHCH_3$	
26.95	$OCH_2CH_2N(CH_3)COCH_3$	
26.96	OCH_2CH_2COOH	
26.97	$OC(CH_3)_2COOH$	
26.98	$OC(CH_3)_2COOCH_3$	
26.99	$OC(CH_3)_2COOEt$	
26.100	OCH_2COOH	
26.101	OSO_2CH_3	
26.102	OSO_2CF_3	

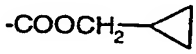
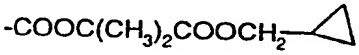
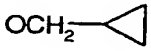
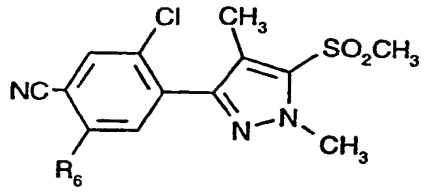
Comp.No.	R_6	M.p.
26.103	$CH_2CHClCOOC_2H_5$	
26.104	$CH_2CHClCON(C_2H_5)_2$	
26.105	$CH_2CHClCONHOH$	
26.106	$CH_2CHClCOOCH_2C_6H_5$	
26.107	$CH_2CH(CH_3)COOH$	
26.108	$CH_2CH(CH_3)COOC_2H_5$	
26.109		
26.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
26.111		
26.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
26.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
26.114		

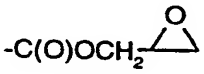
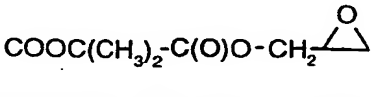
Table 27: Compounds of formula Iyy

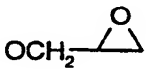


(Iyy)

Comp.No.	R_6	M.p.
27.1	H	
27.2	CN	
27.3	OCH_3	
27.4	$NHSO_2CH_3$	
27.5	OC_3H_7(iso)	
27.6	O-propargyl	
27.7	$OCH(CH_3)C{\equiv}CH$	
27.8	$OCH_2COOCH_2CH_3$	
27.9	$OCH_2CH_2OCH_3$	
27.10	$OCH_2CH_2SCH_2CH_3$	
27.11	OCH_2COOCH_3	
27.12	$OCH_2COOC_5H_{11}$ (n)	
27.13	OCH_2COO-benzyl	
27.14	$OCH(CH_3)COO$benzyl	
27.15	SC_3H_7(iso)	
27.16	SCH_2COOCH_3	
27.17	$SCH_2COOC_2H_5$	
27.18	$SCH(CH_3)COO$benzyl	
27.19	SCH_2COObenzyl	
27.20	$COOCH_3$	
27.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
27.22	$COOC(CH_3)_2COOH$	
27.23	$COOC(CH_3)_2COO$-allyl	
27.24	$COOC(CH_3)_2COOCH_3$	
27.25	$COOC(CH_3)_2COO$ethyl	
27.26	$COOC(CH_3)_2CONH$-allyl	
27.27	$CH_2CHClCOO$ethyl	
27.28	$CH_2CH=CH_2$	
27.29	$CH_2CH_2CH_3$	
27.30	$CH_2CH_2CF_3$	
27.31	$OCH(CH_3)COOC_2H_5$(R)	
27.32	$OCH(CH_3)COOC_2H_5$(S)	
27.33	$OCH(CH_3)COOC_2H_5$(R,S)	
27.34	$CH_2CHClCOOH$	
27.35	$CH_2CHClCOOCH_3$	
27.36	$CH_2CHClCOOC_3H_7$(iso)	
27.37	$CH_2CHClCONH$allyl	
27.38	$CH_2C(CH_3)ClCOOH$	
27.39	$CH_2C(CH_3)ClCOOCH_3$	
27.40	$CH_2C(CH_3)ClCOOEt$	
27.41	$CH_2C(CH_3)ClCONHEt$	
27.42	CH_2CH_2COOH	
27.43	$CH_2CH_2COOCH_3$	
27.44	CH_2CH_2COOEt	
27.45	$CHClCHClCOOH$	
27.46	$CHClCHClCOOCH_3$	
27.47	$CHClCHClCOOEt$	
27.48	$CH_2CH(OCH_3)COOH$	
27.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
27.50	$CH_2CH(OCH_3)COOEt$	
27.51	$CH_2CH(SCH_3)COOH$	
27.52	$CH_2CH(SCH_3)COOCH_3$	
27.53	$CH_2CH(SCH_3)COOEt$	
27.54	CH=CHCOOH	
27.55	$CH=CHCOOCH_3$	
27.56	CH=CHCOOEt	
27.57	CH=CClCOOH	
27.58	$CH=CClCOOCH_3$	
27.59	COOEt	
27.60	$CONH_2$	
27.61		
27.62	$CONHSO_2CH_3$	
27.63	$COOCH_2COOH$	
27.64	$COOCH_2COOCH_3$	
27.65	$COOCH(CH_3)COOH$	
27.66	$COOCH(CH_3)COOCH_3$	
27.67	$COOCH(CH_3)CH_2COOH$	
27.68	$COOCH(CH_3)CH_2COOCH_3$	
27.69	$COOC(CH_3)_2CN$	
27.70	$COOCH_2CH_2OCH_3$	
27.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
27.72		
27.73	$COOC(CH_3)_2COOCH_2$PHENYL	
27.74	$COOCH_2C\equiv CH$	
27.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
27.76	$COOCH(CH_3)C\equiv CH$	
27.77	$COOC(CH_3)_2COCH_3$	
27.78	NHallyl	
27.79	$N(COCH_3)$allyl	
27.80	$N(Et)SO_2CH_3$	
27.81	$N(allyl)SO_2CH_3$	
27.82	$N(allyl)SO_2Et$	
27.83	$SO_2N(CH_3)_2$	
27.84	SO_2NH_2	
27.85	$SO_2NHCOCH_3$	
27.86	OH	
27.87	OEt	
27.88	Oallyl	
27.89	$OCH_2C\equiv CCH_3$	
27.90	$OCH(CH_3)CH=CH_2$	
27.91	$OCH_2CH_2OCH_2CH_3$	
27.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
27.93		
27.94	$OCH_2CH_2NHCH_3$	
27.95	$OCH_2CH_2N(CH_3)COCH_3$	
27.96	OCH_2CH_2COOH	
27.97	$OC(CH_3)_2COOH$	
27.98	$OC(CH_3)_2COOCH_3$	
27.99	$OC(CH_3)_2COOEt$	
27.100	OCH_2COOH	
27.101	OSO_2CH_3	
27.102	OSO_2CF_3	

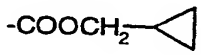
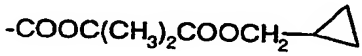
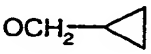
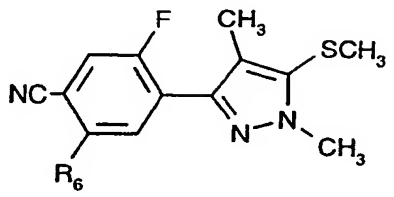
Comp.No.	R_6	M.p.
27.103	$CH_2CHClCOOC_2H_5$	
27.104	$CH_2CHClCON(C_2H_5)_2$	
27.105	$CH_2CHClCONHOH$	
27.106	$CH_2CHClCOOCH_2C_6H_5$	
27.107	$CH_2CH(CH_3)COOH$	
27.108	$CH_2CH(CH_3)COOC_2H_5$	
27.109		
27.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
27.111		
27.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
27.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
27.114		

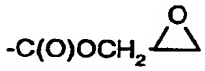
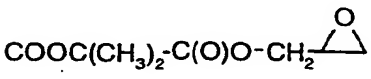
Table 28: Compounds of formula Iww

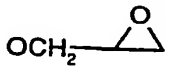


(Iww)

Comp.No.	R_6	M.p.
28.1	H	
28.2	CN	
28.3	OCH_3	
28.4	$NHSO_2CH_3$	
28.5	OC_3H_7(iso)	
28.6	O-propargyl	
28.7	$OCH(CH_3)C{\equiv}CH$	
28.8	$OCH_2COOCH_2CH_3$	
28.9	$OCH_2CH_2OCH_3$	
28.10	$OCH_2CH_2SCH_2CH_3$	
28.11	OCH_2COOCH_3	
28.12	$OCH_2COOC_5H_{11}$ (n)	
28.13	OCH_2COO-benzyl	
28.14	$OCH(CH_3)COO$benzyl	
28.15	SC_3H_7(iso)	
28.16	SCH_2COOCH_3	
28.17	$SCH_2COOC_2H_5$	
28.18	$SCH(CH_3)COO$benzyl	
28.19	SCH_2COObenzyl	
28.20	$COOCH_3$	
28.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
28.22	$COOC(CH_3)_2COOH$	
28.23	$COOC(CH_3)_2COO$-allyl	
28.24	$COOC(CH_3)_2COOCH_3$	
28.25	$COOC(CH_3)_2COO$ethyl	
28.26	$COOC(CH_3)_2CONH$-allyl	
28.27	$CH_2CHClCOO$ethyl	
28.28	$CH_2CH=CH_2$	
28.29	$CH_2CH_2CH_3$	
28.30	$CH_2CH_2CF_3$	
28.31	$OCH(CH_3)COOC_2H_5$(R)	
28.32	$OCH(CH_3)COOC_2H_5$(S)	
28.33	$OCH(CH_3)COOC_2H_5$(R,S)	
28.34	$CH_2CHClCOOH$	
28.35	$CH_2CHClCOOCH_3$	
28.36	$CH_2CHClCOOC_3H_7$(iso)	
28.37	$CH_2CHClCONH$allyl	
28.38	$CH_2C(CH_3)ClCOOH$	
28.39	$CH_2C(CH_3)ClCOOCH_3$	
28.40	$CH_2C(CH_3)ClCOOEt$	
28.41	$CH_2C(CH_3)ClCONHEt$	
28.42	CH_2CH_2COOH	
28.43	$CH_2CH_2COOCH_3$	
28.44	CH_2CH_2COOEt	
28.45	$CHClCHClCOOH$	
28.46	$CHClCHClCOOCH_3$	
28.47	$CHClCHClCOOEt$	
28.48	$CH_2CH(OCH_3)COOH$	
28.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
28.50	$CH_2CH(OCH_3)COOEt$	
28.51	$CH_2CH(SCH_3)COOH$	
28.52	$CH_2CH(SCH_3)COOCH_3$	
28.53	$CH_2CH(SCH_3)COOEt$	
28.54	CH=CHCOOH	
28.55	$CH=CHCOOCH_3$	
28.56	CH=CHCOOEt	
28.57	CH=CClCOOH	
28.58	$CH=CClCOOCH_3$	
28.59	COOEt	
28.60	$CONH_2$	
28.61		
28.62	$CONHSO_2CH_3$	
28.63	$COOCH_2COOH$	
28.64	$COOCH_2COOCH_3$	
28.65	$COOCH(CH_3)COOH$	
28.66	$COOCH(CH_3)COOCH_3$	
28.67	$COOCH(CH_3)CH_2COOH$	
28.68	$COOCH(CH_3)CH_2COOCH_3$	
28.69	$COOC(CH_3)_2CN$	
28.70	$COOCH_2CH_2OCH_3$	
28.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
28.72		
28.73	$COOC(CH_3)_2COOCH_2$PHENYL	
28.74	$COOCH_2C\equiv CH$	
28.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
28.76	$COOCH(CH_3)C{\equiv}CH$	
28.77	$COOC(CH_3)_2COCH_3$	
28.78	NHallyl	
28.79	$N(COCH_3)$allyl	
28.80	$N(Et)SO_2CH_3$	
28.81	$N(allyl)SO_2CH_3$	
28.82	$N(allyl)SO_2Et$	
28.83	$SO_2N(CH_3)_2$	
28.84	SO_2NH_2	
28.85	$SO_2NHCOCH_3$	
28.86	OH	
28.87	OEt	
28.88	Oallyl	
28.89	$OCH_2C{\equiv}CCH_3$	
28.90	$OCH(CH_3)CH{=}CH_2$	
28.91	$OCH_2CH_2OCH_2CH_3$	
28.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
28.93		
28.94	$OCH_2CH_2NHCH_3$	
28.95	$OCH_2CH_2N(CH_3)COCH_3$	
28.96	OCH_2CH_2COOH	
28.97	$OC(CH_3)_2COOH$	
28.98	$OC(CH_3)_2COOCH_3$	
28.99	$OC(CH_3)_2COOEt$	
28.100	OCH_2COOH	
28.101	OSO_2CH_3	
28.102	OSO_2CF_3	

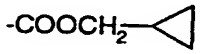
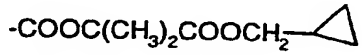
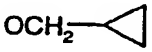
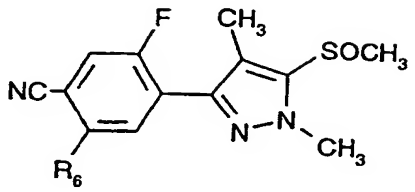
Comp.No.	R_6	M.p.
28.103	$CH_2CHClCOOC_2H_5$	
28.104	$CH_2CHClCON(C_2H_5)_2$	
28.105	$CH_2CHClCONHOH$	
28.106	$CH_2CHClCOOCH_2C_6H_5$	
28.107	$CH_2CH(CH_3)COOH$	
28.108	$CH_2CH(CH_3)COOC_2H_5$	
28.109		
28.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
28.111		
28.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
28.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
28.114		

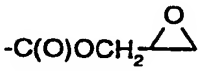
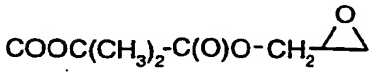
Table 29: Compounds of formula Ivv

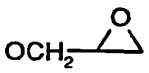


(Ivv)

Comp.No.	R_6	M.p.
29.1	H	
29.2	CN	
29.3	OCH_3	
29.4	$NHSO_2CH_3$	
29.5	OC_3H_7(iso)	
29.6	O-propargyl	
29.7	$OCH(CH_3)C{\equiv}CH$	
29.8	$OCH_2COOCH_2CH_3$	
29.9	$OCH_2CH_2OCH_3$	
29.10	$OCH_2CH_2SCH_2CH_3$	
29.11	OCH_2COOCH_3	
29.12	$OCH_2COOC_5H_{11}$ (n)	
29.13	OCH_2COO-benzyl	
29.14	$OCH(CH_3)COO$benzyl	
29.15	SC_3H_7(iso)	
29.16	SCH_2COOCH_3	
29.17	$SCH_2COOC_2H_5$	
29.18	$SCH(CH_3)COO$benzyl	
29.19	SCH_2COObenzyl	
29.20	$COOCH_3$	
29.21	$COOC_3H_7$(iso)	

Comp.No.	R_6	M.p.
29.22	$COOC(CH_3)_2COOH$	
29.23	$COOC(CH_3)_2COO$-allyl	
29.24	$COOC(CH_3)_2COOCH_3$	
29.25	$COOC(CH_3)_2COO$ethyl	
29.26	$COOC(CH_3)_2CONH$-allyl	
29.27	$CH_2CHClCOO$ethyl	
29.28	$CH_2CH=CH_2$	
29.29	$CH_2CH_2CH_3$	
29.30	$CH_2CH_2CF_3$	
29.31	$OCH(CH_3)COOC_2H_5$(R)	
29.32	$OCH(CH_3)COOC_2H_5$(S)	
29.33	$OCH(CH_3)COOC_2H_5$(R,S)	
29.34	$CH_2CHClCOOH$	
29.35	$CH_2CHClCOOCH_3$	
29.36	$CH_2CHClCOOC_3H_7$(iso)	
29.37	$CH_2CHClCONH$allyl	
29.38	$CH_2C(CH_3)ClCOOH$	
29.39	$CH_2C(CH_3)ClCOOCH_3$	
29.40	$CH_2C(CH_3)ClCOOEt$	
29.41	$CH_2C(CH_3)ClCONHEt$	
29.42	CH_2CH_2COOH	
29.43	$CH_2CH_2COOCH_3$	
29.44	CH_2CH_2COOEt	
29.45	$CHClCHClCOOH$	
29.46	$CHClCHClCOOCH_3$	
29.47	$CHClCHClCOOEt$	
29.48	$CH_2CH(OCH_3)COOH$	
29.49	$CH_2CH(OCH_3)COOCH_3$	

Comp.No.	R_6	M.p.
29.50	$CH_2CH(OCH_3)COOEt$	
29.51	$CH_2CH(SCH_3)COOH$	
29.52	$CH_2CH(SCH_3)COOCH_3$	
29.53	$CH_2CH(SCH_3)COOEt$	
29.54	CH=CHCOOH	
29.55	$CH=CHCOOCH_3$	
29.56	CH=CHCOOEt	
29.57	CH=CClCOOH	
29.58	$CH=CClCOOCH_3$	
29.59	COOEt	
29.60	$CONH_2$	
29.61		
29.62	$CONHSO_2CH_3$	
29.63	$COOCH_2COOH$	
29.64	$COOCH_2COOCH_3$	
29.65	$COOCH(CH_3)COOH$	
29.66	$COOCH(CH_3)COOCH_3$	
29.67	$COOCH(CH_3)CH_2COOH$	
29.68	$COOCH(CH_3)CH_2COOCH_3$	
29.69	$COOC(CH_3)_2CN$	
29.70	$COOCH_2CH_2OCH_3$	
29.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
29.72		
29.73	$COOC(CH_3)_2COOCH_2PHENYL$	
29.74	$COOCH_2C\equiv CH$	
29.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	

Comp.No.	R_6	M.p.
29.76	$COOCH(CH_3)C\equiv CH$	
29.77	$COOC(CH_3)_2COCH_3$	
29.78	NHallyl	
29.79	$N(COCH_3)$allyl	
29.80	$N(Et)SO_2CH_3$	
29.81	$N(allyl)SO_2CH_3$	
29.82	$N(allyl)SO_2Et$	
29.83	$SO_2N(CH_3)_2$	
29.84	SO_2NH_2	
29.85	$SO_2NHCOCH_3$	
29.86	OH	
29.87	OEt	
29.88	Oallyl	
29.89	$OCH_2C\equiv CCH_3$	
29.90	$OCH(CH_3)CH=CH_2$	
29.91	$OCH_2CH_2OCH_2CH_3$	
29.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
29.93		
29.94	$OCH_2CH_2NHCH_3$	
29.95	$OCH_2CH_2N(CH_3)COCH_3$	
29.96	OCH_2CH_2COOH	
29.97	$OC(CH_3)_2COOH$	
29.98	$OC(CH_3)_2COOCH_3$	
29.99	$OC(CH_3)_2COOEt$	
29.100	OCH_2COOH	
29.101	OSO_2CH_3	
29.102	OSO_2CF_3	

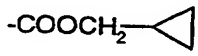
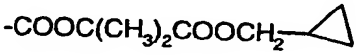
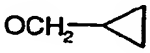
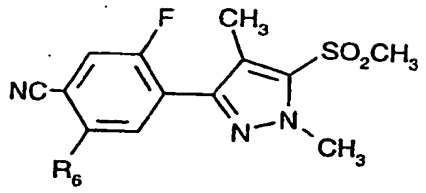
Comp.No.	R_6	M.p.
29.103	$CH_2CHClCOOC_2H_5$	
29.104	$CH_2CHClCON(C_2H_5)_2$	
29.105	$CH_2CHClCONHOH$	
29.106	$CH_2CHClCOOCH_2C_6H_5$	
29.107	$CH_2CH(CH_3)COOH$	
29.108	$CH_2CH(CH_3)COOC_2H_5$	
29.109		
29.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
29.111		
29.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
29.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
29.114		

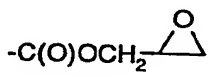
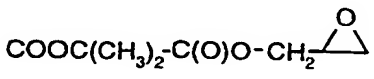
Table 30: Compounds of formula luu

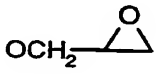


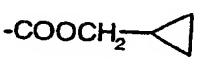
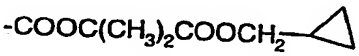
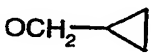
(luu)

Comp.No.	R_6	M.p.
30.1	H	
30.2	CN	
30.3	OCH_3	
30.4	$NHSO_2CH_3$	
30.5	OC_3H_7(iso)	
30.6	O-propargyl	
30.7	$OCH(CH_3)C{\equiv}CH$	
30.8	$OCH_2COOCH_2CH_3$	
30.9	$OCH_2CH_2OCH_3$	
30.10	$OCH_2CH_2SCH_2CH_3$	
30.11	OCH_2COOCH_3	
30.12	$OCH_2COOC_5H_{11}$ (n)	
30.13	OCH_2COO-benzyl	
30.14	$OCH(CH_3)COO$benzyl	
30.15	SC_3H_7(iso)	
30.16	SCH_2COOCH_3	
30.17	$SCH_2COOC_2H_5$	
30.18	$SCH(CH_3)COO$benzyl	
30.19	SCH_2COObenzyl	
30.20	$COOCH_3$	
30.21	$COOC_3H_7$(iso)	

30.22	$COOC(CH_3)_2COOH$	
30.23	$COOC(CH_3)_2COO$-allyl	
30.24	$COOC(CH_3)_2COOCH_3$	
30.25	$COOC(CH_3)_2COO$ethyl	
30.26	$COOC(CH_3)_2CONH$-allyl	
30.27	$CH_2CHClCOO$ethyl	
30.28	$CH_2CH=CH_2$	
30.29	$CH_2CH_2CH_3$	
30.30	$CH_2CH_2CF_3$	
30.31	$OCH(CH_3)COOC_2H_5$(R)	
30.32	$OCH(CH_3)COOC_2H_5$(S)	
30.33	$OCH(CH_3)COOC_2H_5$(R,S)	
30.34	$CH_2CHClCOOH$	
30.35	$CH_2CHClCOOCH_3$	
30.36	$CH_2CHClCOOC_3H_7$(iso)	
30.37	$CH_2CHClCONH$allyl	
30.38	$CH_2C(CH_3)ClCOOH$	
30.39	$CH_2C(CH_3)ClCOOCH_3$	
30.40	$CH_2C(CH_3)ClCOOEt$	
30.41	$CH_2C(CH_3)ClCONHEt$	
30.42	CH_2CH_2COOH	
30.43	$CH_2CH_2COOCH_3$	
30.44	CH_2CH_2COOEt	
30.45	$CHClCHClCOOH$	
30.46	$CHClCHClCOOCH_3$	
30.47	$CHClCHClCOOEt$	
30.48	$CH_2CH(OCH_3)COOH$	
30.49	$CH_2CH(OCH_3)COOCH_3$	
30.50	$CH_2CH(OCH_3)COOEt$	

30.51	$CH_2CH(SCH_3)COOH$	
30.52	$CH_2CH(SCH_3)COOCH_3$	
30.53	$CH_2CH(SCH_3)COOEt$	
30.54	$CH=CHCOOH$	
30.55	$CH=CHCOOCH_3$	
30.56	$CH=CHCOOEt$	
30.57	$CH=CClCOOH$	
30.58	$CH=CClCOOCH_3$	
30.59	$COOEt$	
30.60	$CONH_2$	
30.61		
30.62	$CONHSO_2CH_3$	
30.63	$COOCH_2COOH$	
30.64	$COOCH_2COOCH_3$	
30.65	$COOCH(CH_3)COOH$	
30.66	$COOCH(CH_3)COOCH_3$	
30.67	$COOCH(CH_3)CH_2COOH$	
30.68	$COOCH(CH_3)CH_2COOCH_3$	
30.69	$COOC(CH_3)_2CN$	
30.70	$COOCH_2CH_2OCH_3$	
30.71	$COOC(CH_3)_2COOCH_2CH_2OCH_3$	
30.72		
30.73	$COOC(CH_3)_2COOCH_2PHENYL$	
30.74	$COOCH_2C\equiv CH$	
30.75	$COOC(CH_3)_2COOCH_2C\equiv CH$	
30.76	$COOCH(CH_3)C\equiv CH$	
30.77	$COOC(CH_3)_2COCH_3$	

30.78	NHallyl	
30.79	$N(COCH_3)$allyl	
30.80	$N(Et)SO_2CH_3$	
30.81	$N(allyl)SO_2CH_3$	
30.82	$N(allyl)SO_2Et$	
30.83	$SO_2N(CH_3)_2$	
30.84	SO_2NH_2	
30.85	$SO_2NHCOCH_3$	
30.86	OH	
30.87	OEt	
30.88	Oallyl	
30.89	$OCH_2C{\equiv}CCH_3$	
30.90	$OCH(CH_3)CH{=}CH_2$	
30.91	$OCH_2CH_2OCH_2CH_3$	
30.92	$OCH_2CH_2OCH_2CH_2OCH_3$	
30.93		
30.94	$OCH_2CH_2NHCH_3$	
30.95	$OCH_2CH_2N(CH_3)COCH_3$	
30.96	OCH_2CH_2COOH	
30.97	$OC(CH_3)_2COOH$	
30.98	$OC(CH_3)_2COOCH_3$	
30.99	$OC(CH_3)_2COOEt$	
30.100	OCH_2COOH	
30.101	OSO_2CH_3	
30.102	OSO_2CF_3	
30.103	$CH_2CHClCOOC_2H_5$	
30.104	$CH_2CHClCON(C_2H_5)_2$	
30.105	$CH_2CHClCONHOH$	

30.106	$CH_2CHClCOOCH_2C_6H_5$	
30.107	$CH_2CH(CH_3)COOH$	
30.108	$CH_2CH(CH_3)COOC_2H_5$	
30.109		
30.110	$COOC(CH_3)_2COOCH_2CH_2OC_2H_5$	
30.111		
30.112	$COOC(CH_3)_2CONHCH_2C{\equiv}CH$	
30.113	$COOC(CH_3)_2CON(CH_2CH_3)_2$	
30.114		

Formulation Examples for active ingredients of formula I (throughout, percentages are by weight)

F1. Emulsifiable concentrates	a)	b)	c)	d)
a compound of Tables 1-30	5 %	10 %	25 %	50 %
calcium dodecylbenzenesulfonate	6 %	8 %	6 %	8 %
castor oil polyglycol ether (36 mol of ethylene oxide)	4 %	-	4 %	4 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	4 %	-	2 %
cyclohexanone	-	-	10 %	20 %
aromatic hydrocarbon mixture C_9-C_{12}	85 %	78 %	55 %	16 %

Emulsions of any desired concentration can be obtained from such concentrates by dilution with water.

F2. Solutions	a)	b)	c)	d)
a compound of Tables 1-30	5 %	10 %	50 %	90 %
1-methoxy-3-(3-methoxy-propoxy)-propane	-	20 %	20 %	-
polyethylene glycol (mol.wt.400)	20 %	10 %	-	-
N-methyl-2-pyrrolidone	-	-	30 %	10 %
aromatic hydrocarbon mixture C_9-C_{12}	75 %	60 %	-	-

The solutions are suitable for application in the form of micro-drops.

F3. Wettable powders	a)	b)	c)	d)
a compound of Tables 1-30	5 %	25 %	50 %	80 %
sodium lignosulfonate	4 %	-	3 %	-
sodium laurylsulfate	2 %	3 %	-	4 %
sodium diisobutylnaphthalene-sulfonate	-	6 %	5 %	6 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	1 %	2 %	-
highly dispersed silicic acid	1 %	3 %	5 %	10 %
kaolin	88 %	62 %	35 %	-

The active ingredient is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording wettable powders which can be diluted with water to give suspensions of any desired concentration.

F4. Coated granules	a)	b)	c)
a compound of Tables 1-30	0.1 %	5 %	15 %
highly dispersed silicic acid	0.9 %	2 %	2 %
inorganic carrier (diameter 0.1 - 1 mm) e.g. $CaCO_3$ or SiO_2	99.0 %	93 %	83 %

The active ingredient is dissolved in methylene chloride, the solution is sprayed onto the carrier, and the solvent is subsequently evaporated off *in vacuo*.

F5. Coated granules	a)	b)	c)
a compound of Tables 1-30	0.1 %	5 %	15 %
polyethylene glycol (mol.wt. 200)	1.0 %	2 %	3 %
highly dispersed silicic acid	0.9 %	1 %	2 %
inorganic carrier (diameter 0.1 - 1 mm) e.g. $CaCO_3$ or SiO_2	98.0 %	92 %	80 %

The finely ground active ingredient is uniformly applied, in a mixer, to the carrier moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

F6. Extruder granules	a)	b)	c)	d)
a compound of Tables 1-30	0.1 %	3 %	5 %	15 %
sodium lignosulfonate	1.5 %	2 %	3 %	4 %
carboxymethylcellulose	1.4 %	2 %	2 %	2 %
kaolin	97.0 %	93 %	90 %	79 %

The active ingredient is mixed and ground with the adjuvants and the mixture is moistened with water. The mixture is extruded and then dried in a stream of air.

F7. Dusts	a)	b)	c)
a compound of Tables 1-30	0.1 %	1 %	5 %
talcum	39.9 %	49 %	35 %
kaolin	60.0 %	50 %	60 %

Ready-to-use dusts are obtained by mixing the active ingredient with the carriers and grinding the mixture in a suitable mill.

F8. Suspension concentrates	a)	b)	c)	d)
a compound of Tables 1-30	3 %	10 %	25 %	50 %
ethylene glycol	5 %	5 %	5 %	5 %
nonylphenol polyglycol ether (15 mol of ethylene oxide)	-	1 %	2 %	-
sodium lignosulfonate	3 %	3 %	4 %	5 %
carboxymethylcellulose	1 %	1 %	1 %	1 %
37% aqueous formaldehyde solution	0.2 %	0.2 %	0.2 %	0.2 %
silicone oil emulsion	0.8 %	0.8 %	0.8 %	0.8 %
water	87 %	79 %	62 %	38 %

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired concentration can be obtained by dilution with water.

Biological Examples

Example B1: Pre-emergence herbicidal action

Monocotyledonous and dicotyledonous test plants are sown in standard soil in plastic pots. Immediately after sowing, an aqueous suspension or emulsion of the test compounds prepared from a 25 % wettable powder or emulsifiable concentrate (Example F3, b) or F1, c)) is applied by spraying at a rate of application corresponding to 2000 g of active ingredient/hectare (500 l water/ha). The test plants are then cultivated in a greenhouse under optimum conditions. After 3 weeks the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action.

Test plants: Avena, Setaria, Sinapis, Stellaria

The compounds according to the invention exhibit good herbicidal action.

Examples of the good herbicidal action are given in Table B1.

Table B1: Pre-emergence action:

Test plant: Compound No.	Avena	Setaria	Sinapis	Stellaria
1.001	1	1	1	1
1.007	1	1	2	1
1.010	2	1	2	1
2.007	2	1	2	1
2.035	2	1	2	1
2.037	2	1	1	1
3.001	1	1	1	1

Test plant: Compound No.	Avena	Setaria	Sinapis	Stellaria
3.010	3	1	1	1
3.011	2	1	1	1
3.035	2	2	1	1
7.001	5	1	1	3
8.001	5	1	4	3
8.009	3	1	2	2
9.007	3	1	2	1

The same results are obtained when compounds of formula I are formulated in accordance with Examples F2 and F4 to F8.

Example B2: Post-emergence herbicidal action (contact herbicide)

In a greenhouse, monocotyledonous and dicotyledonous test plants are raised in plastic pots containing standard soil and at the 4- to 6-leaf stage are sprayed with an aqueous suspension or emulsion of the test compounds of formula I prepared from a 25 % wettable powder or emulsifiable concentrate (Example F3, b) or F1, c)) at a rate of application corresponding to 2000 g of active ingredient/ha (500 l water/ha). The test plants are then grown on in the greenhouse under optimum conditions. After about 18 days the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action.

In this test too, the compounds of formula I according to the invention exhibit good herbicidal action.

Examples of the good herbicidal activity of the compounds of formula I are given in Table B2.

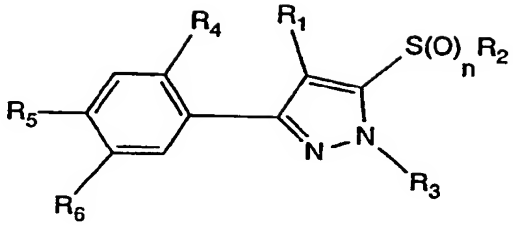
Table B2: Post-emergence action:

Test plant: Compound No.	Avena	Setaria	Sinapis	Stellaria
1.001	1	1	1	1
1.007	1	1	1	1
1.010	2	1	1	1
1.042	1	1	1	1
1.044	5	2	1	1
2.001	1	1	1	1
2.007	2	1	1	1
2.037	1	1	1	1
2.038	1	1	1	1
2.039	1	1	1	1
2.041	5	2	1	1
3.001	1	1	1	1
3.010	2	1	1	1
3.011	1	1	1	1
3.035	1	1	1	1
3.037	1	1	1	1
3.039	1	1	1	1
3.041	6	2	1	1
7.001	6	2	2	2
7.034	2	1	1	1
7.040	6	2	1	1
8.001	5	1	1	1
8.009	2	1	1	1
8.035	1	1	1	1
8.073	1	1	1	1
9.007	2	1	1	3
9.041	6	3	1	1
9.073	2	2	1	1

The same results are obtained when compounds of formula I are formulated in accordance with Examples F2 and F4 to F8.

What is claimed is:

1. A compound of formula I



(I)

wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl or C_3- or C_4-alkynyl;

n is 0, 1 or 2;

R_3 is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl, C_3- or C_4-alkynyl, $-CH_2COOH$, $-CH_2COO$-C_1-C_4alkyl or $-CH_2CN$;

R_4 is hydrogen, fluorine, chlorine or bromine;

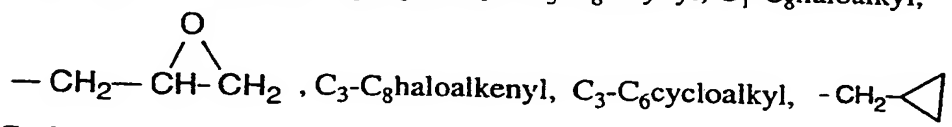
R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, cyano, NHR_{10}, $NR_{10}R_{11}$ or SO_2Cl;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_3-C_6cycloalkyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,



, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl, $-CH_2$-,

C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylamino-C_1-C_4alkyl, di-C_1-C_4alkylamino-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those

aromatic and heteroaromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_{20} is C_1-C_8alkyl-$COXR_{21}$ or $CH(C_6H_5)COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

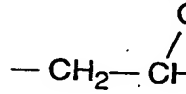
R_6 COR_{40};

R_{40} is hydrogen, chlorine, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,

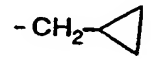


, C_3-C_8haloalkenyl, C_3-C_6cycloalkyl,

, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to

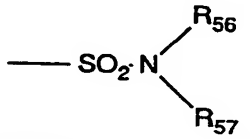
tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, C_1-C_4alkyl-$COZR_{52}$, C_3-C_6cycloalkyl-$COZR_{52}$, C_1-C_4alkyl-CO-C_1-C_4alkyl or C_1-C_4cyanoalkyl;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl,  , C_3-C_8haloalkenyl, C_3-C_6cycloalkyl,  , C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is  ;

R_{56} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl or C_3-C_8alkynyl;

R_{57} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl or C_1-C_4alkylcarbonyl; or

R_6 is C_1-C_8alkyl-B, C_1-C_8haloalkyl-B, C_2-C_8alkenyl-B, C_2-C_8alkynyl-B, C_2-C_8haloalkenyl-B, C_1-C_4alkoxy-C_1-C_4alkyl-B or C_1-C_4alkylthio-C_1-C_4alkyl-B; and

B is hydrogen, $-COZR_{52}$, cyano or C_1-C_4alkyl-C(O)-,

or a salt or stereoisomer of a compound of formula I.

2. A compound of formula I according to claim 1, wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

n is 0, 1 or 2;

R_3 is hydrogen, C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

R_4 is hydrogen, fluorine or chlorine;

R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, C_1-C_5alkyl, C_1-C_5haloalkyl, C_2-C_5alkenyl, C_2-C_5haloalkenyl,

C_2-C_5alkynyl, C_2-C_5haloalkynyl, cyano, NHR_{10} or $NR_{10}R_{11}$;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those aromatic and heteroaromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_8alkyl-$COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, chlorine, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is COR_{40};

R_{40} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen,

benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COZR_{52}$;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$,

or a salt or stereoisomer of a compound of formula I.

3. A compound of formula I according to claim 2, wherein

R_1 is C_1-C_4alkyl;

R_2 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4alkenyl or C_3- or C_4alkynyl;

n is 0, 1 or 2;

R_3 is C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl;

R_4 is hydrogen, fluorine or chlorine;

R_5 is hydrogen, halogen, methyl, trifluoromethyl, cyano, nitro, amino or C_1-C_4haloalkoxy;

R_6 is hydrogen, halogen, cyano, NHR_{10} or $NR_{10}R_{11}$;

R_{10} and R_{11} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl,

C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is OR_{20};

R_{20} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, those aromatic and hetero-aromatic rings being unsubstituted or mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_8alkyl-$COXR_{21}$;

X is oxygen, sulfur or NR_{22};

R_{21} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl or by halogen; and

R_{22} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is $S(O)_mR_{30}$;

m is 0, 1 or 2;

R_{30} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COVR_{31}$;

V is oxygen, sulfur or NR_{32};

R_{31} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{32} is hydrogen, C_1-C_8alkyl or C_3-C_8alkenyl; or

R_6 is COR_{40};

R_{40} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; or

R_6 is $COYR_{50}$;

Y is oxygen, sulfur, NR_{51} or NOR_{54};

R_{50} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, or C_1-C_4alkyl-$COZR_{52}$;

Z is oxygen, sulfur, NR_{53} or NOR_{55};

R_{52} is hydrogen, C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_3-C_6cycloalkyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, phenyl, phenyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen;

R_{51} and R_{53} are each independently of the other C_1-C_8alkyl, C_3-C_8alkenyl, C_3-C_8alkynyl, C_1-C_8haloalkyl, C_1-C_4alkylcarbonyl, C_1-C_4haloalkylcarbonyl, C_1-C_4alkylsulfonyl, C_1-C_4haloalkylsulfonyl, benzoyl, benzoyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen, benzyl, or benzyl mono- to tri-substituted by C_1-C_4alkyl, C_1-C_4haloalkyl or by halogen; and

R_{54} and R_{55} are each independently of the other C_1-C_4alkyl; or

R_6 is C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$,

or a salt or stereoisomer of a compound of formula I.

4. A compound according to claim 1, wherein R_5 is chlorine, bromine, methyl, trifluoromethyl or cyano.

5. A compound according to claim 1, wherein R_6 is hydrogen, halogen, OR_{20}, $S(O)_mR_{30}$ or $COYR_{50}$.

6. A compound according to claim 1, wherein n is 0 or 2.

7. A compound according to claim 1, wherein R_1 is methyl.

8. A compound according to claim 1, wherein R_2 is methyl.

9. A compound according to claim 1, wherein R_3 is methyl or ethyl.

10. A compound according to claim 9, wherein R_3 is methyl.

11. A compound according to claim 1, wherein R_4 is fluorine.

12. A compound according to claim 1, wherein R_4 is hydrogen.

13. A compound according to claim 1, wherein R_4 is chlorine.

14. A compound according to claim 1, wherein R_4 is chlorine; and R_6 is OR_{20} wherein R_{20} is as defined in claim 1.

15. A compound according to claim 1, wherein R_4 is fluorine; and R_6 is OR_{20} wherein R_{20} is as defined in claim 1.

16. A compound according to claim 1, wherein R_4 is chlorine; and R_6 is $S(O)_mR_{30}$ wherein R_{30} and m are as defined in claim 1.

17. A compound according to claim 1, wherein R_4 is fluorine; and R_6 is $S(O)_mR_{30}$ wherein R_{30} and m are as defined in claim 1.

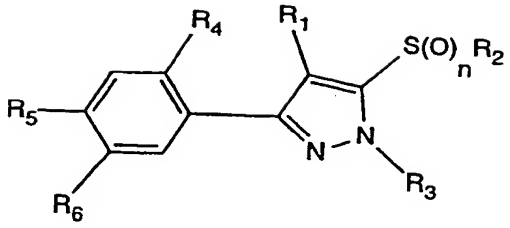
18. A compound according to claim 1, wherein R_4 is chlorine; and R_6 is COR_{40}, $COYR_{50}$, C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl-$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$, wherein R_{40}, R_{50}, R_{52}, Y and Z are as defined in claim 1.

19. A compound according to claim 1, wherein R_4 is fluorine; and R_6 is COR_{40}, $COYR_{50}$, C_1-C_4alkyl$COZR_{52}$, C_1-C_4haloalkyl$COZR_{52}$, C_2-C_4alkenyl$COZR_{52}$, C_2-C_4alkynyl-$COZR_{52}$ or C_2-C_4haloalkenyl$COZR_{52}$, wherein R_{40}, R_{50}, R_{52}, Y and Z are as defined in claim 1.

20. A compound according to claim 1, wherein R_5 is chlorine; and R_6 is -$COYR_{50}$.

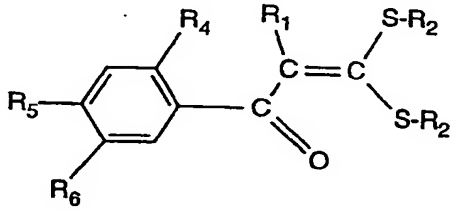
21. A compound according to claim 1, wherein R_5 is chlorine; and R_6 is C_1-C_4alkyl-B or C_1-C_4haloalkyl-B.

22. A process for the preparation of a compound of formula I



(I),

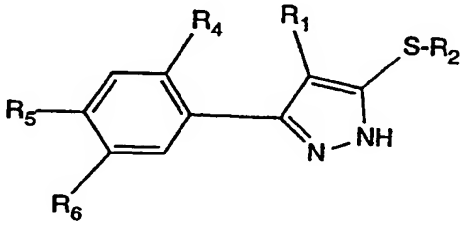
wherein R_1 to R_6 and n are as defined in claim 1, which process comprises cyclising a compound of formula III



(III),

wherein R_1, R_2 and R_4 to R_6 are as defined,

a) with hydrazine optionally in the presence of a suitable solvent to form a compound of formula IIa

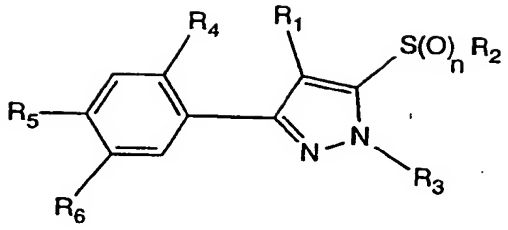


(IIa)

and then reacting that compound in the presence of a compound of formula Xa containing a corresponding C_1-C_4alkyl, C_1-C_4haloalkyl, C_3- or C_4-alkenyl or C_3- or C_4-alkynyl group

$$R_3\text{-}L_1 \qquad \text{(Xa)},$$

the radical R_3 in the compounds of formula Xa being as defined in claim 1 and L_1 being a leaving group, to form a compound of formula I



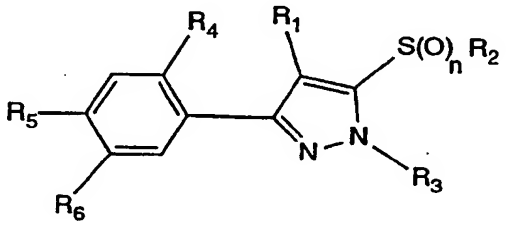
(I)

wherein n is 0, and then oxidising that compound; or

b) with a compound of formula XI

$$NH_2\text{-}NH\text{-}R_3 \qquad (XI),$$

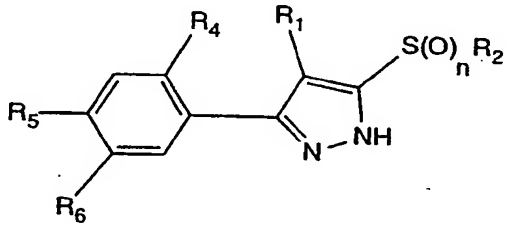
wherein R_3 is as defined, optionally in the presence of a suitable solvent, to form a compound of formula I



(I),

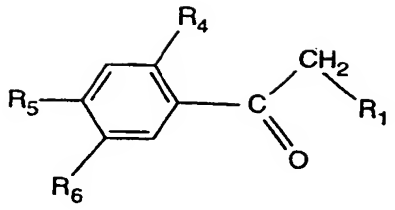
wherein R_1 to R_6 are as defined, and n is 0, and then oxidising that compound.

23. A process for the preparation of a compound of formula II



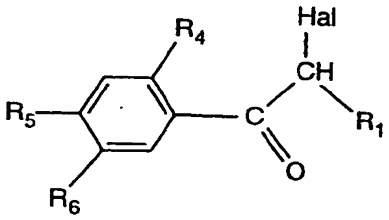
(II),

wherein R_1, R_2, R_4 to R_6 and n are as defined in claim 1, which process comprises halogenating a compound of formula IV



(IV),

optionally in the presence of a solvent and a base, to form a compound of formula XII

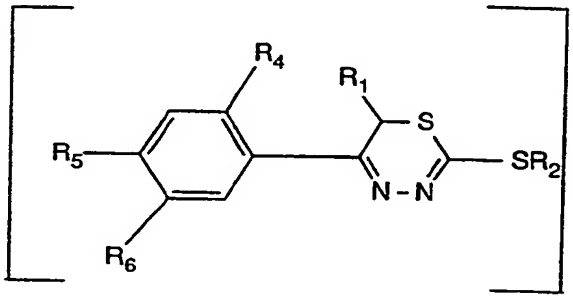


(XII),

R_1 and R_4 to R_6 in the compounds of formulae IV and XII being as defined and Hal being halogen, and cyclising that compound of formula XII with a compound of formula XIII

$$H_2N\text{-}NH\text{-}C(S)S\text{-}R_2 \qquad \text{(XIII)},$$

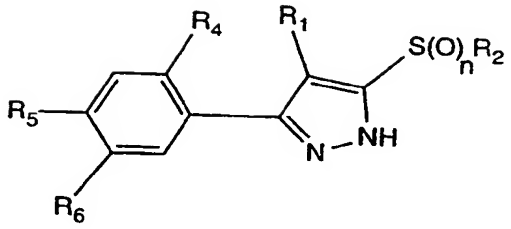
wherein R_2 is as defined, optionally in the presence of a solvent and a base, to form a compound of formula XIV



(XIV),

and then subjecting that compound to a ring contraction (n=0) thermally or by acid catalysis, and then oxidising that compound (n=1 or 2).

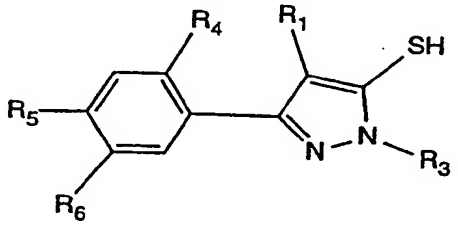
24. A compound of formula II



(II)

wherein R_1, R_2, R_4 to R_6 and n are as defined in claim 1.

25. A compound of formula XV



(XV)

wherein R_1 and R_3 to R_6 are as defined in claim 1.

26. A herbicidal and plant growth-inhibiting composition comprising one or more compounds of formula I according to claim 1.

27. A composition according to claim 26, comprising from 0.1 to 95 % of a compound of formula I according to claim 1.

28. A method of controlling undesired plant growth, which comprises applying an effective amount of a compound of formula I, according to claim 1, or of a composition comprising such a compound, to the plants or to the locus thereof.

29. A method according to claim 28, which comprises the application of a compound of formula I in an amount of from 0.001 to 2 kg per hectare.

30. A method of inhibiting plant growth, which comprises applying an effective amount of a compound of formula I, according to claim 1, or of a composition comprising such a compound, to the plants or to the locus thereof.

31. The use of a composition according to claim 26 in the selective control of weeds in crops of useful plants.

INTERNATIONAL SEARCH REPORT

Inter nal Application No
PCT/EP 96/02417

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D231/18 A01N43/56 C07D405/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CHEMICAL ABSTRACTS, vol. 85, no. 1, 5 July 1976 Columbus, Ohio, US; abstract no. 5620s, N. YOSHIDA ET AL.: "3-Alkylmercaptopyrazole-S-oxide derivatives." page 454; column 1; XP002014615 see abstract; and Chemical Abstracts, CHEMICAL SUBSTANCES, 9th Collective Index, vol. 76-85, 1972-1976, page 33321CS, RN: [59309-95-4], [59309-96-5] and [59309-89-6] & JP,A,75 130 761 (SANKYO CO., LTD.) 15 October 1975 --- -/--	1-8,12

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
27 September 1996	- 4.-10-96

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Fink, D

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Inte nal Application No
PCT/EP 96/02417

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE WPI Derwent Publications Ltd., London, GB; AN 91-249443 XP002014616 & JP,A,03 163 063 (JAPAN AGRIC. CHEM. & INSECTICIDE, NIHON NOYAKU CO. LTD.) , 15 July 1991 cited in the application see abstract	1,26-31
Y	WO,A,92 02509 (MONSANTO COMPANY) 20 February 1992 see page 2, line 2 - page 3, line 2 see page 49 - page 60; tables 3,4	1-31
Y	GB,A,1 488 285 (BASF AKTIENGESELLSCHAFT) 12 October 1977 see page 13; claim 1 see page 14; claim 16	1-31
P,X	WO,A,96 15115 (ZENECA LIMITED) 23 May 1996 see page 61; claim 1	1,26-31

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int ional Application No
PCT/EP 96/02417

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO-A-9202509	20-02-92	AU-B-	649474	26-05-94
		AU-A-	8414691	02-03-92
		BG-A-	97409	31-03-94
		CN-A-	1061221	20-05-92
		EP-A-	0542872	26-05-93
		JP-T-	5509103	16-12-93
		NZ-A-	239269	26-05-94
GB-A-1488285	12-10-77	DE-A-	2409753	11-09-75
		AT-B-	340199	25-11-77
		BE-A-	826074	27-08-75
		BG-A-	26354	15-03-79
		CA-A-	1047502	30-01-79
		CH-A-	593609	15-12-77
		FR-A-	2262663	26-09-75
		JP-A-	50117936	16-09-75
		NL-A-	7502416	03-09-75
		SE-B-	413026	31-03-80
		SE-A-	7502300	02-09-75
		US-A-	4008249	15-02-77
WO-A-9615115	23-05-96	AU-A-	3659995	06-06-96